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\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	3	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	4	MAR 31	CA/CAPLUS and CASREACT patent number format for U.S. applications updated
NEWS	5	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	6	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	7	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	8	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	9	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	10	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	11	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	12	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	13	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	14	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	15	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	16	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	17	JUN 25	CA/CAPLUS and USPAT databases updated with IPC reclassification data
NEWS	18	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	19	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	20	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	21	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	22	JUL 28	CA/CAPLUS patent coverage enhanced
NEWS	23	JUL 28	EPFULL enhanced with additional legal status information from the EPOline Register
NEWS	24	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	25	JUL 28	STN Viewer performance improved
NEWS	26	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	27	AUG 13	CA/CAPLUS enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	28	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	29	AUG 15	CAPLUS currency for Korean patents enhanced
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,			

AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 13:37:35 ON 18 AUG 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:37:51 ON 18 AUG 2008

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2

DICTIONARY FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

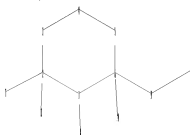
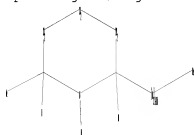
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10502080.str



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chain nodes :
7 8 9 10 13 14
ring nodes :
1 2 3 4 5 6
chain bonds :
1-8 2-7 2-13 6-9 6-14 9-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact bonds :
1-8 2-7 2-13 6-9 6-14 9-10

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
13:CLASS 14:CLASS

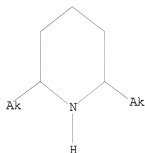
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L1 STRUCTURE UPLOADED

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=> d l1
L1 HAS NO ANSWERS
L1 STR

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Structure attributes must be viewed using STN Express query preparation.

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=> s l1 sss sam
SAMPLE SEARCH INITIATED 13:38:18 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 464993 TO ITERATE

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0.4% PROCESSED      2000 ITERATIONS      3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

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FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:   9260939 TO 9338781
PROJECTED ANSWERS:      12365 TO 15533

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L2 3 SEA SSS SAM L1

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FULL SCREEN SEARCH COMPLETED - 9296204 TO ITERATE

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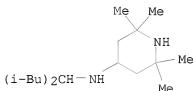
5.9% PROCESSED 545089 ITERATIONS 920 ANSWERS  
 10.4% PROCESSED 968795 ITERATIONS 1228 ANSWERS  
 10.8% PROCESSED 1000000 ITERATIONS 1268 ANSWERS  
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
 SEARCH TIME: 00.00.36

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
 BATCH \*\*INCOMPLETE\*\*  
 PROJECTED ITERATIONS: 9296204 TO 9296204  
 PROJECTED ANSWERS: 11462 TO 12112

L3 1268 SEA SSS FUL L1

=> d scan

L3 1268 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 4-Piperidinamine, 2,2,6,6-tetramethyl-N-[3-methyl-1-(2-methylpropyl)butyl]-  
 MF C18 H38 N2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):d scan  
 'D SCAN' IS NOT VALID HERE

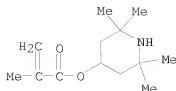
To display more answers, enter the number of answers you would like to see. To end the display, enter "NONE", "N", "0", or "END".  
 HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 1268 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
 IN 2-Propenoic acid, 2-methyl-, 2,2,6,6-tetramethyl-4-piperidinyl ester,  
 polymer with Adeka Reasoap SR 10 and butyl 2-propenoate  
 MF (C13 H23 N O2 . C7 H12 O2 . Unspecified)x  
 CI PMS

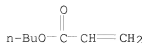
CM 1

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

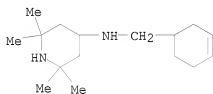


CM 3



HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

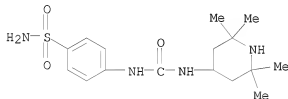
L3 1268 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN 4-Piperidinamine, N-(3-cyclohexen-1-ylmethyl)-2,2,6,6-tetramethyl-  
MF C16 H30 N2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L3 1268 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Benzenesulfonamide, 4-[[[(2,2,6,6-tetramethyl-4-  
piperidinyl)amino]carbonyl]amino]-  
MF C16 H26 N4 O3 S



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>

Uploading C:\Program Files\STNEXP\Queries\10502080 Narrow.str

L4 STRUCTURE UPLOADED

=> s L4 sss full

FULL SEARCH INITIATED 13:40:46 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 9296204 TO ITERATE

6.1% PROCESSED 570201 ITERATIONS

37 ANSWERS

10.8% PROCESSED 1000000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.34

49 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*INCOMPLETE\*\*  
PROJECTED ITERATIONS: 9296204 TO 9296204  
PROJECTED ANSWERS: 391 TO 519

L5 49 SEA SSS FUL L4

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
358.56	358.77

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 13:41:40 ON 18 AUG 2008  
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FILE COVERS 1907 - 18 Aug 2008 VOL 149 ISS 8  
FILE LAST UPDATED: 17 Aug 2008 (20080817/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s l3/Uses

77 L3  
7150149 USES/RL  
L6 39 L3/USES  
(L3 (L) USES/RL)

=> s l5/Uses

10 L5  
7150149 USES/RL  
L7 2 L5/USES  
(L5 (L) USES/RL)

=> s l6 OR l7

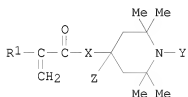
L8 39 L6 OR L7

=> d l8 10-30 IBIB ABS HITSTR

L8 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:669445 CAPLUS  
 DOCUMENT NUMBER: 149:33556  
 TITLE: Propylene polymer fibers containing hindered piperidine-based weatherability improvers  
 INVENTOR(S): Kiura, Masaaki; Mukuta, Takahiro  
 PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 12pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008127728	A	20080605	JP 2006-317036	20061124
PRIORITY APPLN. INFO.: GI			JP 2006-317036	20061124



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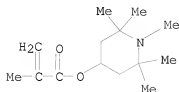
AB The invention relates to the fibers manufactured from a compns. comprising (A) propylene polymers and (B) the improvers prepared from (b1) 10-50 parts piperidyl-containing ethylenically unsatd. monomers I (R1 = H, C1-2 alkyl; X = O, imino; Y = H, C1-20 alkyl, alkoxy; Z = H, cyano), (b2) 50-90 parts  $\geq 1$  monomers selected from C4-13 alkyl (meth)acrylates and aromatic vinyl monomers, and (b3) 0-20 parts monomers other than b1 and b2 (b1 + b2 + b3 = 100 parts). Thus, a composition comprising isotactic polypropylene (Y 2000GV) and a reactive anionic emulsifier (Adeka Reasoap SR 10)-Bu methacrylate-4-methacryloyloxy-1,2,2,6,6-pentamethylpiperidine-4-methacryloyloxy-2,2,6,6-tetramethylpiperidine-styrene copolymer (improver) was made into a fiber showing good elongation and strength retention after a weathering test.  
 IT 1028903-21-OP 1028903-24-3P  
 RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)  
 (weatherability improver; propylene polymer fibers containing hindered piperidine-based weatherability improvers)  
 RN 1028903-21-0 CAPLUS  
 CN 2-Propenoic acid, 2-methyl-, butyl ester, polymer with Adeka Reasoap SR 10, ethylbenzene, 1,2,2,6,6-pentamethyl-4-piperidinyl  
 2-methyl-2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl  
 2-methyl-2-propenoate (CA INDEX NAME)

CM 1  
 CRN 676999-51-2  
 CMF Unspecified  
 CCI PMS, MAN

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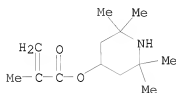
CM 2

CRN 68548-08-3  
CMF C14 H25 N O2



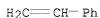
CM 3

CRN 31582-45-3  
CMF C13 H23 N O2



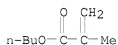
CM 4

CRN 100-42-5  
CMF C8 H8



CM 5

CRN 97-88-1  
CMF C8 H14 O2



RN 1028903-24-3 CAPLUS  
CN 2-Propenoic acid, 2-methyl-, 1,2,2,6,6-pentamethyl-4-piperidinyl ester, polymer with Adeka Reasoap SR 10, 4-(1,1-dimethylethyl)cyclohexyl 2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

CM 1

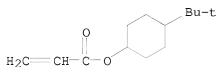
CRN 676999-51-2  
CMF Unspecified  
CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

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CRN 84100-23-2

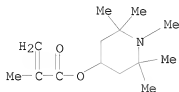
CMF C13 H22 O2



CM 3

CRN 68548-08-3

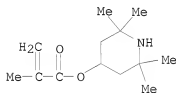
CMF C14 H25 N O2



CM 4

CRN 31582-45-3

CMF C13 H23 N O2



L8 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:669209 CAPLUS

DOCUMENT NUMBER: 149:11743

TITLE: Polysiloxane graft copolymers having hindered piperidine groups, weatherability improvers containing the copolymers, and aqueous coatings containing the improvers

INVENTOR(S): Mukuta, Takahiro; Kiura, Masaaki

PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 16pp.

CODEN: JKXXAF

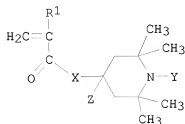
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008127526	A	20080605	JP 2006-317065	20061124
PRIORITY APPLN. INFO.: GI			JP 2006-317065	20061124



I

AB The invention relates to the copolymers manufactured from (A) 1-94% polyorganosiloxanes having functional groups capable of forming graft polymers, (B) 6-50 % piperidyl-containing ethylenically unsatd. monomers I (R1 = H, C1-2 alkyl; X = O, imino; Y = H, C1-20 alkyl, alkoxy; Z = H, cyano), and (C) 0-93 % ethylenically unsatd. monomers (A + B + C = 100 %). Thus,  $\gamma$ -methacryloxypropyltrimethoxysilane-octamethylcyclotetrasiloxane copolymer, a reactive anionic emulsifier (Adeka Reasoap SR 10), Bu acrylate, Bu methacrylate, 4-methacryloyloxy-1,2,2,6,6-pentamethylpiperidine, and styrene were emulsion-polymerized to give an improver with good storage stability, which was then used for an aqueous acrylic coating comprising acrylic acid-Adeka Reasoap SR 10-Bu acrylate-Me methacrylate-styrene copolymer ammonium salt.

IT 1029394-26-0P

RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)  
(weatherability improver, core-shell; polysiloxane graft copolymers having hindered piperidine groups for weatherability improvers of aqueous coatings)

RN 1029394-26-0 CAPLUS

CN 2-Propenoic acid, 2-methyl-, butyl ester, polymer with Adeka Reasoap SR 10, 2,2,4,4,6,6,8,8-octamethylcyclotetrasiloxane, 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate and 3-(trimethoxysilyl)propyl 2-methyl-2-propenoate, graft (CA INDEX NAME)

CM 1

CRN 676999-51-2

CMF Unspecified

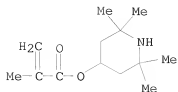
CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

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CRN 31582-45-3

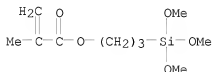
CMF C13 H23 N O2



CM 3

CRN 2530-85-0

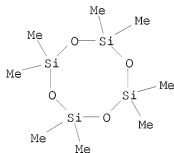
CMF C10 H20 O5 Si



CM 4

CRN 556-67-2

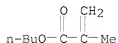
CMF C8 H24 O4 Si4



CM 5

CRN 97-88-1

CMF C8 H14 O2



L8 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

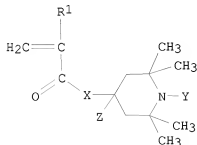
ACCESSION NUMBER: 2008:669208 CAPLUS

DOCUMENT NUMBER: 149:11742

TITLE: Modifiers containing hindered amine light stabilizers, and aqueous coatings with good weather resistance and low minimum film forming temperature containing them

INVENTOR(S): Mukuta, Takahiro; Kiura, Masaaki  
 PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 13pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008127525	A	20080605	JP 2006-317064	20061124
PRIORITY APPLN. INFO.: GI			JP 2006-317064	20061124



I

AB The invention relates to the modifiers containing emulsions with min. film forming temperature (MFT)  $\leq 5^\circ$  manufactured by emulsion-polymerizing (A) 6-50 parts hindered piperidyl-containing ethylenically unsatd. monomers I ( $R_1 = H$ ,  $Cl-2$  alkyl;  $X = O$ , imino;  $Y = H$ ,  $Cl-20$  alkyl, alkoxy;  $Z = H$ , cyano) and (B) 50-94 parts ethylenically unsatd. monomers other than A ( $A + B = 100$  parts). Aqueous coatings with reduced film-forming aid (organic solvent) contents are provided with this invention. Thus, a reactive nonionic emulsifier (Adeka Reasoap ER 30), a reactive anionic emulsifier (Adeka Reasoap SR 10), Bu acrylate, 4-methacryloyloxy-1,2,2,6,6-pentamethylpiperidine, and styrene were emulsion-polymerized to give a modifier with MFT  $3^\circ$ , solid content 50%, and good storage stability. An aqueous acrylic coating comprising acrylic acid-Adeka Reasoap SR 10-Bu acrylate-Me methacrylate-styrene copolymer ammonium salt and the modifier showed good water resistance.

IT 1029396-11-9P  
 RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)  
 (modifier, comprised of actual and assumed monomers; modifiers containing hindered amine light stabilizers for aqueous coatings with good weather resistant and low min. film forming temperature)

RN 1029396-11-9 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2,2,6,6-tetramethyl-4-piperidinyl ester, polymer with Adeka Reasoap SR 10, butyl 2-propenoate and oxirane, graft (CA INDEX NAME)

CM 1

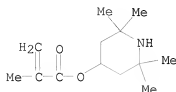
CRN 676999-51-2

CMF Unspecified

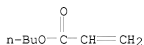
CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2  
 CRN 31582-45-3  
 CMF C13 H23 N O2



CM 3  
 CRN 141-32-2  
 CMF C7 H12 O2



CM 4  
 CRN 75-21-8  
 CMF C2 H4 O

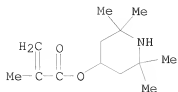


IT 1029396-07-3P 1029396-09-5P  
 RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)  
 (modifier; modifiers containing hindered amine light stabilizers for aqueous coatings with good weather resistant and low min. film forming temperature)  
 RN 1029396-07-3 CAPLUS  
 CN 2-Propenoic acid, 2-methyl-, 2,2,6,6-tetramethyl-4-piperidinyl ester, polymer with Adeka Reasoap SR 10 and butyl 2-propenoate (CA INDEX NAME)

CM 1  
 CRN 676999-51-2  
 CMF Unspecified  
 CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

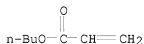
CM 2  
 CRN 31582-45-3  
 CMF C13 H23 N O2



CM 3

CRN 141-32-2

CMF C7 H12 O2



RN 1029396-09-5 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2,2,6,6-tetramethyl-4-piperidiny l ester, polymer with Adeka Reasoap SR 10, butyl 2-propenoate and  $\alpha$ -[1-[(nonyloxy)methyl]-2-(2-propen-1-yloxy)ethyl]- $\omega$ -hydroxypoly(oxy-1,2-ethanediyl), graft (CA INDEX NAME)

CM 1

CRN 676999-51-2

CMF Unspecified

CCI PMS, MAN

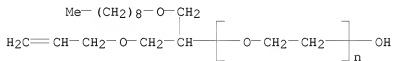
\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 612822-56-7

CMF (C2 H4 O)<sub>n</sub> C15 H30 O3

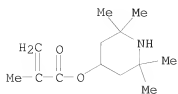
CCI PMS



CM 3

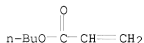
CRN 31582-45-3

CMF C13 H23 N O2



CM 4

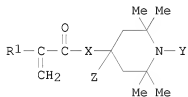
CRN 141-32-2  
CMF C7 H12 O2



L8 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2008:668983 CAPLUS  
DOCUMENT NUMBER: 149:10890  
TITLE: Hindered piperidine-modified thermoplastic resins,  
stabilizers containing them, and recyclable  
weather-resistant polyvinyl chloride compositions  
containing them  
INVENTOR(S): Kiura, Masaaki; Mukuta, Takahiro  
PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 13pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 2008127523	A	20080605	JP 2006-317035	20061124
PRIORITY APPLN. INFO.:			JP 2006-317035	20061124

GI



AB The invention relates to the stabilizers manufactured by copolymerizing monomer mixtures comprising (A) 5-40 parts hindered piperidine-containing ethylenically unsaturated monomers I (R1 = H, C1-2 alkyl; X = O, imino; Y = H, C1-20 alkyl, alkoxy; Z = H, cyano), (B) 60-95 parts glycidyl-containing ethylenically unsaturated monomers, and (C) 0-30 parts ethylenically unsaturated monomers other than A and B (A + B + C = 100 parts). The invention also relates to the compositions comprising 0.1-10% (based on total compositions) of the stabilizers and polyvinyl chloride. Thus, a composition comprising polyvinyl chloride (TK 1300) and reactive anionic emulsifier (Adeka Reasoap SR 10)-glycidyl methacrylate-4-methacryloyloxy-2,2,6,6-tetramethylpiperidine copolymer (stabilizer) was kneaded and pressed to give a test sheet with good thermal discoloration prevention after recycling.

IT 1028903-17-4P 1028903-19-6P  
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)

(stabilizer; hindered piperidine-modified thermoplastic resins for stabilizers of recyclable weather-resistant polyvinyl chloride compns.)

RN 1028903-17-4 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-oxiranylmethyl ester, polymer with Adeka Reasoap SR 10 and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

CM 1

CRN 676999-51-2

CMF Unspecified

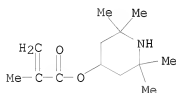
CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

CRN 31582-45-3

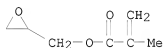
CMF C13 H23 N O2



CM 3

CRN 106-91-2

CMF C7 H10 O3



RN 1028903-19-6 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-oxiranylmethyl ester, polymer with Adeka Reasoap SR 10, 2-oxiranylmethyl 2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

CM 1

CRN 676999-51-2

CMF Unspecified

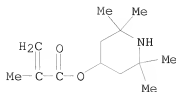
CCI PMS, MAN

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

CM 2

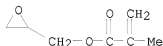
CRN 31582-45-3

CMF C13 H23 N O2



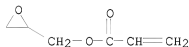
CM 3

CRN 106-91-2  
CMF C7 H10 O3



CM 4

CRN 106-90-1  
CMF C6 H8 O3



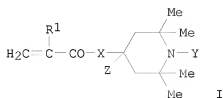
L8 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2008:638880 CAPLUS  
DOCUMENT NUMBER: 149:10884  
TITLE: Stabilizers for polyolefin resins with good thermal stability  
INVENTOR(S): Kiura, Masaaki; Mukuda, Takahiro  
PATENT ASSIGNEE(S): Mitsubishi Rayon Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 27pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008062860	A1	20080529	WO 2007-JP72618	20071122
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, BH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,			

BY, KG, KZ, MD, RU, TJ, TM  
 PRIORITY APPLN. INFO.:  
 GI

JP 2006-317067

A 20061124



AB The title stabilizers containing a copolymer are obtained by polymerizing an unsatd. monomer mixture composed of an ethylenically unsatd. monomer having a piperidyl group (I) 1-50,  $\geq 1$  monomer selected from iso-Bu methacrylates, C6-13 alkyl (meth)acrylates, and aromatic vinyl monomers 50-99, and an ethylenically unsatd. monomer except A and B 0-20%, wherein R1 = H or C1-2 alkyl; X = O or imino; Y = H or C1-20 alkyl or alkoxy; and Z = H or cyano group. Thus, 5 parts 4-methacryloyloxy-2,2,6,6-tetramethylpiperidine and 95 parts iso-Bu methacrylate were polymerized to give a copolymer, 5 parts of which was mixed with 95% polypropylene, kneaded, and molded to give a test piece, showing good heat and light resistance.

IT 1028740-26-2P

RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)

(stabilizer; stabilizers for polyolefin resins with good thermal stability)

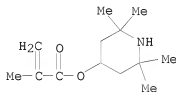
RN 1028740-26-2 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-methylpropyl ester, polymer with 2,2,6,6-tetramethyl-4-piperidiny 2-methyl-2-propenoate (CA INDEX NAME)

CM 1

CRN 31582-45-3

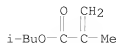
CMF C13 H23 N O2



CM 2

CRN 97-86-9

CMF C8 H14 O2



IT 1028750-07-3P

RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)  
(stabilizers for polyolefin resins with good thermal stability)

RN 1028750-07-3 CAPLUS  
CN 2-Propenoic acid, 2-methyl-, 1,2,2,6,6-pentamethyl-4-piperidinyl ester, polymer with (1,1-dimethylethyl)cyclohexyl 2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

CM 1

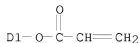
CRN 117635-64-0

CMF C13 H22 O2

CCI IDS



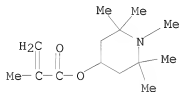
D1-Bu-t



CM 2

CRN 68548-08-3

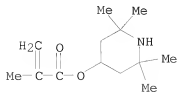
CMF C14 H25 N O2



CM 3

CRN 31582-45-3

CMF C13 H23 N O2



REFERENCE COUNT: 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:632629 CAPLUS

DOCUMENT NUMBER: 149:167124

TITLE: Antinociceptive profile of 2,3,6-trisubstituted piperidine alkaloids: 3-O-acetyl-spectaline and semi-synthetic derivatives of (-)-spectaline  
AUTHOR(S): Viegas, Claudio, Jr.; Alexandre-Moreira, Magna Suzana; Fraga, Carlos Alberto Manssour; Barreiro, Eliezer Jesus; Bolzani, Vanderlan da Silva; Palhares de Miranda, Ana Luisa

CORPORATE SOURCE: Laboratório de Fitoquímica e Química Medicinal, Departamento de Ciências Exatas, Universidade Federal de Alfenas, Alfenas, 37130-000, Brazil

SOURCE: Chemical & Pharmaceutical Bulletin (2008), 56(4), 407-412

CODEN: CPBTAL; ISSN: 0009-2363

PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

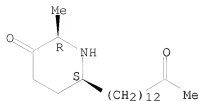
AB In early studies, we have reported the antinociceptive profile of (-)-spectaline, a piperidine alkaloid from *Cassia spectabilis*. The present study describes the synthesis, the antinociceptive and anti-inflammatory activities of a series of 2,3,6-trialkyl-piperidine alkaloids: the natural (-)-3-O-acetyl-spectaline (LASSBio-755) and ten semi-synthetic spectaline derivs. Structure-activity relationship (SARs) studies were performed. The structures of all synthesized derivs. were confirmed by means of NMR. Compds. were evaluated for their analgesic (acetic acid-induced mouse abdominal constrictions, hot-plate test, formalin-in-duced pain test) and some of them for the anti-inflammatory activities (carrageenan-induced rat paw edema test). The pharmacol. results showed that several of the new compds. given orally at a dose of 100 µmol/kg significantly inhibited the acetic acid-induced abdominal constrictions, but they were less active than (-)-spectaline. LASSBio-755 and LASSBio-776 were the most actives with 37% and 31.7% of inhibition. In the formalin-induced pain only LASSBio-776 was able to inhibit by 34.4% the paw licking response of the inflammatory phase, (-)-spectaline and LASSBio-755 did show any activity. In the carrageenan-induced rat paw edema, only (-)-spectaline exhibited an anti-inflammatory profile, showing an ED50 value of 56.6 µmol/kg. Our results suggest different mechanisms of action for the analgesic activity observed for LASSBio-776 (3-O-Bocspectaline), LASSBio-755 (3-O-acetyl-spectaline) and (-)-spectaline (LASSBio-754). The antinociceptive profile of some of the semi-synthetic spectaline derivs. extends our research concerning the chemical and pharmacol. optimization of isolated natural products in the search of new drug candidates from Brazilian biodiversity.

IT 1039629-71-4P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(2,3,6-trisubstituted piperidine alkaloids preparation, SAR, analgesic and anti-inflammatory activities)

RN 1039629-71-4 CAPLUS

CN 3-Piperidinone, 2-methyl-6-(13-oxotetradecyl)-, (2R,6S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 1039629-68-9P 1039629-70-3P 1040150-51-3P

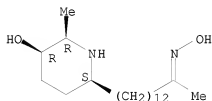
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(2,3,6-trisubstituted piperidine alkaloids preparation, SAR, analgesic and anti-inflammatory activities)

RN 1039629-68-9 CAPLUS

CN 2-Tetradecanone, 14-[(2S,5R,6R)-5-hydroxy-6-methyl-2-piperidinyl]-, oxime (CA INDEX NAME)

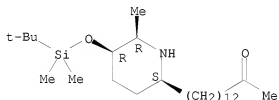
Absolute stereochemistry.  
Double bond geometry unknown.



RN 1039629-70-3 CAPLUS

CN 2-Tetradecanone, 14-[(2S,5R,6R)-5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-6-methyl-2-piperidinyl]- (CA INDEX NAME)

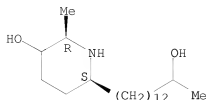
Absolute stereochemistry.



RN 1040150-51-3 CAPLUS

CN 2-Piperidinetetradecanol, 5-hydroxy-α,6-dimethyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:619491 CAPLUS

DOCUMENT NUMBER: 148:585720

TITLE: Indolesulfonamides as SFRP-1 modulators and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Welmaker, Gregory Scott; Wilson, Matthew Alan; Moore, William Jay; Kern, Jeffrey Curtis; Trybulski, Eugene John

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 158pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

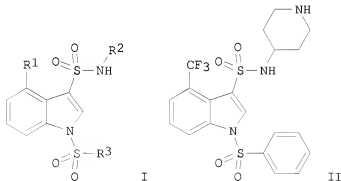
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008060998	A1	20080522	WO 2007-US84245	20071109
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2006-865258P P 20061110  
US 2006-865261P P 20061110

OTHER SOURCE(S): MARPAT 148:585720

GI



AB Indolesulfonamide compds. of formula I or pharmaceutically acceptable salts thereof, are provided, which are modulators of secreted frizzled related protein-1. The compds., and compns. containing the compds., can be

used to treat a variety of disorders, including osteoporosis. Comps. of formula I wherein R1 is (perfluoro)alkyl, halo, CN and CO2-alkyl; R2 is (un)substituted alkyl, cycloalkyl and (spiro)heterocycloalkyl; R3 is (un)substituted aryl; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II•HCl was prepared by a multistep procedure (procedure given). All the invention comps. were evaluated for their SFRP-1 modulatory activity. From the assay, it was determined that compound II exhibited IC50 value of 0.27  $\mu$ M and an EC50 value of 0.66  $\mu$ M.

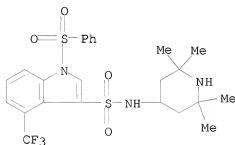
IT 1027067-96-4P 1027069-16-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indolesulfonamides as secreted frizzled related protein-1 modulators useful in the treatment of diseases)

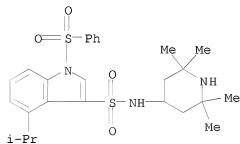
RN 1027067-96-4 CAPLUS

CN 1H-Indole-3-sulfonamide, 1-(phenylsulfonyl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)-4-(trifluoromethyl)- (CA INDEX NAME)



RN 1027069-16-4 CAPLUS

CN 1H-Indole-3-sulfonamide, 4-(1-methylethyl)-1-(phenylsulfonyl)-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:551346 CAPLUS

DOCUMENT NUMBER: 148:526342

TITLE: White polyester film for light reflective plate

INVENTOR(S): Fujii, Hideki; Tanaka, Kazunori; Okuda, Masahiro

PATENT ASSIGNEE(S): Toray Industries, Inc., Japan

SOURCE: PCT Int. Appl., 46pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008053739	A1	20080508	WO 2007-JP70582	20071023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: JP 2006-292294 A 20061027

AB The invention relates to a white polyester film for a liquid crystal display reflective plate, which, when used in side light-type liquid crystal displays and downright-type liquid crystal displays, can realize a high level of brightness. A white polyester film has the thickness  $\geq 200$   $\mu\text{m}$ , wherein, the wavelength coefficient of the spectral reflectance in 450-600 nm and the estimated reflectance at 560 nm are  $\leq -0.0110$  (%/nm) and  $\geq 100$  (%), resp., for one side of the white polyester film.

IT 1021170-45-5  
RL: TEM (Technical or engineered material use); USES (Uses)  
(white polyester film for light reflective plate)

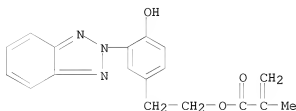
RN 1021170-45-5 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with 1,1'-[2-ethyl-2-[(1-oxo-2-propen-1-yl)oxy]methyl]-1,3-propanediyl di-2-propenoate, methyl 2-methyl-2-propenoate and 2,2,6,6-tetramethyl-4-piperidiny 2-methyl-2-propenoate (CA INDEX NAME)

CM 1

CRN 96478-09-0

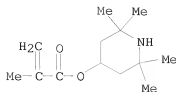
CMF C18 H17 N3 O3



CM 2

CRN 31582-45-3

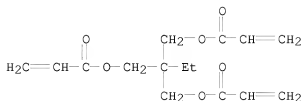
CMF C13 H23 N O2



CM 3

CRN 15625-89-5

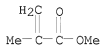
CMF C15 H20 O6



CM 4

CRN 80-62-6

CMF C5 H8 O2



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:530160 CAPLUS

DOCUMENT NUMBER: 148:517749

TITLE: Preparation of 6-aminocarbonyl-2-phenylpyrimidine derivatives as P2Y12 receptor antagonists  
Caroff, Eva; Hilpert, Kurt; Meyer, Emmanuel  
Actelion Pharmaceuticals Ltd., Switz.  
PCT Int. Appl., 65pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008050301	A2	20080502	WO 2007-1B54325	20071024
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,				

PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,  
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW,  
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

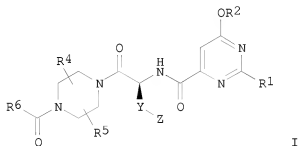
WO 2006-IB53929

A 20061025

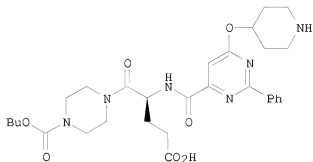
OTHER SOURCE(S):

MARPAT 148:517749

GI



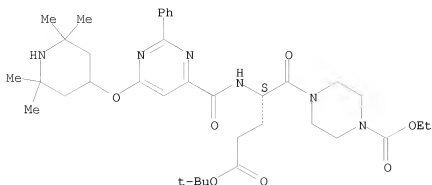
I



II

- AB The title compds. I [R1 = Ph optionally substituted by halo, Me, OMe, CF3, OCF3; R2 = alkoxyalkoxyalkyl, dihydroxyalkyl, dimethoxyalkyl, 2,2-dimethyl-1,3-dioxolan-4-yl, 2,2,6,6-tetramethylpiperidin-4-yl, cycloalkyl substituted with O, S, NH, NR3, SO, SO2; R3 = alkyl, arylalkyl; R4, R5 = H, Me; R6 = alkoxy; Y = alkylene, phenylalkylene; Z = OH, COOH, CN, tetrazolyl, COOR7; R7 = alkyl] and their salt derivs. were prepared as P2Y12 receptor antagonists. For example, glutamic acid-derived title compound II was prepared in a stepwise fashion from starting materials 1-ethoxycarbonylpiperazine, Cbz-Glu(OBu-t)-OH, 6-chloro-2-phenylpyrimidine-4-carboxylic acid and N-benzyl-4-hydroxypiperidine. In an P2Y12 receptor binding assay, II demonstrated 71 nM at IC50.
- IT 1021703-03-6P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of phenyl(aminocarbonyl)pyrimidine derivs. as P2Y12 receptor antagonists)
- RN 1021703-03-6 CAPLUS
- CN 1-Piperazinepentanoic acid, 4-(ethoxycarbonyl)-8-oxo-γ-[[[2-phenyl-6-[(2,2,6,6-tetramethyl-4-piperidinyloxy]-4-pyrimidinyl]carbonyl]amino]-, 1,1-dimethylethyl ester, (γS)- (CA INDEX NAME)

Absolute stereochemistry.



IT 1021702-92-0P

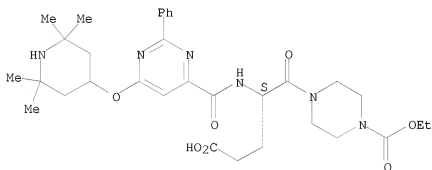
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenyl(aminocarbonyl)pyrimidine derivs. as P2Y<sub>12</sub> receptor antagonists)

RN 1021702-92-0 CAPLUS

CN 1-Piperazinepentanoic acid, 4-(ethoxycarbonyl)-δ-oxo-γ-[[[2-phenyl-6-[(2,2,6,6-tetramethyl-4-piperidinyloxy)carbonyl]amino]-, (γS)- (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:504716 CAPLUS

DOCUMENT NUMBER: 148:473818

TITLE: Primer compositions for use with polysiloxane coatings

INVENTOR(S): Higuchi, Koichi; Yamaya, Masaaki

PATENT ASSIGNEE(S): Shin-Etsu Chemical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 24pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1914259	A1	20080423	EP 2007-254149	20071019
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,  
AL, BA, HR, MK, RS  
JP 2008120986 A 20080529 JP 2007-36412 20070216  
US 20080096029 A1 20080424 US 2007-870685 20071011  
PRIORITY APPLN. INFO.: JP 2006-285173 A 20061019  
JP 2007-36412 A 20070216

AB A primer composition for a polysiloxane hard coating comprises (a) a vinyl polymer comprising a hydrolyzable silyl group and/or SiOH group and an organic UV absorbing group bonded to its side chain, and (b) fine silica particles dispersed in an organic solvent, wherein the primer layer formed after coating and curing has a coefficient of linear expansion up to 150 + 10-6/°. Thus, a monomer solution was prepared by dissolving 2-[2'-hydroxy-5'-(2-methacryloxyethyl)phenyl]-2H-benzotriazole RUVA 93 (67.5),  $\gamma$ -methacryloxypropyltrimethoxysilane (90), Me methacrylate (270), and glycidyl methacrylate (22.5) in diacetone alc. (350 g), and an initiator solution was prepared by dissolving 2,2'-azobis(2-methylbutyronitrile) (2.3) in acetone alc. (177.7 g). The monomer solution (240) and the initiator solution (54) were sequentially added under nitrogen into diacetone alc. (152 g) preheated to 80°, the reaction mixture was stirred at 80° for 30 min, the remaining monomer solution and the remaining initiator solution were simultaneously added dropwise at 80-90° over 1.5 h, and the mixture was stirred at 80-90° for 5 h to obtain a polymer having an UV-absorbing monomer content of 15%, a trimethoxysilyl-containing monomer content of 20%, and a weight-average mol. weight of 60,800. To prepare a primer composition, the polymer solution (100 parts, solids) was mixed with a 30%-dispersion of silica particles (10-15 nm) in propylene glycol monomethyl ether acetate (18 parts, solids), followed by dilution with a 20/80-mixture of diacetone alc. and propylene glycol monomethyl ether to a solids content of 10%.

IT 1020264-03-2DP, reaction products with acetic anhydride and hexamethyldisilazane  
RL: IMF (Industrial manufacture); POF (Polymer in formulation); PRP (Properties); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
(primer compns. for use with polysiloxane coatings)

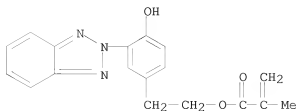
RN 1020264-03-2 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with 2-[[3-(diethoxymethylsilyl)propoxy]methyl]oxirane, ethenyl acetate, methyl 2-methyl-2-propenoate, 2-oxiranylmethyl 2-methyl-2-propenoate, 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate, N1-[3-(trimethoxysilyl)propyl]-1,2-ethanediamine and 3-(trimethoxysilyl)propyl 2-methyl-2-propenoate (CA INDEX NAME)

CM 1

CRN 96478-09-0

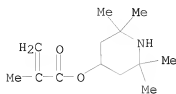
CMF C18 H17 N3 O3



CM 2

CRN 31582-45-3

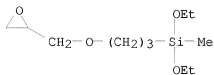
CMF C13 H23 N O2



CM 3

CRN 2897-60-1

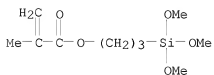
CMF C11 H24 O4 Si



CM 4

CRN 2530-85-0

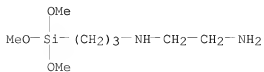
CMF C10 H20 O5 Si



CM 5

CRN 1760-24-3

CMF C8 H22 N2 O3 Si



CM 6

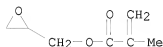
CRN 108-05-4

CMF C4 H6 O2



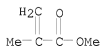
CM 7

CRN 106-91-2  
CMF C7 H10 O3



CM 8

CRN 80-62-6  
CMF C5 H8 O2



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:502589 CAPLUS

DOCUMENT NUMBER: 148:497012

TITLE: Resin composition and molded article produced from the composition

INVENTOR(S): Shibuya, Atsushi; Kumamoto, Yukihiro; Wada, Masaru; Abe, Shota; Terado, Yuji; Mita, Naruyoshi; Matoishi, Kaori

PATENT ASSIGNEE(S): Mitsui Chemicals, Inc., Japan

SOURCE: PCT Int. Appl., 138pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008047468	A1	20080424	WO 2007-JP1102	20071011
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,			

BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

JP 2006-283105

A 20061017

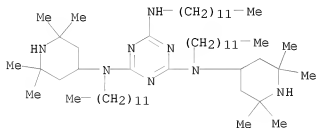
AB The invention relates to a resin composition comprising 100 parts by mass of a polymer having an alicyclic structure in at least a part of a repeating unit and 0.05 to 5 parts by mass of a hindered amine compound having a carbon atom at a ratio of 67 to 80 wt% inclusive in the mol. structure and having a mol. weight of 500 to 3500 inclusive; a novel piperidine derivative having a piperidylaminotriazine skeleton; a molded article such as an optical component, which is produced by molding the resin composition; and an optical pickup device which utilizes the optical component.

IT 1021178-30-2P, N,N',N''-Trilauryl-N,N'-bis(2,2,6,6-tetramethylpiperidinyl)-1,3,5-triazine-2,4,6-triamine  
 RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)  
 (LTADA; production of hindered amine compound for resin composition and

molded article)

RN 1021178-30-2 CAPLUS

CN 1,3,5-Triazine-2,4,6-triamine, N2,N4,N6-tridodecyl-N2,N4-bis(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

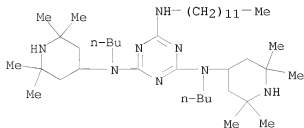


IT 1021178-33-5P, N,N'-Dibutyl-N''-dodecyl-N,N'-bis(2,2,6,6-tetramethyl-4-piperidinyl)-1,3,5-triazine-2,4,6-triamine  
 1021178-34-6P, N,N',N''-Tributyl-N,N'-bis(2,2,6,6-tetramethyl-4-piperidinyl)-1,3,5-triazine-2,4,6-triamine 1021178-35-7P, N,N'-Dibutyl-N'',N''-dioctyl-N,N'-bis(2,2,6,6-tetramethyl-4-piperidinyl)-1,3,5-triazine-2,4,6-triamine 1021178-38-0P, N-Butyl-N',N''-didodecyl-N,N'-bis(2,2,6,6-tetramethyl-4-piperidinyl)-1,3,5-triazine-2,4,6-triamine  
 RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)  
 (production of hindered amine compound for resin composition and molded

article)

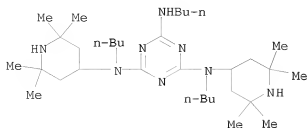
RN 1021178-33-5 CAPLUS

CN 1,3,5-Triazine-2,4,6-triamine, N2,N4-dibutyl-N6-dodecyl-N2,N4-bis(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



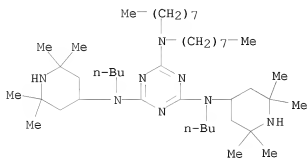
RN 1021178-34-6 CAPLUS

CN 1,3,5-Triazine-2,4,6-triamine, N2,N4,N6-tributyl-N2,N4-bis(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



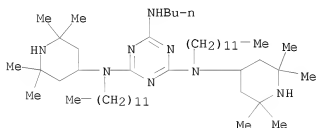
RN 1021178-35-7 CAPLUS

CN 1,3,5-Triazine-2,4,6-triamine, N2,N4-dibutyl-N6,N6-dioctyl-N2,N4-bis(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



RN 1021178-38-0 CAPLUS

CN 1,3,5-Triazine-2,4,6-triamine, N6-butyl-N2,N4-didodecyl-N2,N4-bis(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:474687 CAPLUS

DOCUMENT NUMBER: 148:472070

TITLE: Preparation of cyclopropylcarbonyl diarylmethyl piperazines as calcium channel blockers

INVENTOR(S): Pajouhesh, Hassan; Pajouhesh, Hossein; Kaul, Ramesh

PATENT ASSIGNEE(S): Neuromed Pharmaceuticals Ltd., Can.

SOURCE: PCT Int. Appl., 60pp.

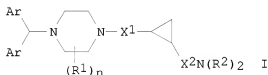
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008043183	A1	20080417	WO 2007-CA1827	20071012
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

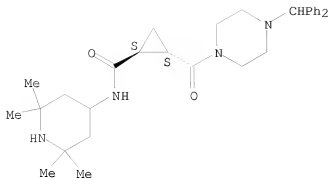
PRIORITY APPLN. INFO.: US 2006-851515P P 20061013  
 OTHER SOURCE(S): MARPAT 148:472070  
 GI



AB Title compds. [I; X1, X2 = (substituted) alkylene, alkenylene, alkynylene, heteroalkylene, heteroalkenylene, heteroalkynylene; Ar = (substituted) aryl, heteroaryl; R1 = :O, :NOR', halo, cyano, OR', SR', SOR', SO2R', N(R')2, NR'SO2R', NR'COR', (substituted) alkyl, alkenyl, alkynyl, heteroalkyl, heteroalkenyl, aryl, heteroaryl, aralkyl, heteroaralkyl, aryloxy, heteroaryloxy; R' = H, (substituted) alkyl, alkenyl, alkynyl, heteroalkyl, heteroalkenyl, heteroalkynyl, heteroaryl, aralkyl, heteroaralkyl, heteroarylalkyl; R2 = H, (substituted) alkyl, alkenyl, alkynyl, heteroalkyl, heteroalkenyl, heteroalkynyl, aryl, heteroaryl, heteroaralkyl, aralkyl; n = 0-4], were prepared Thus, (1R,2R)-2-(4-benzhydrylpiperazine-1-carbonyl)-N-tert-butylcyclopropanecarboxamide [4 step preparation from di-Et (1R,2R)-1,2-cyclopropanecarboxylate, 1-diphenylmethylpiperazine, and tert-butylamine given] showed N-type calcium channel blocking activity with IC50 = 0.16 μM at 0.067 Hz.

IT 1019771-37-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (claimed compound; preparation of cyclopropylcarbonyl diarylmethyl piperazines as calcium channel blockers)  
 RN 1019771-37-9 CAPLUS  
 CN Cyclopropanecarboxamide, 2-[[4-(diphenylmethyl)-1-piperazinyl]carbonyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)-, (1S,2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:410396 CAPLUS

DOCUMENT NUMBER: 148:426904

TITLE: Preparation of phenyl(piperazinylphenyl)pyrazolo[1,5-a]pyrimidinylamine derivatives for use as Lck inhibitors

INVENTOR(S): Buehlmayer, Peter; Breitenstein, Werner; Furet, Pascal; Pirard, Bernard; Von Matt, Anette; Zoller, Thomas

PATENT ASSIGNEE(S): Novartis A.-G., Switz.

SOURCE: PCT Int. Appl., 87pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008037459	A1	20080403	WO 2007-EP8390	20070926
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: EP 2006-121416 A 20060928

OTHER SOURCE(S): MARPAT 148:426904

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 and R2 independently = H, OH, NH2, alkoxy, etc.; provided that at least one is not H; R3 = H, halo, alkyl, or alkoxy; R4 = H, (un)substituted alkyl, or alkoxy; R5, R6, and R7 independently = H, OH,

OR8; provided that at least one is not H; R8 = alkyl, piperidine, morpholine, etc.; with several provisions], and their pharmaceutically acceptable salts, are prepared and disclosed as Lck (lymphocyte specific protein tyrosine kinase) inhibitors. Thus, e.g., II was prepared by heterocyclization of 4-[4-(4-methylpiperazin-1-yl)phenyl]-2H-pyrazol-3-ylamine (preparation given) and 3-dimethylamino-2-(4-nitrophenyl)acrylonitrile (preparation given) followed by reduction and

amidation

with iso-Bu chloroformate. I were evaluated in biochem. Lck kinase assays, e.g., II demonstrated an IC50 value of 10 nM.

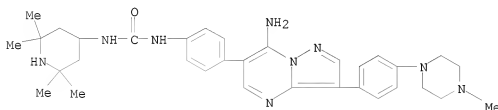
IT 1017271-55-4P 1017271-57-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenyl(piperazinylphenyl)pyrazolo[1,5-a]pyrimidinylamine derivs. for use as Lck inhibitors)

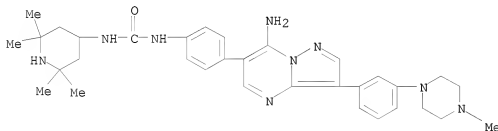
RN 1017271-55-4 CAPLUS

CN Urea, N-[4-[7-amino-3-[4-(4-methyl-1-piperazinyl)phenyl]pyrazolo[1,5-a]pyrimidin-6-yl]phenyl]-N'-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



RN 1017271-57-6 CAPLUS

CN Urea, N-[4-[7-amino-3-[3-(4-methyl-1-piperazinyl)phenyl]pyrazolo[1,5-a]pyrimidin-6-yl]phenyl]-N'-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:253345 CAPLUS

DOCUMENT NUMBER: 148:308343

TITLE: Imidazole derivatives as antiinflammatory agents and their preparation, pharmaceutical compositions and use in the treatment of inflammation associated with immune system impairment

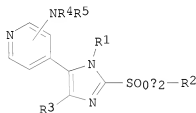
INVENTOR(S): Albrecht, Wolfgang; Hauser, Dominik; Laufer, Stefan; Striegel, Hans-Guenter; Tollmann, Karola

PATENT ASSIGNEE(S): Merckle GmbH, Germany

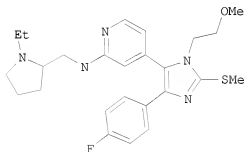
SOURCE: PCT Int. Appl., 99pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008023066	A1	20080228	WO 2007-EP58847	20070824
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1894925	A1	20080305	EP 2006-17677	20060824
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

PRIORITY APPLN. INFO.: EP 2006-17677 A 20060824  
 OTHER SOURCE(S): MARPAT 148:308343  
 GI



I



II

AB The invention relates to imidazole derivs. of formula I, which have immunomodulatory and/or cytokine release-inhibitory effects and are therefore suitable for the treatment of disorders associated with an impairment of the immune system. Compds. of formula I wherein R1 is

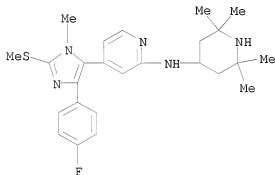
(un)substituted C1-6 alkyl, C1-6 oxoalkyl, C2-6 alkenyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-6 alkyl, etc.; R2 is (un)substituted C1-6 alkyl, (un)substituted phenyl-C1-4 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C2-6 alkynyl and (un)substituted phenyl; R1R2 together is (CH2)2-3; R3 is (un)substituted phenyl; R4 is H, C1-4 alkyl, Ph, benzyl, C1-6 alkoxy-C1-6 alkyl, C1-6 alkoxy-C3-7 cycloalkyl, hydroxy-C1-6 alkyl and hydroxy-C3-7 cycloalkyl; R5 is C1-6 alkoxy-C1-6 alkyl, C1-6 alkoxy-C3-7 cycloalkyl, hydroxy-C2-6 alkyl, hydroxy-C3-7 cycloalkyl, C3-7 oxocycloalkyl, etc.; and their optical isomers and physiol. tolerated salts thereof, are claimed. Example compound II was prepared by N-arylation of (1-ethylpyrrolidin-2-yl)methylamine with 2-fluoro-4-[5-(4-fluorophenyl)-3-(2-methoxyethyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridine. All the invention compds. were evaluated for their antiinflammatory activity. From the assay, it was determined that compound II and some of the other tested compds. exhibited the IC50 values of less than 1 µM.

IT 1009307-91-8P, N-[4-[5-(4-Fluorophenyl)-3-methyl-2-methylsulfanyl-3H-imidazol-4-yl]pyridin-2-yl]-2,2,6,6-tetramethylpiperidin-4-ylamine  
1009307-92-9P, N-[4-[5-(4-Fluorophenyl)-3-(2-methoxyethyl)-2-methylsulfanyl-3H-imidazol-4-yl]pyridin-2-yl]-2,2,6,6-tetramethylpiperidin-4-ylamine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate and intermediate; preparation of imidazole derivs. as antiinflammatory agents useful in treatment of inflammation associated with immune system impairment)

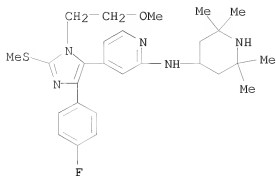
RN 1009307-91-8 CAPLUS

CN 2-Pyridinamine, 4-[4-(4-fluorophenyl)-1-methyl-2-(methylthio)-1H-imidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



RN 1009307-92-9 CAPLUS

CN 2-Pyridinamine, 4-[4-(4-fluorophenyl)-1-(2-methoxyethyl)-2-(methylthio)-1H-imidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

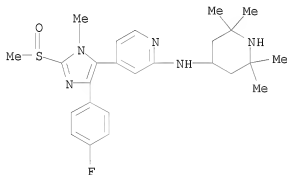


IT 1009307-97-4P, N-[4-[5-(4-Fluorophenyl)-2-methylsulfinyl-3-methyl-3H-imidazol-4-yl]pyridin-2-yl]-2,2,6,6-tetramethylpiperidin-4-ylamine  
 1009307-98-5P, N-[4-[5-(4-Fluorophenyl)-2-methylsulfinyl-3-(2-methoxyethyl)-3H-imidazol-4-yl]pyridin-2-yl]-2,2,6,6-tetramethylpiperidin-4-ylamine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of imidazole derivs. as antiinflammatory agents useful in treatment of inflammation associated with immune system impairment)

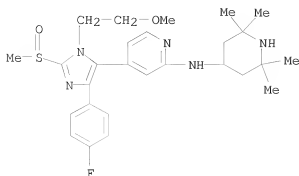
RN 1009307-97-4 CAPLUS

CN 2-Pyridinamine, 4-[4-(4-fluorophenyl)-1-methyl-2-(methylsulfinyl)-1H-imidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



RN 1009307-98-5 CAPLUS

CN 2-Pyridinamine, 4-[4-(4-fluorophenyl)-1-(2-methoxyethyl)-2-(methylsulfinyl)-1H-imidazol-5-yl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:223700 CAPLUS

DOCUMENT NUMBER: 148:285056

TITLE: Preparation of N-pyridinyl benzamides derivatives as cytokine inhibitors

INVENTOR(S): Boman, Erik; Ernst, Justin; Montalban, Antonio Garrido; Larson, Christopher; Lum, Christopher; Pei, Yazhong; Sebo, Lubomir; Urban, Jan; Wang, Zhijun; Zhu, Jay

PATENT ASSIGNEE(S): Kemia, Inc., USA

SOURCE: PCT Int. Appl., 309pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

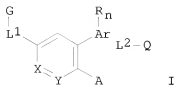
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021388	A1	20080221	WO 2007-US18049	20070816
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

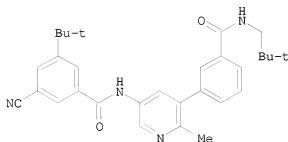
PRIORITY APPLN. INFO.: US 2006-838795P P 20060817  
US 2007-891470P P 20070223

OTHER SOURCE(S): MARPAT 148:285056

GI



I



II

AB The title compds. I [X = CH, N or NO; Y = CH, N, NO, provided that X and Y are not both CH or NO; A = halo, alkyl, alkoxy, etc.; G = (un)substituted (hetero)aryl; Ar = 6-membered aryl or heteroaryl; L1 = CONH; L2 = a bond, CONH, CONHCH2, etc.; Q = (un)substituted alkyl, cycloalkyl, aryl, etc.; R = H or alkyl; n = 0-2; with the provision] were prepared and disclosed as cytokine inhibitors. E.g., a multi-step synthesis of II, starting from 2-methyl-3-bromo-5-nitropyridine, was given. Each of 345 exemplified compds. I listed in a table was tested in the TNF $\alpha$  ELISA assay and was found to have activity therein, with most compds. having IC50s below 10  $\mu$ M in this assay. In particular, I are useful as anti-inflammatory agents. Further disclosed are methods for their use in preventing or treating conditions mediated by cytokines, such as for example arthritis, pain, and cancer.

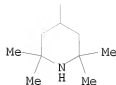
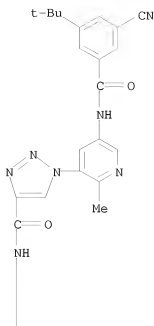
IT 1008135-95-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-pyridinyl benzamides as cytokine inhibitors useful in treating and preventing cytokine-mediated diseases)

RN 1008135-95-2 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxamide, 1-[5-[[3-cyano-5-(1,1-dimethylethyl)benzoyl]amino]-2-methyl-3-pyridinyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:183363 CAPLUS  
 DOCUMENT NUMBER: 148:240034  
 TITLE: Light stabilizer group-containing siloxane oligomers and their manufacture  
 INVENTOR(S): Honma, Takayuki; Kubota, Toru; Kiyomori, Ayumi  
 PATENT ASSIGNEE(S): Shin-Etsu Chemical Industry Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 17pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008031077	A	20080214	JP 2006-205857	20060728
PRIORITY APPLN. INFO.:			JP 2006-205857	20060728

AB Title oligomers having  $\geq 1$  hindered amino group-containing organic groups and  $\geq 1$  alkoxy or OH groups per mol. are manufactured by hydrolysis and

condensation of (A)  $R_1Si(OR_2)_3$  or (B)  $R_1R_3mSi(OR_2)_3$  with  $R_4nSi(OR_2)_4$ -n  
[ $R_1$  = hindered amino-containing monovalent organic group;  $OR_2$  = C1-10 alkoxyl;

R3

= C1-30 (un)substituted monovalent hydrocarbyl;  $R_4$  = C1-30 (un)substituted monovalent hydrocarbyl, 1-5 Si-containing group;  $m = 0-2$ ; when  $m = 0$ , then  $n = 0-3$ ; when  $m = 1, 2$ , then  $n = 0, 1$ . Thus, 3-(2,2,6,6-tetramethylpiperidinyl-4-oxy)propyltrimethoxysilane was refluxed with AcONa in MeOH and H<sub>2</sub>O for 3 h to give transparent oil with viscosity 5200 mm<sup>2</sup>/s at 25°.

IT

1006028-96-1P, Propyltrimethoxysilane-[3-(2,2,6,6-tetramethylpiperidinyl-4-oxy)propyltrimethoxysilane copolymer  
1006028-98-3P, 3-Methacryloxypropyltrimethoxysilane-[3-(2,2,6,6-tetramethylpiperidinyl-4-oxy)propyltrimethoxysilane copolymer  
1006029-00-0P, [3-(2,2,6,6-Tetramethylpiperidinyl-4-oxy)propyltriethoxysilane homopolymer  
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
(oligomeric; manufacture of hindered amine-modified siloxane oligomers as light stabilizers)

RN

1006028-96-1 CAPLUS

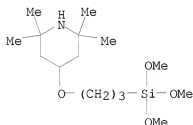
CN

Piperidine, 2,2,6,6-tetramethyl-4-[3-(trimethoxysilyl)propoxy]-, polymer with trimethoxypropylsilane (CA INDEX NAME)

CM 1

CRN 104086-94-4

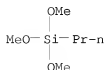
CMF C15 H33 N O4 Si



CM 2

CRN 1067-25-0

CMF C6 H16 O3 Si



RN

1006028-98-3 CAPLUS

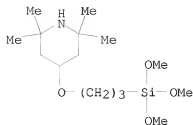
CN

2-Propenoic acid, 2-methyl-, 3-(trimethoxysilyl)propyl ester, polymer with 2,2,6,6-tetramethyl-4-[3-(trimethoxysilyl)propoxy]piperidine (CA INDEX NAME)

CM 1

CRN 104086-94-4

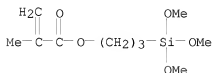
CMF C15 H33 N O4 Si



CM 2

CRN 2530-85-0

CMF C10 H20 O5 Si



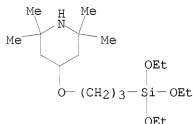
RN 1006029-00-0 CAPLUS

CN Piperidine, 2,2,6,6-tetramethyl-4-[3-(triethoxysilyl)propoxy]-,  
homopolymer (CA INDEX NAME)

CM 1

CRN 102089-34-9

CMF C18 H39 N O4 Si



L8 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:175807 CAPLUS

DOCUMENT NUMBER: 148:280306

TITLE: Six naphthylisoquinoline alkaloids and a related  
benzopyranone from a Congolese Ancistrocladus species  
related to Ancistrocladus congolensis

AUTHOR(S): Bringmann, Gerhard; Spuziak, Joanna; Faber, Johan H.;  
Gulder, Tanja; Kajahn, Inga; Dreyer, Michael; Heubl,  
Guenther; Brun, Reto; Mudogo, Virima

CORPORATE SOURCE: Institute of Organic Chemistry, University of  
Wuerzburg, Wuerzburg, D-97074, Germany

SOURCE: Phytochemistry (Elsevier) (2008), 69(4), 1065-1075

PUBLISHER: Elsevier Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

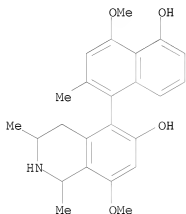
CODEN: PYTCAS; ISSN: 0031-9422

AB From the roots of a recently discovered *Ancistrocladus* taxon, with close affinities to *Ancistrocladus congolensis* regarding mol. ITS sequence data, six naphthylisoquinoline alkaloids, 5'-O-demethylhamatine (2), 5'-O-demethylhamatine (3), 6-O-demethylancistroealaine A (4), 6,5'-O,0-didemethylancistroealaine A (5), 5-epi-6-O-methylancistrobertsonine A (6), and 5-epi-4'-O-demethylancistrobertsonine C (7), have been isolated, along with a likewise benzopyranone carboxylic acid, 8. The structural elucidation succeeded by chemical, spectroscopic, and chiroptical methods. Their bioactivities were tested against protozoan parasites causing severe tropical diseases. Furthermore, eight known related alkaloids were identified.

IT 1008775-69-6P  
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)  
(alkaloids and related benzopyranone from Congolese *Ancistrocladus* species related to *Ancistrocladus congolensis*)

RN 1008775-69-6 CAPLUS

CN 6-Isoquinolinol, 1,2,3,4-tetrahydro-5-(5-hydroxy-4-methoxy-2-methyl-1-naphthalenyl)-8-methoxy-1,3-dimethyl-, (1S,3S,5R)- (CA INDEX NAME)



REFERENCE COUNT: 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:159325 CAPLUS

DOCUMENT NUMBER: 148:242409

TITLE: Sterically hindered amines containing the tetramethylpiperidinyll group as lubricating oil stabilizers

INVENTOR(S): Chasan, David Eliezer; Wilson, Patricia Roberta; Ribeaud, Marc

PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.

SOURCE: PCT Int. Appl., 13pp.

CODEN: PIXXD2

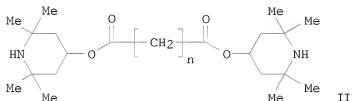
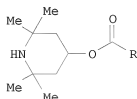
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008015116	A2	20080207	WO 2007-EP57552	20070723
WO 2008015116	A3	20080320		
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA</p>				
US 20080051306	A1	20080228	US 2007-881718	20070727
PRIORITY APPLN. INFO.:			US 2006-834383P	P 20060731
OTHER SOURCE(S):			MARPAT 148:242409	
GI				

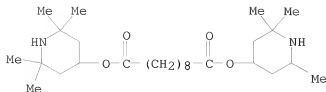


AB Sterically hindered amines, with an N-H bond, for stabilizers and antioxidants in lubricating oils, are 2,2,6,6-tetramethylpiperidinyll group-containing esters of formulas I and II, in which R is linear or branched C7-17-alkyl and n = 6-18. The sterically hindered amines are non-aggressive towards fluoroelastomer O-rings or seals.

IT 1005494-52-9  
 RL: MOA (Modifier or additive use); USES (Uses)  
 (antioxidant-stabilizers; sterically hindered amines containing the tetramethylpiperidinyll group as lubricating oil stabilizers)

RN 1005494-52-9 CAPLUS

CN Decanedioic acid, 1-(2,2,6,6-tetramethyl-4-piperidinyll)  
 10-(2,2,6-trimethyl-4-piperidinyll) ester (CA INDEX NAME)



L8 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:124384 CAPLUS

DOCUMENT NUMBER: 148:192752

TITLE: Improved processing conditions of polyethylene articles in course of their manufacture by melt processing

INVENTOR(S): Dongiovanni, Ernesto; Supat, Korada; Saisuwan, Warangkana; Kroehnke, Christoph

PATENT ASSIGNEE(S): Clariant International Ltd, Switz.

SOURCE: PCT Int. Appl., 48pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008012319	A1	20080131	WO 2007-EP57647	20070725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: EP 2006-291216 A 20060725

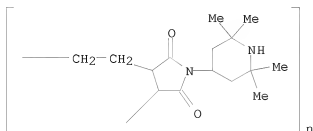
AB The manufacture of polyethylene based wall articles with improved color and processing conditions, can be surprisingly reached by the use of a specific combination of stabilizers. The combination COMB of compds. comprises a component A, a component B and a component D; wherein the component A comprises a compound obtainable by reacting PC13 with 4,4'-thiobis-(6-tert-butyl-m-cresol); the component B is selected from the group consisting of the compds. of tris(2,4-di-tert-butylphenyl)phosphite, bis(2,4-di-tert-butylphenyl)pentaerythritol diphosphite and tetrakis(2,4-di-tert-butylphenyl)[1,1-biphenyl]-4,4'-diylbisphosphonate; the component D is selected from the group consisting of the compds. of N,N'-bis(2,2,6,6-tetramethyl-4-piperidyl)hexamethylenediamine and 2,4-dichloro-N-(1,1,3,3-tetramethylbutyl)-1,3,5-triazin-2-amine copolymer, polymer of 2,2,4,4-tetramethyl-7-oxa-3,20-diaza-dispiro [5.1.11.2]-heneicosan-21-on and epichlorohydrine, 1,6-Hexanediamine, N,N'-bis(2,2,6,6-tetramethyl-4-piperidyl)-, polymer with 2,4,6-trichloro-1,3,5-triazine, reaction products with, N-butyl-1-butanamine and N-butyl-2,2,6,6-tetramethyl-4-piperidinamine, poly-[1-(2'-Hydroxyethyl)-2,2,6,6-tetramethyl-4-hydroxy piperidylsuccinate. Component D is also selected from poly-[6-morpholino-s-triazine-2,4-diyl][2,2,6,6-tetramethyl-4-piperidyl]

imino]-hexamethylene-[(2,2,6,6-tetramethyl-4-piperidyl) imino]],  
 1,3-Bis-[2'-cyano-3',3-diphenylacryloyl]oxy]-2,2-bis- {  
 [2-cyano-3',3'-diphenylacryloyl]oxy)methyl } propane and propanedioic acid  
 [(4-methoxyphenyl)-methylene]-bis(1,2,2,6,6-pentamethyl-4-piperidiny])  
 ester, ) and the combination of the compds. of [N,N'-bis(2,2,6,6-  
 tetramethyl-4-piperidyl)hexamethylenediamine 2,4-dichloro-N-(1,1,3,3-  
 tetramethylbutyl)- 1,3,5-triazin-2-amine] copolymer and poly[ 1  
 -(2'-hydroxyethyl)-2,2,6,6-tetramethyl-4-hydroxy piperidylsuccinate]; and  
 optionally comprises at least one component selected from the group  
 consisting of component C, component E and component F; the component C  
 being a primary sterically hindered phenol based antioxidant, the  
 component E being a UV absorber, and the component F being an anti-acid.

IT 1004523-26-5D, alkyl derivative  
 RL: TEM (Technical or engineered material use); USES (Uses)  
 (improved processing conditions of polyethylene articles)

RN 1004523-26-5 CAPLUS

CN Poly[[2,5-dioxo-1-(2,2,6,6-tetramethyl-4-piperidinyl)-3,4-pyrrolidinediyl]-  
 1,2-ethanediyl] (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:97048 CAPLUS

DOCUMENT NUMBER: 148:191958

TITLE: Benzothioophene derivatives, processes for preparing  
 them, pharmaceutical compositions containing them, and  
 their use as inhibitors of Rho kinase

INVENTOR(S): Kahraman, Mehmet; Borchardt, Allen J.; Cook, Travis  
 G.; Davis, Robert L.; Gardiner, Elisabeth M. M.;  
 Malecha, James W.; Noble, Stewart A.; Prins, Thomas J.

PATENT ASSIGNEE(S): Borchardt, Allen, J., USA; Cook, Travis, G.; Davis,  
 Robert, L.; Gardiner, Elisabeth, M.M.; Malecha, James,  
 W.; Noble, Stewart, A.; Prins, Thomas, J.

SOURCE: PCT Int. Appl., 184 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008011560	A2	20080124	WO 2007-US73971	20070720
WO 2008011560	A3	20080327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,				
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,				
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,				
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,				
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,				

PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,  
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW,  
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

US 20080021217 A1 20080124 US 2007-780735 20070720

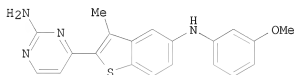
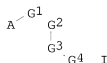
US 20080021026 A1 20080124 US 2007-780834 20070720

PRIORITY APPLN. INFO.: US 2006-832634P P 20060720

US 2007-915772P P 20070503

OTHER SOURCE(S): MARPAT 148:191958

GI



II

AB The invention relates to heteroaryl compds. I, processes for preparing them, pharmaceutical prepn.s. comprising them, and their pharmaceutical use. I are inhibitors of Rho kinase, useful in the treatment of, e.g., hypertension, etc. In compds. I, A is (un)substituted heteroaryl; G1 is (un)substituted fused bicyclic heteroaryl; G2 is (un)substituted (CH2)mZ(CH2)p and null, wherein m and p are 0 to 4, Z is (un)substituted NH, NHC(O), O, C(O), or null, etc.; G3 is (un)substituted alkyl, aryl, alkoxy, etc.; G4 is H, halo, (un)substituted NH2, alkyl, alkoxy, etc.; including pharmaceutically acceptable salts, esters, or prodrugs thereof. For instance, the invention compound II was prepared and gave 9.5% (or 18.6%) lowering of IOP (intraocular pressure) vs. control at 0.3% (or 1.0%) in monkeys.

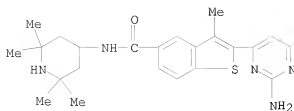
IT 1003906-21-5P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzothiophene derivs. as inhibitors of Rho kinase)

RN 1003906-21-5 CAPLUS

CN Benzo[b]thiophene-5-carboxamide, 2-(2-amino-4-pyrimidinyl)-3-methyl-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)



L8 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:94297 CAPLUS

DOCUMENT NUMBER: 148:169500

TITLE: Crosslinked (meth)acrylic ester copolymer and secondary-battery electrode using the same

INVENTOR(S): Fujimoto, Nobutaka; Ueda, Koji

PATENT ASSIGNEE(S): Sumitomo Seika Chemicals Co., Ltd., Japan

SOURCE: PCT Int. Appl., 32pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

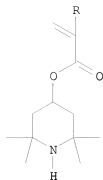
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008010356	A1	20080124	WO 2007-JP61311	20070604
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
JP 2008045096	A	20080228	JP 2006-237785	20060901
PRIORITY APPLN. INFO.:			JP 2006-197290	A 20060719
			JP 2006-237785	A 20060901

GI



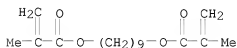
II

- AB A crosslinked (meth)acrylic ester copolymer having good stability to solvents and crack resistance, is obtained by polymerizing a (meth)acrylic acid imino compound I (R = H, methyl) with a (meth)acrylic acid ester in the presence of a crosslinking agent and nitrooxidn, reacting the polymer.
- IT 1002322-80-6DP, oxidized 1002322-81-7DP, oxidized  
1002322-82-8DP, oxidized  
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
(crosslinked (meth)acrylic ester copolymer for secondary-battery electrode)
- RN 1002322-80-6 CAPLUS
- CN 2-Propenoic acid, 2-methyl-, 1,1'-(1,9-nonanediyl) ester, polymer with octadecyl 2-methyl-2-propenoate and 2,2,6,6-tetramethyl-4-piperidinyl 2-methyl-2-propenoate (CA INDEX NAME)

CM 1

CRN 65833-30-9

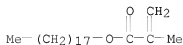
CMF C17 H28 O4



CM 2

CRN 32360-05-7

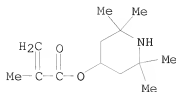
CMF C22 H42 O2



CM 3

CRN 31582-45-3

CMF C13 H23 N O2



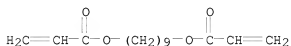
RN 1002322-81-7 CAPLUS

CN 2-Propenoic acid, 2-methyl-, octadecyl ester, polymer with 1,1'-(1,9-nonanediyl) di-2-propenoate and 2,2,6,6-tetramethyl-4-piperidiny 2-methyl-2-propenoate (CA INDEX NAME)

CM 1

CRN 107481-28-7

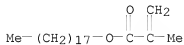
CMF C15 H24 O4



CM 2

CRN 32360-05-7

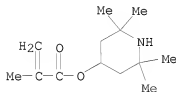
CMF C22 H42 O2



CM 3

CRN 31582-45-3

CMF C13 H23 N O2



RN 1002322-82-8 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 1,1'-(1,2-ethanediyl) ester, polymer with octadecyl 2-methyl-2-propenoate and 2,2,6,6-tetramethyl-4-piperidiny 2-methyl-2-propenoate (CA INDEX NAME)

CM 1

CRN 32360-05-7

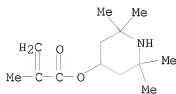
CMF C22 H42 O2



CM 2

CRN 31582-45-3

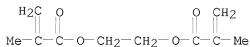
CMF C13 H23 N O2



CM 3

CRN 97-90-5

CMF C10 H14 O4



REFERENCE COUNT: 8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s L3

L9 77 L3

=> s L5

L10 10 L5

=>

=> s cancer

370638 CANCER

54465 CANCERS

L11 384282 CANCER

(CANCER OR CANCERS)

=> s L9 AND L11

L12 2 L9 AND L11

=> s L10 AND L11

L13 0 L10 AND L11

=> d L12 1-2 ibib abs hitstr

L12 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

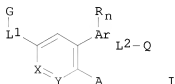
ACCESSION NUMBER: 2008:223700 CAPLUS

DOCUMENT NUMBER: 148:285056

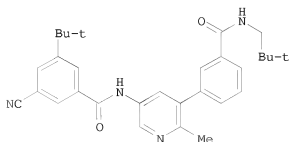
TITLE: Preparation of N-pyridinyl benzamides derivatives as cytokine inhibitors  
 INVENTOR(S): Boman, Erik; Ernst, Justin; Montalban, Antonio  
 Garrido; Larson, Christopher; Lum, Christopher; Pei, Yazhong; Sebo, Lubomir; Urban, Jan; Wang, Zhijun; Zhu, Jay  
 PATENT ASSIGNEE(S): Kemia, Inc., USA  
 SOURCE: PCT Int. Appl., 309pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021388	A1	20080221	WO 2007-US18049	20070816
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-838795P P 20060817  
 US 2007-891470P P 20070223  
 OTHER SOURCE(S): MARPAT 148:285056  
 GI



I



II

AB The title compds. I [X = CH, N or NO; Y = CH, N, NO, provided that X and Y are not both CH or NO; A = halo, alkyl, alkoxy, etc.; G = (un)substituted (hetero)aryl; Ar = 6-membered aryl or heteroaryl; L1 = CONH; L2 = a bond, CONH, CONHCH<sub>2</sub>, etc.; Q = (un)substituted alkyl, cycloalkyl, aryl, etc.; R

= H or alkyl; n = 0-2; with the provision] were prepared and disclosed as cytokine inhibitors. E.g., a multi-step synthesis of II, starting from 2-methyl-3-bromo-5-nitropyridine, was given. Each of 345 exemplified compds. I listed in a table was tested in the TNF $\alpha$  ELISA assay and was found to have activity therein, with most compds. having IC50s below 10  $\mu$ M in this assay. In particular, I are useful as anti-inflammatory agents. Further disclosed are methods for their use in preventing or treating conditions mediated by cytokines, such as for example arthritis, pain, and cancer.

IT 1008135-95-2P

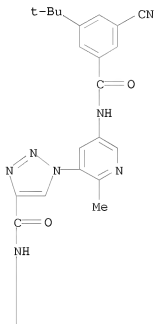
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-pyridinyl benzamides as cytokine inhibitors useful in treating and preventing cytokine-mediated diseases)

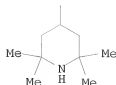
RN 1008135-95-2 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxamide, 1-[5-[[3-cyano-5-(1,1-dimethylethyl) benzoyl]amino]-2-methyl-3-pyridinyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1332992 CAPLUS

DOCUMENT NUMBER: 148:11252

TITLE: Preparation of substituted purinamines as antitumor agents

INVENTOR(S): Bajji, Ashok C.; Kim, Se-Ho; Markovitz, Benjamin; Trovato, Richard; Tangallapally, Rajendra; Anderson, Mark B.; Wettstein, Daniel; Shenderovich, Mark; Vanecko, John A.

PATENT ASSIGNEE(S): Myriad Genetics, Inc., USA

SOURCE: PCT Int. Appl., 477pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007134298	A2	20071122	WO 2007-US68899	20070514
WO 2007134298	A3	20080731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20070299258	A1	20071227	US 2007-748362	20070514
PRIORITY APPLN. INFO.:			US 2006-799874P	P 20060512
			US 2006-822159P	P 20060811
			US 2006-865140P	P 20061109
			US 2007-883707P	P 20070105

OTHER SOURCE(S): MARPAT 148:11252

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I-III [A, B = (un)substituted aryl, heteroaryl, heterocyclyl, cycloalkyl; R1 = H, alkyl, aryl, heteroaryl, etc.; L1, L2 = (CH2)n(CH2)n, (CH2)nC(O)(CH2)n, (CH2)nC(O)N(CH2)n, etc.; n = 0-8], useful for treating Hsp90 dependent disorders such as cancer, were prepared and claimed. Thus, reacting 8-(2,5-dimethoxyphenylsulfanyl)-9H-purin-6-ylamine with (2-bromoethyl)benzene in the presence of Barton's base in DMF afforded 9% IV and 8% V. Compds. I were evaluated for binding to purified Hsp90 (data given).

IT 958016-75-6P 958016-81-4P 958016-93-8P

958016-95-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted purinamines as antitumor agents)

RN 958016-75-6 CAPLUS

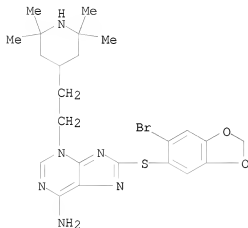
CN 3H-Purin-6-amine, 8-[(6-bromo-1,3-benzodioxol-5-yl)thio]-3-[2-(2,6,6-

tetramethyl-4-piperidinyl)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 958016-74-5

CMF C23 H29 Br N6 O2 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



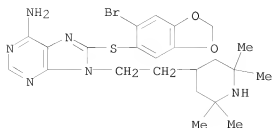
RN 958016-81-4 CAPLUS

CN 9H-Purin-6-amine, 8-[(6-bromo-1,3-benzodioxol-5-yl)thio]-9-[2-(2,2,6,6-tetramethyl-4-piperidinyl)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 958016-80-3

CMF C23 H29 Br N6 O2 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



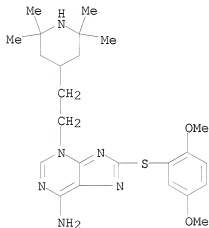
RN 958016-93-8 CAPLUS

CN 3H-Purin-6-amine, 8-[(2,5-dimethoxyphenyl)thio]-3-[2-(2,2,6,6-tetramethyl-4-piperidiny)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 958016-92-7

CMF C24 H34 N6 O2 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2

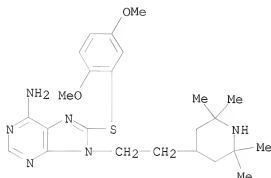


RN 958016-95-0 CAPLUS

CN 9H-Purin-6-amine, 8-[(2,5-dimethoxyphenyl)thio]-9-[2-(2,2,6,6-tetramethyl-4-piperidiny)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 958016-94-9  
CMF C24 H34 N6 O2 S



CM 2

CRN 76-05-1  
CMF C2 H F3 O2



=> file reg		
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	140.35	499.12
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-18.40	-18.40

FILE 'REGISTRY' ENTERED AT 13:52:22 ON 18 AUG 2008  
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STRUCTURE FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2  
DICTIONARY FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2

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<http://www.cas.org/support/stngen/stndoc/properties.html>

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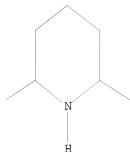
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L14 STRUCTURE UPLOADED

=> d L14

L14 HAS NO ANSWERS

L14 STR



Structure attributes must be viewed using STN Express query preparation.

=> s L1 sss sam

SAMPLE SEARCH INITIATED 13:52:55 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 464993 TO ITERATE

0.4% PROCESSED 2000 ITERATIONS 3 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*INCOMPLETE\*\*  
PROJECTED ITERATIONS: 9260939 TO 9338781  
PROJECTED ANSWERS: 12365 TO 15533

L15 3 SEA SSS SAM L1

=> s L15 sss full

FULL SEARCH INITIATED 13:53:11 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 9296204 TO ITERATE

6.1% PROCESSED 562888 ITERATIONS 936 ANSWERS  
10.8% PROCESSED 1000000 ITERATIONS 1268 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.37

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*INCOMPLETE\*\*  
PROJECTED ITERATIONS: 9296204 TO 9296204  
PROJECTED ANSWERS: 11462 TO 12112

L16 1268 SEA SSS FUL L1

=> file caplus		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	179.28	678.40
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-18.40

FILE 'CAPLUS' ENTERED AT 13:54:03 ON 18 AUG 2008  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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FILE COVERS 1907 - 18 Aug 2008 VOL 149 ISS 8  
FILE LAST UPDATED: 17 Aug 2008 (20080817/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s angiogenesis OR cancer  
46826 ANGIOGENESIS  
370638 CANCER  
54465 CANCERS  
384282 CANCER  
(CANCER OR CANCERS)  
L17 416294 ANGIOGENESIS OR CANCER

=> s L16  
L18 77 L16

=> s L17 AND L18  
L19 3 L17 AND L18

=> d L19 1-3 ibib abs hitstr

L19 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2008:223700 CAPLUS  
DOCUMENT NUMBER: 148:285056  
TITLE: Preparation of N-pyridinyl benzamides derivatives as  
cytokine inhibitors  
INVENTOR(S): Boman, Erik; Ernst, Justin; Montalban, Antonio  
Garrido; Larson, Christopher; Lum, Christopher; Pei,

Yazhong; Sebo, Lubomir; Urban, Jan; Wang, Zhijun; Zhu, Jay

PATENT ASSIGNEE(S): Kemia, Inc., USA  
SOURCE: PCT Int. Appl., 309pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent  
LANGUAGE: English

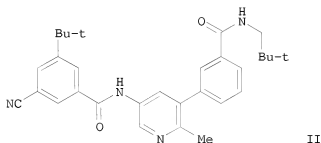
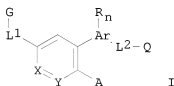
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008021388	A1	20080221	WO 2007-US18049	20070816
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2006-838795P P 20060817  
US 2007-891470P P 20070223

OTHER SOURCE(S): MARPAT 148:285056  
GI



AB The title compds. I [X = CH, N or NO; Y = CH, N, NO, provided that X and Y are not both CH or NO; A = halo, alkyl, alkoxy, etc.; G = (un)substituted (hetero)aryl; Ar = 6-membered aryl or heteroaryl; L1 = CONH; L2 = a bond, CONH, CONHCH2, etc.; Q = (un)substituted alkyl, cycloalkyl, aryl, etc.; R = H or alkyl; n = 0-2; with the provision] were prepared and disclosed as cytokine inhibitors. E.g., a multi-step synthesis of II, starting from 2-methyl-3-bromo-5-nitropyridine, was given. Each of 345 exemplified compds. I listed in a table was tested in the TNFα ELISA assay and was found to have activity therein, with most compds. having IC50s below 10 μM in this assay. In particular, I are useful as anti-inflammatory

agents. Further disclosed are methods for their use in preventing or treating conditions mediated by cytokines, such as for example arthritis, pain, and cancer.

IT 1008135-95-2P

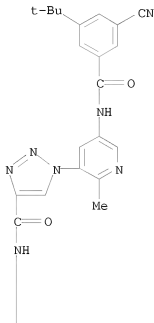
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-pyridinyl benzamides as cytokine inhibitors useful in treating and preventing cytokine-mediated diseases)

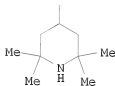
RN 1008135-95-2 CAPLUS

CN 1H-1,2,3-Triazole-4-carboxamide, 1-[5-[[3-cyano-5-(1,1-dimethylethyl)benzoyl]amino]-2-methyl-3-pyridinyl]-N-(2,2,6,6-tetramethyl-4-piperidinyl)- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1454462 CAPLUS

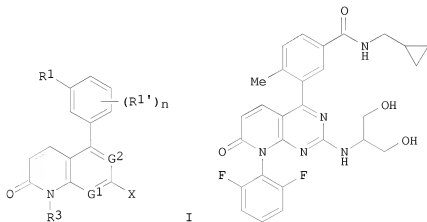
DOCUMENT NUMBER: 148:79048

TITLE: 2-Amino-7,8-dihydropyrido[2,3-d]pyrimidin-7-one derivatives as CSBP/RK/p38 kinase inhibitors and their preparation, pharmaceutical compositions and use in

INVENTOR(S): the treatment of diseases  
Corsi, Mauro; Faiferman, Isidore; Merlo-Pich, Emilio;  
Ratti, Emiliangelo; Wren, Paul Bryan  
PATENT ASSIGNEE(S): Glaxo Group Limited, UK  
SOURCE: PCT Int. Appl., 301pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007/147103	A2	20071221	WO 2007-US71314	20070615
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: US 2006-804993P P 20060616  
OTHER SOURCE(S): MARPAT 148:79048  
GI



AB Substituted 8H-pyrido[2,3-7]pyrimidin-7-one containing compds. of formula I and compns. containing compds. of formula I and their use in therapy as CSBP/RK/p38 kinase inhibitors is disclosed. Compds. of formula I wherein dashed line is an optional double bond; G1 and G2 are independently N; R1 is (un)substituted alkylamino(thio)carbonyl, (un)substituted alkoxy(thio)carbonyl, (un)substituted alkylamino, etc.; each R1' is independently halo, C1-4 (halo)alkyl, CN, NO2, SH and derivs., etc.; R3 is (un)substituted C1-10 alkyl, (un)substituted C3-7 cycloalkyl, (un)substituted (hetero)aryl, etc; X is H, (un)substituted C1-10 alkyl, OH and derivs., SH and derivs., SOH and derivs., SO2 and derivs., etc.; n is

0, 1, 2, 3 and 4; and their pharmaceutically acceptable salts, solvates, and physiol. functional derivs. thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their CSBP/RK/p38 kinase inhibitory activity (some data given).

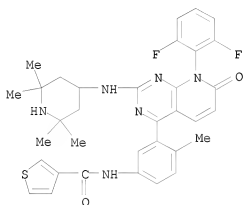
IT 960358-53-6P 960358-55-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminodihydropyridopyrimidinone derivs. as CSBP/RK/p38 kinase inhibitors useful in the treatment of diseases)

RN 960358-53-6 CAPLUS

CN 3-Thiophenecarboxamide, N-[3-[8-(2,6-difluorophenyl)-7,8-dihydro-7-oxo-2-[(2,2,6,6-tetramethyl-4-piperidiny)amino]pyrido[2,3-d]pyrimidin-4-yl]-4-methylphenyl]-, hydrobromide (1:1) (CA INDEX NAME)



● HBr

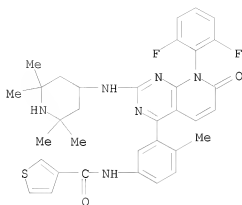
RN 960358-55-8 CAPLUS

CN 3-Thiophenecarboxamide, N-[3-[8-(2,6-difluorophenyl)-7,8-dihydro-7-oxo-2-[(2,2,6,6-tetramethyl-4-piperidiny)amino]pyrido[2,3-d]pyrimidin-4-yl]-4-methylphenyl]-, sulfate (1:1) (CA INDEX NAME)

CM 1

CRN 911487-90-6

CMF C34 H34 F2 N6 O2 S



CM 2

CRN 7664-93-9

CMF H2 O4 S



L19 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1332992 CAPLUS

DOCUMENT NUMBER: 148:11252

TITLE: Preparation of substituted purinamines as antitumor agents

INVENTOR(S): Bajji, Ashok C.; Kim, Se-Ho; Markovitz, Benjamin; Trovato, Richard; Tangallapally, Rajendra; Anderson, Mark B.; Wettstein, Daniel; Shenderovich, Mark; Vanecko, John A.

PATENT ASSIGNEE(S): Myriad Genetics, Inc., USA

SOURCE: PCT Int. Appl., 477pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

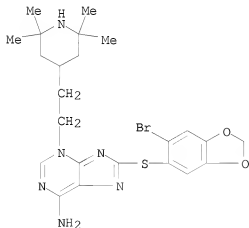
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007134298	A2	20071122	WO 2007-US68899	20070514
WO 2007134298	A3	20080731		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,				

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,  
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA  
 US 20070299258 A1 20071227 US 2007-748362 20070514  
 PRIORITY APPLN. INFO.: US 2006-799874P P 20060512  
 US 2006-822159P P 20060811  
 US 2006-865140P P 20061109  
 US 2007-883707P P 20070105  
 OTHER SOURCE(S): MARPAT 148:11252  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I-III [A, B = (un)substituted aryl, heteroaryl,  
 heterocyclyl, cycloalkyl; R1 = H, alkyl, aryl, heteroaryl, etc.; L1, L2 =  
 (CH2)n(CH2)n, (CH2)nC(O)(CH2)n, (CH2)nC(O)N(CH2)n, etc.; n = 0-8], useful  
 for treating Hsp90 dependent disorders such as cancer, were  
 prepared and claimed. Thus, reacting 8-(2,5-dimethoxyphenylsulfanyl)-9H-  
 purin-6-ylamine with (2-bromoethyl)benzene in the presence of Barton's  
 base in DMF afforded 9% IV and 8% V. Compds. I were evaluated for binding  
 to purified Hsp90 (data given).  
 IT 958016-75-6P 958016-81-4P 958016-93-8P  
 958016-95-0P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (preparation of substituted purinamines as antitumor agents)  
 RN 958016-75-6 CAPLUS  
 CN 3H-Purin-6-amine, 8-[(6-bromo-1,3-benzodioxol-5-yl)thio]-3-[2-(2,2,6,6-  
 tetramethyl-4-piperidiny)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX  
 NAME)  
 CM 1  
 CRN 958016-74-5  
 CMF C23 H29 Br N6 O2 S



CM 2

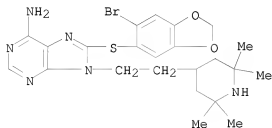
CRN 76-05-1  
CMF C2 H F3 O2



RN 958016-81-4 CAPLUS  
CN 9H-Purin-6-amine, 8-[(6-bromo-1,3-benzodioxol-5-yl)thio]-9-[2-(2,2,6,6-tetramethyl-4-piperidiny)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 958016-80-3  
CMF C23 H29 Br N6 O2 S



CM 2

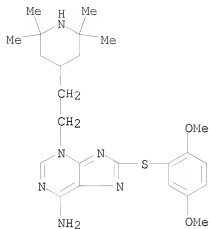
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RN 958016-93-8 CAPLUS  
CN 3H-Purin-6-amine, 8-[(2,5-dimethoxyphenyl)thio]-3-[2-(2,2,6,6-tetramethyl-4-piperidiny)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 958016-92-7  
CMF C24 H34 N6 O2 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



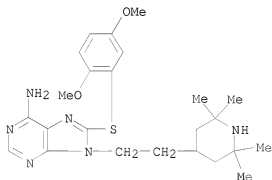
RN 958016-95-0 CAPLUS

CN 9H-Purin-6-amine, 8-[[(2,5-dimethoxyphenyl)thio]-9-[2-(2,2,6,6-tetramethyl-4-piperidinyl)ethyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 958016-94-9

CMF C24 H34 N6 O2 S



CM 2

CRN 76-05-1

CMF C2 H F3 O2



=> d L18 1-10

L18 ANSWER 1 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:912732 CAPLUS  
 DN 149:180260  
 TI Crosslinked diazaadamantylmethyl (meth)acrylate polymers and secondary  
 battery electrodes using them  
 IN Fujimoto, Nobutaka; Ueda, Koji; Kanehara, Yuji  
 PA Sumitomo Seika Chemicals Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 20pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2008174725	A	20080731	JP 2007-301107	20071121
PRAI	JP 2006-342759	A	20061220		

L18 ANSWER 2 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:912360 CAPLUS  
 TI Diazaadamantyl (meth)acrylate compounds and their manufacture  
 IN Fujimoto, Nobutaka; Ueda, Koji; Kanehara, Yuji  
 PA Sumitomo Seika Chemicals Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 10pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2008174543	A	20080731	JP 2007-301106	20071121
PRAI	JP 2006-342758	A	20061220		

L18 ANSWER 3 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:890838 CAPLUS  
 TI Preparation of male contraceptive compounds  
 IN Amobi, Nnaemeka Ikechukwu; Smith, Christopher  
 PA King's College London, UK  
 SO PCT Int. Appl., 65pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008087421	A2	20080724	WO 2008-GB163	20080117
	W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,				

KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI GB 2007-893 A 20070117

L18 ANSWER 4 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:860193 CAPLUS

DN 149:176336

TI Preparation of imidazopyridine analogs as CB2 receptor modulators for treating pain, respiratory and non-respiratory diseases

IN Bilodeau, Mark T.; Burgey, Christopher S.; Deng, Zhengwu James; Hartnett, John C.; Kett, Nathan R.; Melamed, Jeffrey; Munson, Peter M.; Nanda, Kausik K.; Thompson, Wayne; Trotter, B. Wesley; Wu, Zhicai

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 191pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008085302	A1	20080717	WO 2007-US25641	20071214
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRAI US 2006-876105P P 20061220

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:859444 CAPLUS

DN 149:183463

TI Preparation and Characterization of Polymerizable Hindered Amine-Based Antimicrobial Fibrous Materials

AU Yao, Jinrong; Sun, Yuyu

CS Biomedical Engineering Program, The University of South Dakota, Sioux Falls, SD, 57107, USA

SO Industrial & Engineering Chemistry Research (2008), 47(16), 5819-5824  
 CODEN: IECRED; ISSN: 0888-5885

PB American Chemical Society

DT Journal

LA English

RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2008:857219 CAPLUS

DN 149:176360  
 TI Chemical compounds 635 : pyridopyrimidinediones as PDE4 inhibitors and their preparation, pharmaceutical compositions and use in the treatment of PDE4-mediated diseases  
 IN Bonnert, Roger Victor; Humphries, Theresa; Hunt, Simon Fraser; Sangane, Hitesh Jayantilal  
 PA Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SO PCT Int. Appl., 93pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008084236	A1	20080717	WO 2008-GB81	20080110
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
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PRAI US 2007-884453P P 20070111  
 RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:829624 CAPLUS  
 DN 149:153108  
 TI Preparation of pyrazolopyrimidine derivatives as Syk and Abl inhibitors for treatment of allergic diseases, autoimmune diseases, etc.  
 IN Yagi, Makoto; Umemiya, Hiroki; Asanuma, Hajime; Oka, Yusuke; Nishikawa, Rie; Hayashi, Keishi; Okada, Takumi; Shimizu, Takanori; Sasako, Shigetada  
 PA Taisho Pharmaceutical Co., Ltd., Japan; Nissan Chemical Industries, Ltd.  
 SO PCT Int. Appl., 103pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008081928	A1	20080710	WO 2007-JP75278	20071228
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRAI JP 2006-353781 A 20061228  
 RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:769987 CAPLUS  
 DN 149:105955  
 TI (Meth)acrylic resin composition and films thereof  
 IN Takamatsu, Yorinobu; Abe, Hidetoshi; Toriumi, Naoyuki; Kashihara, Yoshihiro  
 PA 3M Innovative Properties Company, USA  
 SO PCT Int. Appl., 33pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008076101	A1	20080626	WO 2006-US48019	20061218
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRAI WO 2006-US48019 20061218  
 RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 9 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:769501 CAPLUS  
 DN 149:80444  
 TI Hindered amino group-containing silanol compounds and aqueous solutions containing their condensates  
 IN Honma, Takayuki; Kubota, Toru; Kiyomori, Ayumi  
 PA Shin-Etsu Chemical Industry Co., Ltd., Japan  
 SO Jpn. Kokai Tokkyo Koho, 10pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2008143852	A	20080626	JP 2006-334000	20061212
PRAI	JP 2006-334000		20061212		

L18 ANSWER 10 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:685908 CAPLUS  
 DN 149:152918  
 TI One-Pot Synthesis of Functionalized Piperid-4-ones: A Four-Component Condensation  
 AU Clarke, Paul A.; Zaytsev, Andrey V.; Morgan, Tyson W.; Whitwood, Adrian C.; Wilson, Claire  
 CS Department of Chemistry, University of York, Heslington, York, North Yorks, YO10 5DD, UK  
 SO Organic Letters (2008), 10(13), 2877-2880  
 CODEN: ORLEF7; ISSN: 1523-7060  
 PB American Chemical Society  
 DT Journal

LA English  
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d L18 61-65

L18 ANSWER 61 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2007:1293152 CAPLUS  
DN 148:144610  
TI  $\beta$ -Amino Acids to Piperidinones by Petasis Methylenation and  
Acid-Induced Cyclization  
AU Adriaenssens, Louis V.; Hartley, Richard C.  
CS WestCHEM Department of Chemistry, University of Glasgow, Glasgow, G12 8QQ,  
UK  
SO Journal of Organic Chemistry (2007), 72(26), 10287-10290  
CODEN: JOCEAH; ISSN: 0022-3263  
PB American Chemical Society  
DT Journal  
LA English  
OS CASREACT 148:144610  
RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 62 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2007:1293046 CAPLUS  
DN 148:144917  
TI Synthesis and Pharmacological Evaluation of Fluorescent and  
Photoactivatable Analogues of Antiplasmodial Naphthylisoquinolines  
AU Bringmann, Gerhard; Gampe, Christian M.; Reichert, Yanina; Bruhn, Torsten;  
Faber, Johan H.; Mikyna, Martin; Reichert, Matthias; Leippe, Matthias;  
Brun, Reto; Gelhaus, Christoph  
CS Institute of Organic Chemistry, University of Wuerzburg, Wuerzburg,  
D-97074, Germany  
SO Journal of Medicinal Chemistry (2007), 50(24), 6104-6115  
CODEN: JMCMAR; ISSN: 0022-2623  
PB American Chemical Society  
DT Journal  
LA English  
OS CASREACT 148:144917  
RE.CNT 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 63 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2007:1252413 CAPLUS  
DN 148:78940  
TI A simple and efficient synthesis of new cyclic ureas  
AU Baumann, Delphine; Bennis, Khalil; Ripoché, Isabelle; Troin, Yves  
CS Laboratoire de Chimie des Hétérocycles et des Glucides, EA 987, Ecole  
Nationale Supérieure de Chimie de Clermont-Ferrand, Université Blaise  
Pascal, Aubière, 63174, Fr.  
SO Tetrahedron Letters (2007), 48(47), 8363-8365  
CODEN: TELEAY; ISSN: 0040-4039  
PB Elsevier Ltd.  
DT Journal  
LA English  
OS CASREACT 148:78940  
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 64 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2007:1221539 CAPLUS

DN 148:79253  
 TI First total synthesis of (+)-adenophorine, a naturally occurring inhibitor  
 of glycosidases  
 AU Pearson, Morwenna S. M.; Evain, Al Michel; Mathe-Allainmat, Monique;  
 Lebreton, Jacques  
 CS Universite de Nantes, CNRS, Nantes, 44322, Fr.  
 SO European Journal of Organic Chemistry (2007), (29), 4888-4894  
 CODEN: EJOCFK; ISSN: 1434-193X  
 PB Wiley-VCH Verlag GmbH & Co. KGaA  
 DT Journal  
 LA English  
 OS CASREACT 148:79253  
 RE.CNT 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 65 OF 77 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2007:1195238 CAPLUS  
 DN 148:27653  
 TI Cryptadines A and B, novel C27N3-type pentacyclic alkaloids from  
 Lycopodium cryptomerinum  
 AU Koyama, Koichiro; Hirasawa, Yusuke; Kobayashi, Jun'ichi; Morita, Hiroshi  
 CS Faculty of Pharmaceutical Sciences, Hoshi University, Tokyo, 142-8501,  
 Japan  
 SO Bioorganic & Medicinal Chemistry (2007), 15(24), 7803-7808  
 CODEN: BMECEP; ISSN: 0968-0896  
 PB Elsevier Ltd.  
 DT Journal  
 LA English  
 RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg			
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	ENTRY	SESSION	
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 DICTIONARY FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2

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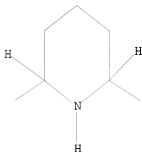
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L20        STRUCTURE UPLOADED

=> d l20

L20 HAS NO ANSWERS

L20                STR



Structure attributes must be viewed using STN Express query preparation.

=> s l20 sss sam

SAMPLE SEARCH INITIATED 14:00:13 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -        18600 TO ITERATE

10.8% PROCESSED        2000 ITERATIONS                                17 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.03

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*  
                              BATCH    \*\*COMPLETE\*\*  
PROJECTED ITERATIONS:        363833 TO 380167  
PROJECTED ANSWERS:            2408 TO        3916

L21                17 SEA SSS SAM L20

=> s l20 sss full

FULL SEARCH INITIATED 14:00:27 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED -    372862 TO ITERATE

100.0% PROCESSED    372862 ITERATIONS                                3346 ANSWERS  
SEARCH TIME: 00.00.04

L22                3346 SEA SSS FUL L20

=> file caplus

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ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
0.00	-20.80

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FILE COVERS 1907 - 18 Aug 2008 VOL 149 ISS 8  
FILE LAST UPDATED: 17 Aug 2008 (20080817/ED)

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<http://www.cas.org/legal/infopolicy.html>

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=> s L22
L23      2516 L22

=> s (cancer OR "Cancer (genus)") OR (angiogenesis OR "Angiogenesis")
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      54465 CANCERS
      384282 CANCER
          (CANCER OR CANCERS)
      370638 "CANCER"
      54465 "CANCERS"
      384282 "CANCER"
          ("CANCER" OR "CANCERS")
      53989 "GENUS"
          103 "GENUSES"
          18740 "GENERA"
              8 "GENERAS"
          68072 "GENUS"
              ("GENUS" OR "GENUSES" OR "GENERA" OR "GENERAS")
              48 "CANCER (GENUS)"
                  ("CANCER"(W)"GENUS")
          46826 ANGIOGENESIS
          46826 "ANGIOGENESIS"
L24      416294 (CANCER OR "CANCER (GENUS)") OR (ANGIOGENESIS OR "ANGIOGENESIS")

=> s L23 AND L24
L25      55 L23 AND L24

=> d L25 50 ibib

L25 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1994:483015 CAPLUS
DOCUMENT NUMBER: 121:83015
ORIGINAL REFERENCE NO.: 121:14913a,14916a
TITLE: Dibenzo[a,f]quinolizines: syntheses and cytostatic
```

activity in estrogen-sensitive tumor cells  
 AUTHOR(S): von Angerer, Silvia; Seidl, Engelbert; Mannschreck, Albrecht; von Angerer, Erwin; Wiegere, Wolfgang  
 CORPORATE SOURCE: Inst. Pharm., Univ. Regensburg, Regensburg, D-93040, Germany  
 SOURCE: Anti-Cancer Drug Design (1994), 9(1), 25-40  
 CODEN: ACDDEA; ISSN: 0266-9536  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

=> d L25 30-50 ibib abs hitstr

L25 ANSWER 30 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:71602 CAPLUS

DOCUMENT NUMBER: 142:316675

TITLE: Optimization of 6,7-Disubstituted-4-(arylamino)quinoline-3-carbonitriles as Orally Active, Irreversible Inhibitors of Human Epidermal Growth Factor Receptor-2 Kinase Activity

AUTHOR(S): Tsou, Hwei-Ru; Overbeek-Klumpers, Elsebe G.; Hallett, William A.; Reich, Marvin F.; Floyd, M. Brawner; Johnson, Bernard D.; Michalak, Ronald S.; Nilakantan, Ramaswamy; Discasani, Carolyn; Golas, Jonathan; Rabindran, Sridhar K.; Shen, Ru; Shi, Xiaoqing; Wang, Yu-Fen; Upeklacis, Janis; Wissner, Allan  
 CORPORATE SOURCE: Chemical and Screening Sciences, Chemical Development, and Oncology, Wyeth Research, Pearl River, NY, 10965, USA

SOURCE: Journal of Medicinal Chemistry (2005), 48(4), 1107-1131

CODEN: JMCMAR; ISSN: 0022-2623

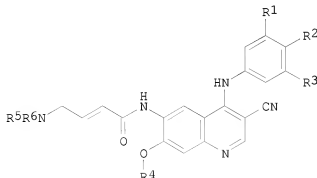
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:316675

GI



I

AB A series of new 6,7-disubstituted-4-(arylamino)quinoline-3-carbonitriles, e.g. I (R1 = H, Cl; R2 = PhCH2O, 1-imidazolyl, 2-furylmethoxy, etc.; R3 = Cl, CN, PhCH2O; R4 = Me, Et; R5 = Me, R6 = Me, HOCH2CH2; R5R6N = azetidiny, piperidiny, thiomorpholiny, etc.) that function as irreversible inhibitors of human epidermal growth factor receptor-2

(HER-2) and epidermal growth factor receptor (EGFR) kinases have been prepared. These compounds demonstrated enhanced activities for inhibiting HER-2 kinase and the growth of HER-2 positive cells compared to the EGFR kinase inhibitor I [R1 = H; R2 = F; R3 = Cl; R4 = Et; R5 = R6 = Me; (EKB-569)]. Three synthetic routes were used to prepare these compounds. They were prepared mostly by acylation of 6-amino-4-(arylamino)quinoline-3-carbonitriles with unsaturated acid chlorides or by amination of 4-chloro-6-(crotonamido)quinoline-3-carbonitriles with monocyclic or bicyclic anilines. The third route was developed to prepare a key intermediate, 6-acetamido-4-chloroquinoline-3-carbonitrile, that involved a safer cyclization step. It was shown that attaching a large lipophilic group at the para position of the 4-(arylamino) ring results in improved potency for inhibiting HER-2 kinase. The importance of a basic dialkylamino group at the end of the Michael acceptor for activity, due to intramolecular catalysis of the Michael addition has also been demonstrated. This, along with improved water solubility, resulted in compounds with enhanced biological properties. The molecular modeling results consistent with the proposed mechanism of inhibition are presented. Binding studies of one compound, I [R1 = H; R2 = 2-pyridylmethoxy; R3 = Cl; R4 = Et; R5 = R6 = Me; (HKI-272)] (C-14 radiolabeled), showed that it binds irreversibly to HER-2 protein in BT474 cells. Furthermore, it demonstrated excellent oral activity, especially in HER-2 overexpressing xenografts. Compound HKI-272 was selected for further studies and is currently in phase I clinical trials for the treatment of cancer.

IT 766-17-6, cis-2,6-Dimethylpiperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-alkylation; preparation of disubstituted (arylamino)quinolinecarbonitriles as orally active, irreversible inhibitors of human epidermal growth factor receptor-2 kinase activity and antitumor agents)  
 RN 766-17-6 CAPLUS  
 CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 31 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:740319 CAPLUS  
 DOCUMENT NUMBER: 141:260543  
 TITLE: Preparation of hydroxycoumaranone derivatives as uPA receptor antagonists for treatment of cancers  
 INVENTOR(S): Bauer, Sabine; Ende, Richard; Fertig, Georg; Friebe, Walter-Gunar; Koerner, Matthias; Krell, Hans-Willi  
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.  
 SOURCE: PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

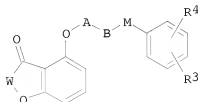
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004076444	A2	20040910	WO 2004-EP1798	20040224
WO 2004076444	A3	20041028		

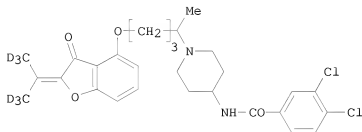
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2003-3299 A 20030225  
 OTHER SOURCE(S): MARPAT 141:260543  
 GI



I



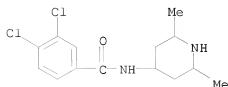
II

AB Title compds. represented by the formula I [wherein W = C:CR1(R2) or CHR1(R2); R1, R2 = independently H, (cyclo)alkyl, aminoalkyl, thioalkyl, (CH2)nOalkyl, or R1R2 = cycloalkyl; A = alkylene, (CH2)nCO, (CH2)nCONH; B = heterocyclic group; M = NHCO, NHCH2; R3, R4 = independently H, halo, CN, NH2, etc.; n = 1-4; and pharmaceutically acceptable salts thereof] were prepared as uPA receptor antagonists. For example, reaction of 4-(4-bromopentoxy)-2-(1',1',1',3',3',3'-hexadeuteroisopropylidene)benzofuran-3-one with 3,4-dichloro-N-piperidin-4-ylbenzamide gave II in 31% yield. II showed inhibition of uPA receptor with IC50 value of 0.08 μM. Thus, I and their pharmaceutical compns. are useful as uPA receptor antagonists for the control or prevention of corresponding illnesses and disorders; or in the manufacture of corresponding medicaments for the inhibition of tumor growth (no data).

IT 753013-86-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of hydroxycoumaranone derivs. as uPA receptor antagonists for treatment of cancers)

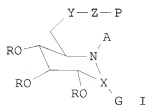
RN 753013-86-4 CAPLUS

CN Benzamide, 3,4-dichloro-N-(2,6-dimethyl-4-piperidinyl)- (CA INDEX NAME)



L25 ANSWER 32 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2004:718513 CAPLUS  
 DOCUMENT NUMBER: 141:225770  
 TITLE: Preparation of of aza-sugar derivatives as anticancer agents  
 INVENTOR(S): Arora, Jasbir Singh; Gupta, Nidhi; Salman, Mohammad; Gupta, Jang Bahadur; Pandit, Upendra Kumar  
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India  
 SOURCE: PCT Int. Appl., 107 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004074251	A1	20040902	WO 2003-IB619	20030220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2003206021 A1 20040909 AU 2003-206021 20030220 EP 1597231 A1 20051123 EP 2003-702904 20030220 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK US 20060241114 A1 20061026 US 2005-546462 20050819 IN 2005DN04194 A 20071207 IN 2005-DN4194 20050916 PRIORITY APPLN. INFO.: WO 2003-IB619 A 20030220 OTHER SOURCE(S): CASREACT 141:225770; MARPAT 141:225770 GI				



AB Certain derivs. of aza-sugars I, wherein A is H, alkyl, alkenyl, alkynyl;

X-G is CO, CH<sub>2</sub>; R is H, alkyl, acyl, aryl, aralkyl, trimethylsilyl; Y is O, NH, heterocycle; P is alkyl, CF<sub>3</sub>, aryl, aralkyl, alkylamino, heterocycle, useful in the treatment of cancer, are presented. This invention also relates to pharmacol. compns. containing the compds. of present invention and treatment of cancer, including tumor or other neoplasm, with an aza-sugar. Thus, 2,3,4-tri-O-benzyl-6-O-(4,6-dichloro-1,3,5-triazin-1-yl)-N-propyl-D-glucio-6-lactam was prepared and tested in vitro as antitumor agent.

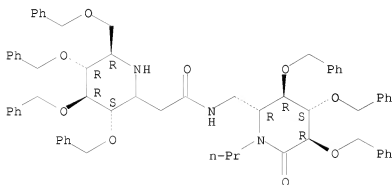
IT 748814-76-8P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of of azasugar derivs. as anticancer agents)

RN 748814-76-8 CAPLUS

CN 2-Piperidineacetamide, N-[[[(2R,3R,4S,5R)-6-oxo-3,4,5-tris(phenylmethoxy)-1-propyl-2-piperidinylmethyl]-3,4,5-tris(phenylmethoxy)-6-[(phenylmethoxy)methyl]-, (3S,4R,5R,6R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 33 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:590960 CAPLUS

DOCUMENT NUMBER: 139:149804

TITLE: Solenopsin A, B and analogs as novel angiogenesis inhibitors

INVENTOR(S): Bowen, Phillip J.; Arbiser, Jack L.; Whitmore, David; Furness, Scott M.

PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA; Emory University

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003061598	A2	20030731	WO 2003-US2105	20030124
WO 2003061598	A3	20031204		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,  
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20050038071 A1 20050217 US 2004-502080 20041008  
 PRIORITY APPLN. INFO.: US 2002-351880P P 20020125  
 WO 2003-US2105 W 20030124

OTHER SOURCE(S): MARPAT 139:149804  
 GI



I



II

AB The present invention relates to solenopsin A and its analogs, I [R1, R2 = linear, cyclic or branched (un)saturated (un)substituted C1-20-alkyl] and II, or a pharmaceutically acceptable salt, for use as angiogenesis inhibitors. The present compds. unexpectedly exhibit good activity as angiogenesis inhibitors, which find use as antitumor/anticancer agents as well as to treat a number of conditions or disease states in which angiogenesis is a factor. Thus, (±)-Solenopsin A hydrochloride (±)-I·HCl [R1 = Me, R2 = undecyl] was prepared from 4-chloropyridine hydrochloride via reaction with undecylmagnesium bromide in Et2O followed by addition of ClCO2Ph; transesterification with KOCMe3; lithiation with BuLi followed by methylation with MeI; hydrogenation/hydrogenolysis with H2 over Pd/C in MeOH; stereoselective reduction with NaBH3CN in CH2Cl2; and deprotection with CF3CO2H in CH2Cl2 followed by dissoln. in Et2O and treatment with HCl(g). The anticancer activity of I·HCl [R1 = Me, R2 = (CH2)10Me, CH:CHCO2Et, CH2CH2CO2Et, CH:CH(CH2)4Me, CH:CHCH2CHMe2, (CH2)3CHMe2, (CH2)2CHMe2, CH:CHCCHMe2, CH:CHPr-n, CH:CHEt, CH:CHMe, (CH2)2Ph, (CH2)6Me, Bu, cyclopentyl, CH2Bu] was determined (comparative chart: % cell growth inhibition at 1, 3 and 6 µg/mL).

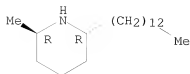
IT 32778-77-1DP, Solenopsin B, analogs 63950-17-4P,  
 (±)-Solenopsin A hydrochloride 175478-17-8P  
 409060-79-3P 409060-81-7P 409060-82-8P  
 409060-83-9P 409060-85-1P 409060-86-2P  
 409060-87-3P 409060-88-4P 409060-89-5P  
 409060-90-8P 409060-91-9P 409060-92-0P  
 409061-00-3P 409061-29-6P 409061-33-2P  
 409061-34-3P 571186-34-0P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN  
 (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
 PREP (Preparation); USES (Uses)  
 (preparation of solenopsin A, B and analogs as novel angiogenesis  
 inhibitors)

RN 32778-77-1 CAPLUS

CN Piperidine, 2-methyl-6-tridecyl-, (2R,6R)- (CA INDEX NAME)

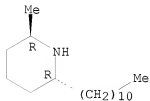
Absolute stereochemistry.



RN 63950-17-4 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, hydrochloride, (2R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

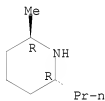


● HCl

RN 175478-17-8 CAPLUS

CN Piperidine, 2-methyl-6-propyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

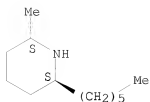


● HCl

RN 409060-79-3 CAPLUS

CN Piperidine, 2-hexyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

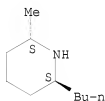


● HCl

RN 409060-81-7 CAPLUS

CN Piperidine, 2-butyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

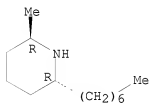


● HCl

RN 409060-82-8 CAPLUS

CN Piperidine, 2-heptyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

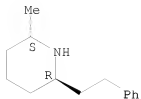


● HCl

RN 409060-83-9 CAPLUS

CN Piperidine, 2-methyl-6-(2-phenylethyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

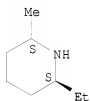


● HCl

RN 409060-85-1 CAPLUS

CN Piperidine, 2-ethyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



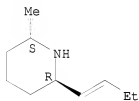
● HCl

RN 409060-86-2 CAPLUS

CN Piperidine, 2-(1-buten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.



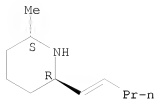
● HCl

RN 409060-87-3 CAPLUS

CN Piperidine, 2-methyl-6-(1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

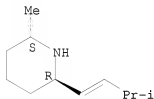
Double bond geometry unknown.



● HCl

RN 409060-88-4 CAPLUS  
 CN Piperidine, 2-methyl-6-(3-methyl-1-buten-1-yl)-, hydrochloride (1:1),  
 (2S,6R)-rel- (CA INDEX NAME)

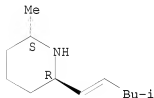
Relative stereochemistry.  
 Double bond geometry unknown.



● HCl

RN 409060-89-5 CAPLUS  
 CN Piperidine, 2-methyl-6-(4-methyl-1-penten-1-yl)-, hydrochloride (1:1),  
 (2S,6R)-rel- (CA INDEX NAME)

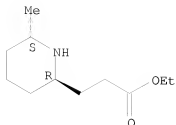
Relative stereochemistry.  
 Double bond geometry unknown.



● HCl

RN 409060-90-8 CAPLUS  
 CN 2-Piperidinepropanoic acid, 6-methyl-, ethyl ester, hydrochloride (1:1),  
 (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.

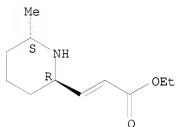


● HCl

RN 409060-91-9 CAPLUS

CN 2-Propenoic acid, 3-[(2R,6S)-6-methyl-2-piperidinyl]-, ethyl ester, hydrochloride (1:1), rel- (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry unknown.

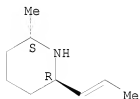


● HCl

RN 409060-92-0 CAPLUS

CN Piperidine, 2-methyl-6-(1-propen-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry unknown.

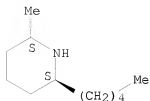


● HCl

RN 409061-00-3 CAPLUS

CN Piperidine, 2-methyl-6-pentyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

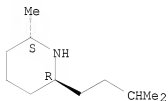


● HCl

RN 409061-29-6 CAPLUS

CN Piperidine, 2-methyl-6-(3-methylbutyl)-, hydrochloride (1:1), (2S,6R)-rel-  
(CA INDEX NAME)

Relative stereochemistry.

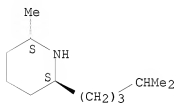


● HCl

RN 409061-33-2 CAPLUS

CN Piperidine, 2-methyl-6-(4-methylpentyl)-, hydrochloride (1:1),  
(2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



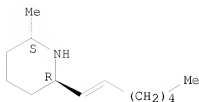
● HCl

RN 409061-34-3 CAPLUS

CN Piperidine, 2-(1-hepten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel-  
(CA INDEX NAME)

Relative stereochemistry.

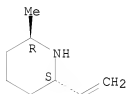
Double bond geometry unknown.



● HCl

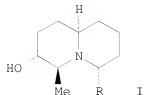
RN 571186-34-0 CAPLUS  
 CN Piperidine, 2-ethenyl-6-methyl-, hydrochloride (1:1), (2S,6R)-rel- (CA  
 INDEX NAME)

Relative stereochemistry.



● HCl

L25 ANSWER 34 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:500243 CAPLUS  
 DOCUMENT NUMBER: 139:246133  
 TITLE: Enantioselective synthesis of clavopictine analogues  
 and evaluation of their cytotoxic activity  
 AUTHOR(S): Agami, Claude; Couty, Francois; Evano, Gwilherm;  
 Darro, Francis; Kiss, Robert  
 CORPORATE SOURCE: Laboratoire de Synthèse Asymétrique, UMR 7611,  
 Université Pierre et Marie Curie, Paris, 75005, Fr.  
 SOURCE: European Journal of Organic Chemistry (2003), (11),  
 2062-2070  
 CODEN: EJOCFK; ISSN: 1434-193X  
 PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 139:246133  
 GI

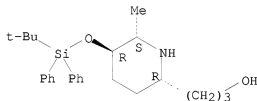


AB Six analogs I of a cytotoxic alkaloid isolated from the tunicate *Clavelina picta* were synthesized from an acyl oxazolidine. The absolute stereochem. of the targeted analogs derived from (R)-Ph glycinol and the relative stereochemistries of three of the four stereocenters present in the mol. were set up by stereocontrolled addns. to transient iminium ions. The main features of this synthesis include (i) a high level of stereocontrol for all the steps involving the arrangement of relative stereochemistries, (ii) a divergent introduction of the side chain at the end of the synthesis, allowing the easy preparation of the different analogs, and (iii) an original step involving an intramol. alkylation of an aminonitrile moiety that enabled the efficient construction of the quinolizidine core to take place. Together with the cytotoxic activities of the six analogs, those of three reference compds. (etoposide, adriamycin and irinotecan) were determined

by means of the colorimetric MTT assay on four human-cancer cell lines. Compound I (R = decyl) had a cytotoxic effect on the four human-cancer cell lines in dose ranges similar to etoposide and irinotecan. Compound I (R = dec-1-enyl) also had a significant cytotoxic effect on all four of the human-cancer cell lines under study, but these activities were weaker than those induced by I (R = decyl). Compound I (R = dec-3-en-1-ynyl) had a significant cytotoxic effect on three of the four human-cancer cell lines, and compds. I (R = ethynyl, phenylethynyl, cyanomethyl) had no cytotoxic effect (except compound I (R = ethynyl) with respect to the A549 model at the highest dose) on the four human models under study.

IT 600143-39-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (asym. synthesis and cytotoxic activity of clavopictine analogs)  
 RN 600143-39-3 CAPLUS  
 CN 2-Piperidinepropanol, 5-[[[(1,1-dimethylethyl)diphenylsilyl]oxy]-6-methyl-, (2R,5R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 35 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:42245 CAPLUS

DOCUMENT NUMBER: 138:106689

TITLE: Preparation of thiazolylamino benzamide derivatives as modulators of cell proliferation and inhibitors of protein kinases

INVENTOR(S): Chu, Shao Song; Alegria, Larry Andrew; Bleckman, Ted Michael; Chong, Wesley K. M.; Duvadie, Rohit K.; Li, Lin; Reich, Siegfried H.; Romines, William H.; Wallace, Michael B.; Yang, Yi

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 163 pp.

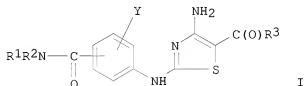
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004467	A2	20030116	WO 2002-US21280	20020705
WO 2003004467	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2452609	A1	20030116	CA 2002-2452609	20020705
AU 2002354801	A1	20030121	AU 2002-354801	20020705
US 20030225147	A1	20031204	US 2002-190219	20020705
US 6720346	B2	20040413		
EP 1438046	A2	20040721	EP 2002-782499	20020705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005521631	T	20050721	JP 2003-510635	20020705
BR 2002010874	A	20061024	BR 2002-10874	20020705
MX 2004PA00069	A	20040521	MX 2004-PA69	20040107
PRIORITY APPLN. INFO.:			US 2001-303679P	P 20010706
			US 2001-305274P	P 20010713
			WO 2002-US21280	W 20020705

OTHER SOURCE(S): MARPAT 138:106689  
 GI



AB Aminothiazole compds. with mono-/di-substituted benzamides (shown as I; variables described below; e.g. 4-[[[4-amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-morpholin-4-ylethyl)benzamide], and their pharmaceutically acceptable salts, pharmaceutically acceptable prodrugs, pharmaceutically active metabolites, and pharmaceutically acceptable salts of said metabolites are described. These agents modulate and/or inhibit the cell proliferation and activity of protein kinases and are useful as pharmaceuticals for treating malignancies and other disorders. Inhibitory activities towards three cyclin complexes of protein kinases, phosphorylated FGF receptor and/or LCK tyrosine kinase and/or cytotoxicity towards the HCT-116 cancer cell line are reported for hundreds of I, many of which were prepared combinatorially. For I: R1 and R2 are each independently H, or an alkyl, alkenyl, alkynyl, heteroalkyl, alkoxy, aminoalkyl, aryl, heteroaryl, cycloalkyl, or heterocycloalkyl group unsubstituted or substituted with  $\geq 1$  substituents listed in the claims, or R1 or R2, together with the N-C(O) and two adjacent C atoms of the Ph ring of I, forms a 5- or 6-membered ring structure fused to the Ph ring of I and unsubstituted or substituted with  $\geq 1$  substituents

listed in the claims, or R1 and R2, taken together with the N atom to which they are bonded, form a monocyclic or fused or nonfused polycyclic structure which may contain 1-3 addnl. heteroatoms, the structure being unsubstituted or substituted with  $\geq 1$  substituents listed in the claims. R3 is an aryl, heteroaryl, alkyl, or cycloalkyl group, unsubstituted or substituted with  $\geq 1$  substituents listed in the claims. Y is H, alkyl, heteroalkyl, haloalkyl, halocycloalkyl, haloheterocycloalkyl, cycloalkyl, heterocycloalkyl, -NO<sub>2</sub>, -NH<sub>2</sub>, -N-OH, -N-ORc, -CN, -(CH<sub>2</sub>)<sub>z</sub>-CN (z is 0-4), halogen, -OH, -O-Ra-O-, -ORb, -CO-R, -O-CO-Rc, -CO-ORc, -O-CO-OR, -O-OR, =O, =S, -NRdRe, -CO-NRdRe, -O-CO-NRdRe, -NRC-CO-Re, -NR-CO-OR, -CO-NRC-CO-Rd, -O-SO<sub>2</sub>-Re, -O-SO-R, -O-S-Re, -S-CO-Rc, -SO-CO-ORc, -SO-CO-OR, -O-SO<sub>3</sub>, -NRC-SRd, -NRC-SO-Rd, NRC-SO<sub>2</sub>-Rd, -CO-SRc, -CO-SO-Re, -CO-OSO<sub>2</sub>-Rc, -CS-Rc, -CSO-R, -CSO<sub>2</sub>-R, -NRc-CS-Rd, -O-CS-Re, -O-CSO-Rc, -O-SO<sub>2</sub>-Re, -OS<sub>2</sub>-NRdRe, -SO-NRdRe, -S-NRdRe, -NRd-CSO<sub>2</sub>-Rd, -NRC-CSO-Rd, -NRc-CS-Rd, -SH, -S-Rb, and -PO<sub>2</sub>-ORc (Ra, etc. defined in claims). Although the methods of preparation are not claimed, .apprx.80 example preps. of I are included and directions are given for combinatorial preparation of 396 I.

IT 766-17-6, cis-2,6-Dimethylpiperidine

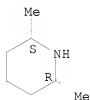
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)

RN 766-17-6 CAPLUS

CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



L25 ANSWER 36 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:965133 CAPLUS

DOCUMENT NUMBER: 138:39277

TITLE: Preparation of N-thiazolyl-N'-pyridyl ureas as antitumor agents

INVENTOR(S): Askew, Benny C.; De Morin, Frenel F.; Hague, Andrew; Laber, Ellen; Li, Aiwon; Liu, Gang; Lopez, Patricia; Nomak, Rana; Santora, Vincent; Tegley, Christopher; Yang, Kevin

PATENT ASSIGNEE(S): Amgen, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 129 pp., Cont.-in-part of U. S. Ser. No. 930,753.  
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

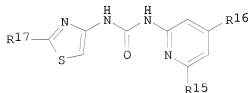
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020193405	A1	20021219	US 2002-77124	20020215
US 6645990	B2	20031111		
US 20020173507	A1	20021121	US 2001-930753	20010814
EP 1619184	A2	20060125	EP 2005-15480	20010815
EP 1619184	A3	20060201		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 AT 320426 T 20060415 AT 2001-964009 20010815  
 ES 2260277 T3 20061101 ES 2001-964009 20010815  
 CA 2476411 A1 20030828 CA 2003-2476411 20030213  
 WO 2003070727 A1 20030828 WO 2003-US4537 20030213  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,  
 PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,  
 UG, UZ, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2003215231 A1 20030909 AU 2003-215231 20030213  
 EP 1483263 A1 20041208 EP 2003-711046 20030213  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
 JP 2006509715 T 20060323 JP 2003-569634 20030213  
 US 20040039029 A1 20040226 US 2003-631423 20030730  
 US 7196104 B2 20070327  
 US 20040044044 A1 20040304 US 2003-632044 20030730  
 MX 2004PA07970 A 20041126 MX 2004-PA7970 20040816  
 PRIORITY APPLN. INFO.: US 2000-225793P P 20000815  
 US 2001-930753 A2 20010814  
 EP 2001-964009 A3 20010815  
 US 2002-77124 A 20020215  
 WO 2003-US4537 W 20030213

OTHER SOURCE(S): MARPAT 138:39277  
 GI



AB The title compds. [I; R15 = H, heterocyclyl, Ph, etc.; R16 = H, heterocyclylcarbonyl, alkylaminocarbonyl, etc.; R17 = halo, alkyl, cycloalkyl, etc.; provided only one of R15 and R16 = H] which are effective for prophylaxis and treatment of diseases, such as cell proliferation or apoptosis mediated diseases involving stroke, cancer and the like, were prepared Thus, heating 2-phenyl-4-thiazolylcarbonylazide with 6-(3-methylpiperidin-1-ylmethyl)pyridin-2-ylamine in PhMe afforded the urea I [R15 = 3-methylpiperidin-1-ylmethyl; R16 = H; R17 = Ph] which showed cdk2/cyclin and cdk5/p25 kinase activity with IC50 of < 0.5  $\mu$ M.

IT 504-03-0, 2,6-Dimethylpiperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of N-thiazolyl-N'-pyridyl ureas as antitumor agents)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



L25 ANSWER 37 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:428894 CAPLUS  
 DOCUMENT NUMBER: 137:20303  
 TITLE: Preparation of substituted quinolines as antitumor agents  
 INVENTOR(S): Boyle, Francis Thomas; Gibson, Keith Hopkinson; Foote, Kevin Michael  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 118 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044166	A1	20020606	WO 2001-GB4737	20011026
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002010714	A	20020611	AU 2002-10714	20011026
EP 1337524	A1	20030827	EP 2001-978616	20011026
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004514718	T	20040520	JP 2002-546536	20011026
US 20040029898	A1	20040212	US 2003-415812	20030502
US 7067532	B2	20060627		
US 20070021407	A1	20070125	US 2006-374423	20060314
US 7402583	B2	20080722		
PRIORITY APPLN. INFO.:			GB 2000-26744	A 20001102
			GB 2000-26746	A 20001102
			GB 2000-26747	A 20001102
			WO 2001-GB4737	W 20011026
			US 2003-415812	A3 20030502
OTHER SOURCE(S):			MARPAT 137:20303	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [n = 0 or 1; Y = NH, O, S, or alkylamine; R5 = CN, F, Cl, or Br; R6 = (un)substituted -cycloalkyl, -pyridinyl, -pyrimidinyl, -Ph, etc.; R1, R2 and R4 independently = H, OH, halo, CN, NO2, F3C, alkyl,

amine, alkylamine, dialkylamine, R<sup>7</sup>X<sub>1</sub>(CH<sub>2</sub>)<sub>x</sub>- wherein x = 0-3, R<sup>7</sup> = H, (un)substituted hydrocarbyl or heterocyclyl and X<sub>1</sub> = O, CH<sub>2</sub>, OCO, CO, S, SO, SO<sub>2</sub>, NR<sub>8</sub>CO, NR<sub>8</sub>CO<sub>2</sub>, CONR<sub>9</sub>, CO<sub>2</sub>NR<sub>9</sub>, SO<sub>2</sub>NR<sub>10</sub>, NR<sub>11</sub> or NR<sub>11</sub>NR<sub>11</sub> wherein R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub> and R<sub>11</sub> independently = H, alkyl or alkoxyalkyl; R<sub>3</sub> = group of formula X<sub>1</sub>R<sub>12</sub>(OH)<sub>p</sub> where p = 1-2 and R<sub>12</sub> = alkylene, alkenylene or alkynylene chain, optionally interposed with a heteroatom or heterocyclic ring with the provision that when R<sub>12</sub> = alkylene, R<sub>12</sub> must be interposed with a heteroatom or heterocyclic ring and at least one (OH)<sub>p</sub> is on the alkylene chain between X<sub>1</sub> and the interposed heteroatom or heterocyclic ring; group of formula R<sup>7</sup>(CH<sub>2</sub>)<sub>y</sub>X<sub>1</sub>(CH<sub>2</sub>)<sub>x</sub> where y = 0-5 and (CH<sub>2</sub>)<sub>y</sub> is optionally interposed by an X<sub>1</sub> group; group of formula X<sub>1</sub>alkyl where alkyl is substituted by one or more Cl and/or CN; heterocyclic ring, etc.), or a pharmaceutically acceptable salt, pro-drug or solvate thereof are prepared and disclosed as antiproliferative agents. Thus, II was prepared in eight steps from benzylchloroformate and 2-methoxy-5-nitroaniline. I were evaluated as inhibitors of MAPK pathway and exhibited IC<sub>50</sub> values typically less than 0.5 μM, e.g., II possessed an IC<sub>50</sub> = 0.0013μM. In cell proliferation assays, I had IC<sub>50</sub> results typically less than 30μM with II giving an IC<sub>50</sub> of 1.3 μM in HT29 human colon tumor cells. Methods for prevention of cancer comprising administering an effective amount of compound I are further claimed.

IT 504-03-0, 2,6-Dimethylpiperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation, inhibition of MAP kinase, and cellular antiproliferation activity of substituted quinolines as antitumor agents)  
 RN 504-03-0 CAPLUS  
 CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 38 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2002:391711 CAPLUS  
 DOCUMENT NUMBER: 136:401914  
 TITLE: Preparation of saframycin analogs for pharmaceutical use in the treatment of cancer  
 INVENTOR(S): Myers, Andrew; Plowright, Alleyn T.; Kung, Daniel W.; Lanman, Brian; Barbay, Joseph; Xing, Chengguo  
 PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA  
 SOURCE: PCT Int. Appl., 203 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040477	A2	20020523	WO 2001-US47399	20011105
WO 2002040477	A3	20030227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

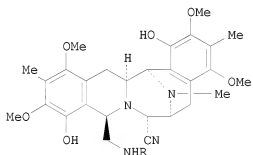
CA	2447553	A1	20020523	CA	2001-2447553	20011105
AU	2002039565	A	20020527	AU	2002-39565	20011105
US	20030008873	A1	20030109	US	2001-11466	20011105
US	6809099	B2	20041026			
EP	1339713	A2	20030903	EP	2001-987338	20011105

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JP	2004529074	T	20040924	JP	2002-543487	20011105
AU	2002239565	B2	20071115	AU	2002-239565	20011105
US	20040204419	A1	20041014	US	2004-826859	20040416
US	7122549	B2	20061017			
US	20070112008	A1	20070517	US	2006-582526	20061017

PRIORITY APPLN. INFO.: US 2000-245888P P 20001103  
US 2001-11466 A3 20011105  
WO 2001-US47399 W 20011105  
US 2004-826859 A3 20040416

OTHER SOURCE(S): MARPAT 136:401914  
GI



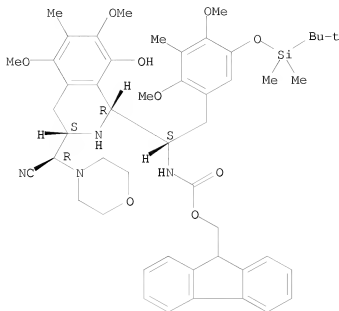
AB Saframycin analogs, such as I [R = H, alkyl, acyl, arylacyl, heteroarylacyl, carboxy, arylsulfonyl, etc.], were prepared for therapeutic use as antitumor agents. Thus, I (R = 2-furanylmethyl) was prepared in 95% yield via condensation of 2-furancarboxaldehyde with the corresponding amine I (R = NH<sub>2</sub>) using sodium triacetoxyborohydride in MeCN. The amine I (R = H) was prepared via a stereoselective sequence of solid phase synthetic steps. The prepared saframycin analogs were assayed for cancer cell growth inhibition of A375 malignant melanoma and A-459 lung carcinoma cell lines.

IT 253329-77-0P 429687-59-2DP, polymer bound  
429687-59-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of saframycin analogs for pharmaceutical use in the treatment of cancer)

RN 253329-77-0 CAPLUS

CN Carbamic acid, [(1S)-1-[(1R,3S)-3-[(R)-cyano-4-morpholinylmethyl]-1,2,3,4-tetrahydro-8-hydroxy-5,7-dimethoxy-6-methyl-1-isoquinolinyl]-2-[5-[(1,1-dimethylethyl)dimethylsilyl]oxy]-2,4-dimethoxy-3-methylphenyl]ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

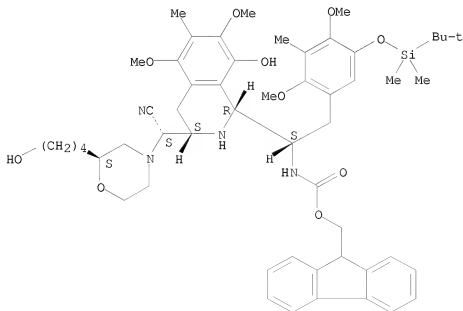
Absolute stereochemistry.



RN 429687-59-2 CAPLUS

CN Carbamic acid, [(1S)-1-[(1R,3S)-3-[(S)-cyano[(2S)-2-(4-hydroxybutyl)-4-morpholinyl]methyl]-1,2,3,4-tetrahydro-8-hydroxy-5,7-dimethoxy-6-methyl-1-isoquinolinyl]-2-[5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2,4-dimethoxy-3-methylphenyl]ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

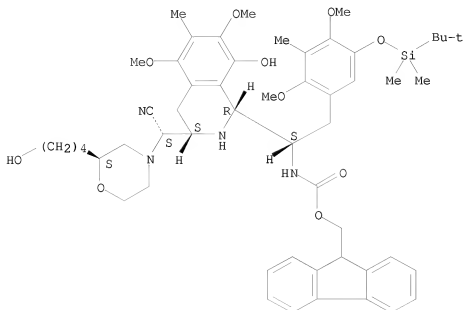


RN 429687-59-2 CAPLUS

CN Carbamic acid, [(1S)-1-[(1R,3S)-3-[(S)-cyano[(2S)-2-(4-hydroxybutyl)-4-morpholinyl]methyl]-1,2,3,4-tetrahydro-8-hydroxy-5,7-dimethoxy-6-methyl-1-

isoquinolinyl]-2-[5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2,4-dimethoxy-3-methylphenyl]ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L25 ANSWER 39 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:228866 CAPLUS

DOCUMENT NUMBER: 134:266317

TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors

INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 306 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

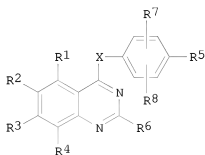
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

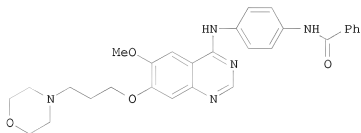
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, VZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2384291	A1	20010329	CA 2000-2384291	20000918
BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			

JP 2003509499	T	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
HU 2003000059	A2	20030728	HU 2003-59	20000918
HU 2003000059	A3	20030828		
BG 106492	A	20030131	BG 2002-106492	20020307
IN 2002MN00293	A	20050318	IN 2002-MN293	20020308
ZA 2002002234	A	20030619	ZA 2002-2234	20020319
NO 2002001399	A	20020430	NO 2002-1399	20020320
PRIORITY APPLN. INFO.:			GB 1999-22154	A 19990921
			GB 1999-22170	A 19990921
			WO 2000-GB3580	W 20000918
			WO 2000-GB9100	A 20000918

OTHER SOURCE(S): MARPAT 134:266317  
GI



I



II

AB Title compds. (I) [wherein X = O, S, SO, SO<sub>2</sub>, NH, or NR<sub>12</sub>; R<sub>12</sub> = H or alkyl; R<sub>1</sub>-R<sub>4</sub> = independently halo, CN, NO<sub>2</sub>, alkylsulfanyl, N(OH)R<sub>13</sub>, or R<sub>15</sub>X<sub>1</sub>; R<sub>13</sub> = H or alkyl; X<sub>1</sub> = a direct bond, O, CH<sub>2</sub>, OC(O), CO, CO<sub>2</sub>, S, SO, SO<sub>2</sub>, or (un)substituted NHCO, CONH, SO<sub>2</sub>NH, NHSO<sub>2</sub>, or NH; R<sub>15</sub> = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R<sub>5</sub> = NHCOR<sub>9</sub>, NHCOR<sub>9</sub>, NHSO<sub>2</sub>R<sub>9</sub>, COR<sub>9</sub>, CO<sub>2</sub>R<sub>9</sub>, SOR<sub>9</sub>, SO<sub>2</sub>OR<sub>9</sub>, CONR<sub>10</sub>R<sub>11</sub>, SONR<sub>10</sub>R<sub>11</sub>, or SO<sub>2</sub>NR<sub>10</sub>R<sub>11</sub>; R<sub>9</sub>-R<sub>11</sub> = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R<sub>10</sub> and R<sub>11</sub> together with the N to which they are attached = (un)substituted heterocyclyl; R<sub>6</sub> = H or (un)substituted hydrocarbyl or heterocyclyl; R<sub>7</sub> and R<sub>8</sub> = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF<sub>3</sub>, CN, NHY<sub>2</sub>, alkenyl, alkynyl, or (un)substituted Ph, PhCH<sub>2</sub>, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the quinazoline (68%), (6) chlorination to give 4-chloro-6-methoxy-7-

(3-morpholinopropoxy)quinazoline (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration

of

0.0193  $\mu$ M. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06  $\mu$ M and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209  $\mu$ M.

IT

504-03-0, 2,6-Dimethyl-piperidine

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 40 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:608722 CAPLUS

DOCUMENT NUMBER: 133:193079

TITLE: Preparation of arylsulfonyletherocyclhydroxamic acids and related compounds as matrix metalloprotease inhibitors

INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Bedell, Louis J.; Boehm, Terri L.; Carroll, Jeffery N.; De Crescenzo, Gary A.; Fobian, Yvette M.; Freskos, John N.; Getman, Daniel P.; McDonald, Joseph J.; Hanson, Gunnar J.; Hockerman, Susan L.; Howard, Susan C.; Kolodziej, Steve A.; Li, Hui; Mischke, Deborah A.; Rico, Joseph G.; Stehle, Nathan W.; Tollefson, Michael B.; Vernier, William F.; Villamil, Clara I.; Rao, Shashidhar N.

PATENT ASSIGNEE(S): P.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 851 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050396	A1	20000831	WO 2000-US2518	20000222
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 20010039287	A1	20011108	US 1999-256948	19990224
CA 2371876	A1	20000831	CA 2000-2371876	20000222

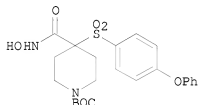
AU 2000034785	A	20000914	AU 2000-34785	20000222
HU 2002000239	A2	20020629	HU 2002-239	20000222
HU 2002000239	A3	20030428		
EP 1230219	A1	20020814	EP 2000-913317	20000222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000008491	A	20020917	BR 2000-8491	20000222
JP 2002537378	T	20021105	JP 2000-600979	20000222
NZ 513648	A	20040227	NZ 2000-513648	20000222
NO 2001003963	A	20011023	NO 2001-3963	20010815
ZA 2001006780	A	20020816	ZA 2001-6780	20010816
IN 2001CN01174	A	20050304	IN 2001-CN1174	20010821
MX 2001PA08568	A	20020408	MX 2001-PA8568	20010823
US 20020177588	A1	20021128	US 2001-954451	20010917
US 6750233	B2	20040615		

PRIORITY APPLN. INFO.:

US 1999-256948	A	19990224
US 1997-66007P	P	19971114
US 1998-95347P	P	19980804
US 1998-95501P	P	19980806
US 1998-101080P	P	19980918
WO 2000-US2518	W	20000222

OTHER SOURCE(S): MARPAT 133:193079

GI



I

AB A process for treating conditions associated with pathol. matrix metalloproteinase (MMP) activity comprises administration of compds. having inhibitory activity against >1 of MMP-2, MMP-9, and MMP-13, while exhibiting substantially less inhibition of MMP-1. The compds. are of the form HONHCOC(R1)R2SO2R3 [R1, R2 = H; R1R2 = atoms to form a 5-8 membered ring containing 1-3 heteroatoms; R3 = (substituted) aryl, heteroaryl]. Thus, 4-PhOC6H4SH was heated in Me2SO to give the disulfide dimer, which in THF was added to a mixture of Et N-tert-butoxycarbonylisonipecotate (preparation given) and LDA in THF at -60° to room temperature to give 40% sulfide, which was oxidized with m-ClC6H4CO(OOH) to give 59% sulfone. The Et ester was saponified with NaOH in EtOH/H2O to give 100% acid, which in DMF was treated with hydroxybenzotriazole, EDC, 4-methylmorpholine, and aqueous NH2OH to give title compound I. I inhibited MMP-2 with IC50 = 0.2 nM. Pharmacol., pharmacokinetic, and toxicol. data are given for selected compds.

IT 504-03-0, 2,6-Dimethylpiperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 41 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:811245 CAPLUS

DOCUMENT NUMBER: 132:49976

TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as inhibitors of protein tyrosine kinases such as Janus Kinase 3  
 INVENTOR(S): Blumenkopf, Todd Andrew; Flanagan, Mark Edward; Brown, Matthew Frank; Changelian, Paul Steven  
 PATENT ASSIGNEE(S): Pfizer Products Inc., USA  
 SOURCE: PCT Int. Appl., 46 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

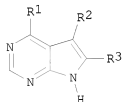
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965909	A1	19991223	WO 1999-IB1110	19990614
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335186	A1	19991223	CA 1999-2335186	19990614
CA 2335186	C	20050329		
AU 9940545	A	20000105	AU 1999-40545	19990614
AU 758427	B2	20030320		
TR 200003720	T2	20010321	TR 2000-3720	19990614
EP 1087971	A1	20010404	EP 1999-923800	19990614
EP 1087971	B1	20040707		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9912171	A	20010410	BR 1999-12171	19990614
HU 2001003472	A2	20020228	HU 2001-3472	19990614
HU 2001003472	A3	20021228		
JP 2002518394	T	20020625	JP 2000-554734	19990614
JP 3497823	B2	20040216		
TW 542834	B	20030721	TW 1999-88109933	19990614
CN 1125070	C	20031022	CN 1999-807519	19990614
NZ 508034	A	20031128	NZ 1999-508034	19990614
AT 270673	T	20040715	AT 1999-923800	19990614
PT 1087971	T	20041029	PT 1999-923800	19990614
ES 2223172	T3	20050216	ES 1999-923800	19990614
IN 1999DE00876	A	20080725	IN 1999-DE876	19990615
EG 23758	A	20070808	EG 1999-725	19990616
ZA 9904003	A	20001218	ZA 1999-4003	19990617
AP 1157	A	20030630	AP 1999-1583	19990617

W: BW, GH, GM, KE, MW, SD, UG, ZM, ZW

US 6635762	B1	20031021	US 1999-335030	19990617
NO 2000006454	A	20010215	NO 2000-6454	20001218
NO 318786	B1	20050509		
MX 2000PA12853	A	20010507	MX 2000-PA12853	20001219
HR 2000000886	A1	20011031	HR 2000-886	20001219
HR 2000000886	B1	20080731		
BG 105122	A	20011031	BG 2001-105122	20010108
BG 65063	B1	20070131		
HK 1036800	A1	20040227	HK 2001-107740	20011106
US 20040058922	A1	20040325	US 2003-640079	20030813
NO 2005000201	A	20010215	NO 2005-201	20050113
PRIORITY APPLN. INFO.:			US 1998-89886P	P 19980619
			WO 1999-IB1110	W 19990614
			US 1999-335030	A1 19990617

OTHER SOURCE(S): MARPAT 132:49976

GI



I



II

AB The title compds. [I; R1 = II (wherein the dashed line represents optional double bonds; m = 0-3; n = 0-3; X, B, D = O, S(O)d (d = 0-2), NR6, CR7R8; A, E = CR7R8; R6 = H, alkyl, CF3, etc.; R7, R8 = H, 2H, alkyl, etc.); R2, R3 = H, NH2, halo, etc.] which are inhibitors of protein tyrosine kinases such as Janus Kinase 3 (no data) and as such useful as immunosuppressive agents for organ transplants, lupus, multiple sclerosis, rheumatoid arthritis, psoriasis, Type I diabetes and complications from diabetes, cancer, asthma, atopic dermatitis, autoimmune thyroid disorders, ulcerative colitis, Crohn's disease, Alzheimer's disease, leukemia and other autoimmune diseases, were prepared E.g., a 2-step synthesis of I [R1 = piperidino; R2 = Cl; R3 = H], starting with 4-chloro-7H-pyrrolo[2,3-d]pyrimidine, was given. Compds. I are effective at 0.1-1000 mg/day.

IT 504-03-0, 2,6-Dimethylpiperidine

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of pyrrolo[2,3-d]pyrimidines as inhibitors of protein tyrosine kinases such as Janus Kinase 3)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



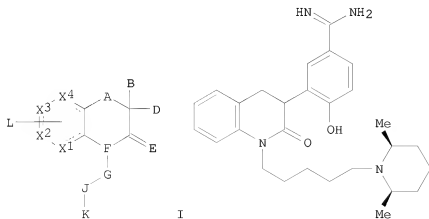
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 42 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1999:640853 CAPLUS

DOCUMENT NUMBER: 131:271815  
 TITLE: Preparation of 2(1H)-quinolinones as serine protease inhibitors for treatment of thrombotic disorders  
 INVENTOR(S): Dudley, Danette Andrea; Edmunds, Jeremy John  
 PATENT ASSIGNEE(S): Warner-Lambert Co., USA  
 SOURCE: PCT Int. Appl., 136 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950263	A1	19991007	WO 1998-US26709	19981215
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2312953	A1	19991007	CA 1998-2312953	19981215
AU 9919184	A	19991018	AU 1999-19184	19981215
AU 763110	B2	20030710		
BR 9815786	A	20001121	BR 1998-15786	19981215
EP 1091955	A1	20010418	EP 1998-963966	19981215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001001484	A2	20011028	HU 2001-1484	19981215
HU 2001001484	A3	20030228		
JP 2002509928	T	20020402	JP 2000-541167	19981215
NZ 505921	A	20030829	NZ 1998-505921	19981215
ZA 9902448	A	20001011	ZA 1999-2448	19990330
MX 2000PA06107	A	20010219	MX 2000-PA6107	20000619
US 6855726	B1	20050215	US 2000-601479	20000803
NO 2000004696	A	20000920	NO 2000-4696	20000920
PRIORITY APPLN. INFO.:			US 1998-80090P	P 19980331
			WO 1998-US26709	W 19981215

OTHER SOURCE(S): MARPAT 131:271815  
 GI



AB 2(1H)-Quinolinones (I) [where A = CH<sub>2</sub>, CH, or C(alkyl); B and D = independently H, (un)substituted (cyclo)alkyl, hetero(cyclo)alkyl, aryl(alkyl), or heterocycle; E = absent or O, S, or NH; F = N, NCH<sub>2</sub>, or CH<sub>2</sub>N; G and (un)substituted K = independently absent or (cyclo)alkyl interrupted by 1 or more heteroatoms; J = absent or (un)substituted aryl or heterocycle; L = H, halogen, OH, (un)substituted alkoxy, alkyl, amino, etc.; X1-X4 = independently C or N], which display inhibitory effects on serine proteases such as factor Xa, thrombin and/or factor VIIa, were prepared. For example, 1,5-dibromopentane was added to 4-(benzyloxy)-3-(2-oxo-1,2,3,4-tetrahydro-3-quinolinyl)benzenecarbonitrile (5-step preparation given) to yield the N-substituted tetrahydroquinolinone. Cis-2,6-dimethylpiperidine was added to the 5-bromopentylquinolinone to form the piperidinylpentyl derivative. This intermediate was converted to the title quinolinone II.2HCl by treatment with NH<sub>2</sub>OH.HCl followed by addition of CF<sub>3</sub>CO<sub>2</sub>H and reduction with Pd/C. Typically, the compds. of the invention showed 50% inhibition of factor Xa proteolytic activity on a synthetic substrate in concns. ranging from 50  $\mu$ M to 1 nM. II demonstrated inhibitory activity in standard assays of thrombin (IC<sub>50</sub> = 1.14  $\mu$ M), trypsin (IC<sub>50</sub> = 0.562  $\mu$ M), and factor Xa (IC<sub>50</sub> = 0.02  $\mu$ M). Compds. of the invention are claimed to be useful in the treatment or prevention of venous and arterial thrombosis, pulmonary embolism, myocardial and cerebral infarction, restenosis, cancer, angina, diabetes, heart failure, and atrial fibrillation in mammals.

IT 766-17-6, cis-2,6-Dimethylpiperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation of 2(1H)-quinolinones as serine protease inhibitors for treatment of thrombotic disorders)

RN 766-17-6 CAPLUS

CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 43 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:640844 CAPLUS  
 DOCUMENT NUMBER: 131:271886  
 TITLE: Preparation of quinoxalinones as serine protease inhibitors for treatment of thrombotic disorders  
 INVENTOR(S): Dudley, Danette Andrea; Edmunds, Jeremy John  
 PATENT ASSIGNEE(S): Warner-Lambert Co., USA  
 SOURCE: PCT Int. Appl., 104 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950254	A1	19991007	WO 1998-US26704	19981215

W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2319554	C	19991007	CA 1998-2319554	19981215
CA 2319554	A1	19991007		
AU 9919179	A	19991018	AU 1999-19179	19981215
BR 9815785	A	20001205	BR 1998-15785	19981215
EP 1068190	A1	20010117	EP 1998-963961	19981215

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

HU 2001001470	A2	20011028	HU 2001-1470	19981215
HU 2001001470	A3	20020930		
ZA 9902447	A	20001010	ZA 1999-2447	19990330
US 6410536	B1	20020625	US 2000-601606	20000803
MX 2000PA08342	A	20010328	MX 2000-PA8342	20000825
NO 2000004697	A	20000920	NO 2000-4697	20000920
US 20020086866	A1	20020704	US 2002-38006	20020104
US 6916805	B2	20050712		

PRIORITY APPLN. INFO.:

US 1998-80042P	P	19980331
WO 1998-US26704	W	19981215
US 2000-601606	A3	20000803

OTHER SOURCE(S): MARPAT 131:271886

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB 2(1H)-Quinoxalinones (I) [where A = N, N(alkyl)CH<sub>2</sub>, CH<sub>2</sub>N(alkyl), NO; B and D = independently H, (un)substituted (cyclo)alkyl, hetero(cyclo)alkyl, aryl(alkyl), or heterocycle; E = absent or O, S, or NH; F = N, NCH<sub>2</sub>, or CH<sub>2</sub>N; G and (un)substituted K = independently absent or (cyclo)alkyl interrupted by 1 or more heteroatoms; J = absent or (un)substituted aryl or heterocycle; L = H, halogen, OH, (un)substituted alkoxy, alkyl, amino, etc.; X1-X4 = independently C or N], which display inhibitory effects on serine proteases such as factor Xa, thrombin, trypsin, and/or factor VIIa, were prepared. For example, 1,5-dibromopentane was added to 4-(benzyloxy)-3-(3-oxo-3,4-dihydro-2-quinoxaliny)benzenecarbonitrile (6-step preparation given) to yield the N-substituted dihydroquinoxaline. Cis-2,6-dimethylpiperidine was added to the 5-bromopentylquinoxalinone to form the piperidinylpentyl derivative. This intermediate was debenzylated and the nitrile converted to the carboximidamide to form the title quinoxalinone (II).2HCl. Typically, the compds. of the invention showed 50% inhibition of factor Xa proteolytic activity on a synthetic substrate in concns. ranging from 50  $\mu$ M to 1 nM. II demonstrated inhibitory activity in standard assays of thrombin (IC<sub>50</sub> = 2.96  $\mu$ M), trypsin (IC<sub>50</sub> = 2.03  $\mu$ M), and factor Xa (IC<sub>50</sub> = 0.065  $\mu$ M). At a concentration of 100  $\mu$ M, II inhibited the catalytic activity of human tissue factor/factor VIIa complex by 16%. In an in vitro assay, II demonstrated human prothrombinase (PTase) complex inhibition with an IC<sub>50</sub> of 0.0015  $\mu$ M. The effects of II on thrombosis and hemostasis was studied in a rabbit veno-venous shunt model and in a dog electrolytic injury model of thrombosis. At the highest dose, II prolonged a PTT and PT by a 5- and 3.9-fold, resp., for the veno-venous shunt model and by 1.4- and 1.75-fold, resp., for the electrolytic injury model. Compds. of the invention are claimed to be useful in the treatment or prevention of venous and arterial thrombosis, pulmonary embolism, myocardial and

cerebral infarction, restenosis, cancer, angina, diabetes, heart failure, and atrial fibrillation in mammals.  
 IT 766-17-6, cis-2,6-Dimethylpiperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation of 2(1H)-quinolinones as serine protease inhibitors for treatment of thrombotic disorders)  
 RN 766-17-6 CAPLUS  
 CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 44 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1999:511159 CAPLUS  
 DOCUMENT NUMBER: 131:157709  
 TITLE: Preparation of bicyclic pyridine and pyrimidine derivatives as neuropeptide Y receptor antagonists  
 INVENTOR(S): Norman, Mark H.; Chen, Ning; Han, Nianhe; Liu, Longbin; Hurt, Clarence R.; Fotsch, Christopher H.; Jenkins, Tracy J.; Moreno, Ofir A.  
 PATENT ASSIGNEE(S): Amgen Inc., USA  
 SOURCE: PCT Int. Appl., 469 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

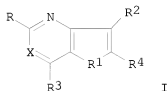
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940091	A1	19990812	WO 1999-US2500	19990205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6187777	B1	20010213	US 1999-246775	19990204
CA 2319275	A1	19990812	CA 1999-2319275	19990205
CA 2319275	C	20071016		
AU 9926590	A	19990823	AU 1999-26590	19990205
AU 747920	B2	20020530		
EP 1054887	A1	20001129	EP 1999-906756	19990205
EP 1054887	B1	20060412		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
JP 2003502272	T	20030121	JP 2000-530520	19990205
AT 233088	T	20060415	AT 1999-906756	19990205
PT 1054887	T	20060630	PT 1999-906756	19990205

ES 2257851	T3	20060801	ES 1999-906756	19990205
ZA 9900967	A	19990806	ZA 1999-967	19990208
MX 2000PA07662	A	20010219	MX 2000-PA7662	20000804
US 6583154	B1	20030624	US 2000-640263	20000816

PRIORITY APPLN. INFO.:

US 1998-73927P	P	19980206
US 1998-73981P	P	19980206
US 1998-93482P	P	19980720
US 1998-93577P	P	19980720
US 1999-246775	A	19990204
WO 1999-US2500	W	19990205

OTHER SOURCE(S): MARPAT 131:157709  
GI



AB Title compds.[I; R = H, CH<sub>3</sub>, (CH<sub>3</sub>)<sub>2</sub>CH, SCH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, NHCOC<sub>6</sub>H<sub>5</sub>, cyclopropyl, CH<sub>2</sub>OH, (CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, N(CH<sub>3</sub>)<sub>2</sub>, OCH<sub>3</sub>, NHCH<sub>3</sub>, NH(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>; R<sub>1</sub> = NH, S, NCH<sub>3</sub>, O; R<sub>2</sub> = H, COCH<sub>3</sub>, C<sub>6</sub>H<sub>5</sub>, CH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>; R<sub>3</sub> = NH<sub>2</sub>, CH<sub>3</sub>, NHC<sub>6</sub>H<sub>5</sub>, N(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, (CH<sub>3</sub>CH<sub>2</sub>)N(CH<sub>2</sub>)<sub>3</sub>CH<sub>3</sub>, (CH<sub>3</sub>)N(CH<sub>2</sub>)<sub>2</sub>NHCH<sub>3</sub>, N(CH<sub>3</sub>)CH(CH<sub>3</sub>)CH(Ph)OH, (CH<sub>3</sub>CH<sub>2</sub>)NCH<sub>2</sub>C(CH<sub>3</sub>):CH<sub>2</sub>, NHCH<sub>2</sub>CF<sub>3</sub>, NHCH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, NH(CH<sub>2</sub>)<sub>3</sub>OC<sub>2</sub>H<sub>5</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>, 4-CH<sub>3</sub>OC<sub>6</sub>H<sub>5</sub>, 2-thienyl, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, 1-piperazinyl, 3-pyridyl; R<sub>4</sub> = C<sub>6</sub>H<sub>5</sub>, 4-CH<sub>3</sub>C<sub>6</sub>H<sub>4</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>, (CH<sub>3</sub>)<sub>3</sub>C, 4-FC<sub>6</sub>H<sub>4</sub>, 3-HOC<sub>6</sub>H<sub>4</sub>, 2-pyridyl, cyclohexyl, 2-furyl, 2-FC<sub>6</sub>H<sub>4</sub> 2-thienyl, 1-adamantyl, CH<sub>3</sub>, 4-CH<sub>3</sub>OC<sub>6</sub>H<sub>4</sub>; X = N, CH; etc.], pharmaceutical acceptable salts, ester, solvate, and N-oxide are prepared and tested as neuropeptide Y receptor antagonists in the modulation of feeding behavior, obesity, diabetes, cancer, inflammatory disorders, depression, stress related disorders, Alzheimer's disease and other disease conditions. Thus, the title compound I (R = CH<sub>3</sub>; R<sub>1</sub> = NH; X = N; R<sub>2</sub> = H; R<sub>3</sub> = N(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>; R<sub>4</sub> = C<sub>6</sub>H<sub>5</sub>) was prepared

IT 766-17-6, cis-2,6-Dimethylpiperidine  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

RN 766-17-6 CAPLUS  
CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 45 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1999:350651 CAPLUS  
DOCUMENT NUMBER: 131:18929

TITLE: Preparation of arylsulfonyletherocyclylhydroxamic acids and related compounds as matrix metalloprotease inhibitors

INVENTOR(S): Barta, Thomas E.; Becker, Daniel P.; Boehm, Terri L.; De Crescenzo, Gary A.; Villamil, Clara I.; McDonald, Joseph J.; Freskos, John N.; Getman, Daniel P.

PATENT ASSIGNEE(S): G.D. Searle and Co., USA

SOURCE: PCT Int. Appl., 840 pp.  
CODEN: PIXXD2

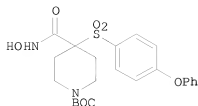
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9925687	A1	19990527	WO 1998-US23242	19981112
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2306460	A1	19990527	CA 1998-2306460	19981112
AU 9913732	A	19990607	AU 1999-13732	19981112
AU 756150	B2	20030102		
BR 9814643	A	20001003	BR 1998-14643	19981112
EP 1042290	A1	20001011	EP 1998-957485	19981112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2001523662	T	20011127	JP 2000-521071	19981112
NZ 503485	A	20021025	NZ 1998-503485	19981112
RU 2250105	C2	20050420	RU 2000-115948	19981112
ZA 9810412	A	19991209	ZA 1998-10412	19981113
US 20010014688	A1	20010816	US 1998-191129	19981113
NO 2000002469	A	20000712	NO 2000-2469	20000512
MX 2000PA04660	A	20010930	MX 2000-PA4660	20000512
US 6541489	B1	20030401	US 2000-554082	20000731
US 20020177588	A1	20021128	US 2001-954451	20010917
US 6750233	B2	20040615		
US 20040048852	A1	20040311	US 2003-337942	20030107
US 6890937	B2	20050510		
US 20060084688	A1	20060420	US 2005-46645	20050128
PRIORITY APPLN. INFO.:			US 1997-66007P	P 19971114
			US 1998-95347P	P 19980804
			US 1998-95501P	P 19980806
			US 1998-101080P	P 19980918
			WO 1998-US23242	W 19981112
			US 1999-256948	B3 19990224
			US 2000-554082	A3 20000731
			US 2003-337942	A3 20030107
OTHER SOURCE(S):	MARPAT 131:18929			
GI				



I

AB A process for treating conditions associated with pathol. matrix metalloproteinase (MMP) activity comprises administration of compds. having inhibitory activity against >1 of MMP-2, MMP-9, and MMP-13, while exhibiting substantially less inhibition of MMP-1. The compds. are of the form HONHCOC(R1)R2SO2R3 [ $R_1, R_2 = H$ ;  $R_1R_2 =$  atoms to form a 5-8 membered ring containing 1-3 heteroatoms;  $R_3 =$  (substituted) aryl, heteroaryl]. Thus, 4-PhOC6H4SH was heated in Me2SO to give the disulfide dimer, which in THF was added to a mixture of Et N-tert-butoxycarbonylisonipecotate (preparation given) and LDA in THF at  $-60^\circ$  to room temperature to give 405 sulfide, which was oxidized with m-ClC6H4CO(OOH) to give 59% sulfone. The Et ester was saponified with NaOH in EtOH/H2O to give 100% acid, which in DMF was treated with hydroxybenzotriazole, EDC, 4-methylmorpholine, and aqueous NH2OH to give title compound (I). I inhibited MMP-2 with  $IC_{50} = 0.2$  nM.

IT 504-03-0, 2,6-Dimethylpiperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of arylsulfonylheterocyclylhydroxamic acids and related compds. as matrix metalloprotease inhibitors)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 46 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:816108 CAPLUS

DOCUMENT NUMBER: 130:66389

TITLE: Preparation of indole derivatives as gonadotropin releasing hormone antagonists

INVENTOR(S): Goulet, Mark; Chu, Lin; Walsh, Thomas F.; Fisher, Michael H.; Girotra, Narindar N.; Wyvratt, Matthew J.; Lin, Peter; Ashton, Wallace T.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 59 pp.  
 CODEN: USXXAM

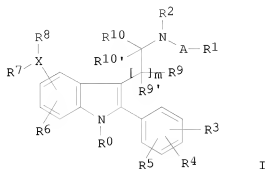
DOCUMENT TYPE: Patent

LANGUAGE: English

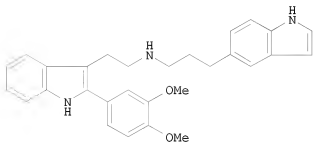
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849764	A	19981215	US 1996-760817	19961205



I



II

AB The title compds. I [A = (halo)alkyl, (un)substituted cycloalkyl, alkenyl, or alkynyl, alkoxy, alkylthio, alkoxyalkyl, bond, etc.; R0 = H, (un)substituted alkyl, aryl, or aralkyl; R1 = various (un)substituted heterocycles; R2 = H, (un)substituted alkyl, aralkyl, aryl, etc.; R2 and A may form 5- to 7-atom ring; R3, R4, R5 = H, (un)substituted alkyl or alkenyl, cyano, nitro, halo; R6 = H, (un)substituted alkyl, aryl, cyano, NO2, halo, etc.; R7 = H, (un)substituted alkyl, or is absent; R8 = H, CO2H or derivs., NH2 or derivs., OH or SH or derivs., etc.; or R7 and R8 form a C3-7 carbocyclic ring; R9, R9', R10, R10' = H, (un)substituted alkyl, aryl, or aralkyl; X = H, halo, N, O, S(O)0-2, CO, CH2, etc.; m = 0-3] (claimed) and similar compds. were prepared as antagonists of gonadotropin releasing hormone (no data). The compds. are thus useful for treatment of a variety of conditions including hormone-dependent cancers, benign prostatic hypertrophy, endometriosis, irritable bowel syndrome, etc. For instance, amidation of 3-(1H-indol-5-yl)propionic acid with 2-[2-(3,4-dimethoxyphenyl)-1H-indol-3-yl]ethylamine using EDC and HOBT, and reduction of the amide product to a secondary amine using LiAlH4 in THF at 77°, gave the invention compound II.

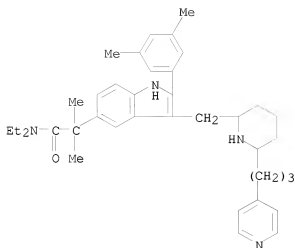
IT 192717-09-2P 192717-10-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

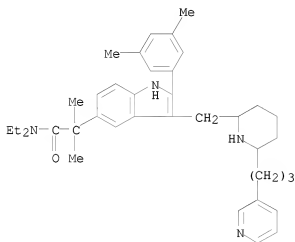
(preparation of indole derivs. as gonadotropin releasing hormone antagonists)

RN 192717-09-2 CAPLUS

CN 1H-indole-5-acetamide, 2-(3,5-dimethylphenyl)-N,N-diethyl- $\alpha$ , $\alpha$ -dimethyl-3-[[6-[3-(4-pyridinyl)propyl]-2-piperidinyl]methyl]- (CA INDEX NAME)



RN 192717-10-5 CAPLUS  
 CN 1H-Indole-5-acetamide, 2-(3,5-dimethylphenyl)-N,N-diethyl-α,α-dimethyl-3-[[6-[3-(3-pyridinyl)propyl]-2-piperidinyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 47 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:638427 CAPLUS  
 DOCUMENT NUMBER: 127:242933  
 ORIGINAL REFERENCE NO.: 127:47247a, 47250a  
 TITLE: Inhibition of Tubulin Polymerization by 5,6-Dihydroindolo[2,1-a]isoquinoline Derivatives Goldbrunner, Michael; Loidl, Guenther; Polossek, Thomas; Mannschreck, Albrecht; von Angerer, Erwin Institut fuer Pharmazie and Institut fuer Organische Chemie, Universitaet Regensburg, Regensburg, D-93040, Germany  
 AUTHOR(S):  
 CORPORATE SOURCE:  
 SOURCE: Journal of Medicinal Chemistry (1997), 40(22), 3524-3533

PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English

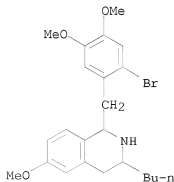
AB 6-Alkyl-12-formyl-5,6-dihydroindolo[2,1-a]isoquinolines inhibit the growth of human mammary carcinoma cells by an unknown mode of action. One of the possible mol. targets is the tubulin system which is involved in cell division. A number of 5,6-dihydroindolo[2,1-a]isoquinolines with methoxy or hydroxy groups in positions 3, 9, and/or 10 and various functional groups such as formyl, acetyl, cyano, alkylimino, and alkylamino in position 12 were synthesized and evaluated for both inhibition of tubulin polymerization

and cytostatic activity in MDA-MB 231 and MCF-7 human breast cancer cells. In the tubulin polymerization assay, only hydroxy derivs. were active, whereas both the hydroxy derivs. and some of the methoxy compds. inhibited cell growth. In order to establish a correlation between the inhibition of tubulin polymerization and cytostatic activity in the hydroxy series, 2 of

the most active racemates were separated into the enantiomers. In both assays, the relative potencies of the hydroxy derivs. were in a similar order. Highest activity was found for the (+)-isomers of 6-propyl- and 6-butyl-12-formyl-5,6-dihydro-3,9-dihydroxyindolo[2,1-a]isoquinoline with IC<sub>50</sub> values of 11 and 3.1  $\mu$ M, resp., for the polymerization of tubulin at 37° (colchicine: 2.1  $\mu$ M). The active hydroxy derivs. displaced 40-70% of [3H]colchicine from its binding site in the tubulin at concns. 10-fold higher than that of colchicine. Hydroxy-substituted indolo[2,1-a]isoquinolines bind to the colchicine-binding site and inhibit the polymerization of tubulin. This action can be assumed to be responsible

for the cytostatic activity of the hydroxy derivs. and might also contribute to the antitumor effect of the corresponding Me ethers.

IT 195731-21-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(inhibition of tubulin polymerization by dihydroindoloisoquinolines)  
RN 195731-21-6 CAPLUS  
CN Isoquinoline, 1-[(2-bromo-4,5-dimethoxyphenyl)methyl]-3-butyl-1,2,3,4-tetrahydro-6-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L25 ANSWER 48 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1997:511777 CAPLUS  
DOCUMENT NUMBER: 127:121742  
ORIGINAL REFERENCE NO.: 127:23485a,23488a

TITLE: Preparation of heterocyclic compounds as antagonists of gonadotropin releasing hormone

INVENTOR(S): Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher, Michael H.; Girotra, Narindar N.; Lin, Peter; Wyvratt, Matthew J.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher, Michael H.; Girotra, Narindar N.; Lin, Peter; Wyvratt, Matthew J.

SOURCE: PCT Int. Appl., 117 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

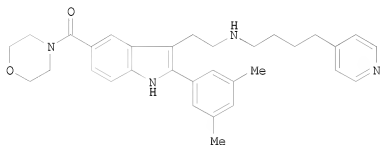
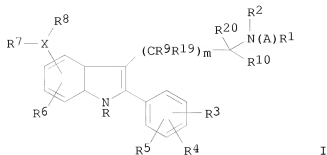
FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721704	A1	19970619	WO 1996-US19444	19961210
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2240108	A1	19970619	CA 1996-2240108	19961210
AU 9714106	A	19970703	AU 1997-14106	19961210
AU 707641	B2	19990715		
EP 873336	A1	19981028	EP 1996-944249	19961210
EP 873336	B1	20020327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1208412	A	19990217	CN 1996-199872	19961210
JP 11506471	T	19990608	JP 1997-522124	19961210
JP 3230818	B2	20011119		
JP 2001106685	A	20010417	JP 2000-257791	19961210
HU 9903671	A2	20011028	HU 1999-3671	19961210
HU 9903671	A3	20011128		
AT 215081	T	20020415	AT 1996-944249	19961210
ES 2174129	T3	20021101	ES 1996-944249	19961210
ZA 9610536	A	19970814	ZA 1996-10536	19961213
NO 9802729	A	19980813	NO 1998-2729	19980612
PRIORITY APPLN. INFO.:			US 1995-8633P	P 19951214
			GB 1996-3242	A 19960216
			JP 1997-522124	A3 19961210
			WO 1996-US19444	W 19961210

OTHER SOURCE(S): MARPAT 127:121742

GI

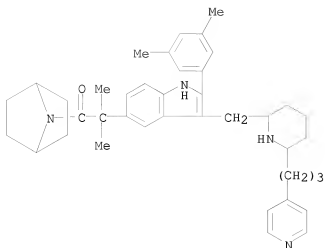


AB The title compound I [A = alkyl, etc.; R = H, alkyl, etc.; R1 = heterocyclic ring (generic structures given); R2 = H, alkyl, etc.; or R2A = ring; R3, R4, R5 = H, (un)substituted alkyl, alkenyl, etc.; or R3R4 = ring; R6 = H, (un)substituted alkyl, etc.; R7 = H, (un)substituted alkyl; unless X is hydrogen or halo, then R7 is absent; R8 = heterocyclic ring, etc.; or R7R8 = heterocyclic ring; R9, R19 = H, (un)substituted alkyl; further details on R9R19 and R9A are given; R20, R10 = H, (un)substituted alkyl, etc.; further details on R20R10, and R9R20, R9R2, R20R2, R20A are given; m = 0 to 3; X = N, etc.], useful as antagonists of gonadotropin releasing hormone (no data), are prepared I may be useful for the treatment of a variety of sex-hormone related and other conditions in both men and women. The title compound II was prepared in a multistep process.

IT 192644-63-6P 192644-64-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of heterocyclic compds. as antagonists of gonadotropin releasing hormone)

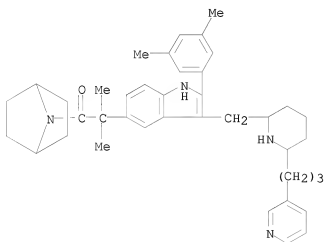
RN 192644-63-6 CAPLUS

1-Propanone, 1-(7-azabicyclo[2.2.1]hept-7-yl)-2-[2-(3,5-dimethylphenyl)-3-  
[6-[3-(4-pyridinyl)propyl]-2-piperidinyl)methyl]-1H-indol-5-yl]-2-methyl-  
(CA INDEX NAME)



RN 192644-64-7 CAPLUS

CN 1-Propanone, 1-(7-azabicyclo[2.2.1]hept-7-yl)-2-[2-(3,5-dimethylphenyl)-3-[[6-[3-(3-pyridinyl)propyl]-2-piperidinyl)methyl]-1H-indol-5-yl]-2-methyl- (CA INDEX NAME)



L25 ANSWER 49 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:313379 CAPLUS

DOCUMENT NUMBER: 125:75406

ORIGINAL REFERENCE NO.: 125:14067a,14070a

TITLE: Assessment of a cytoprotection assay for the discovery and evaluation of anti-human immunodeficiency virus compounds utilizing a genetically-impaired virus

AUTHOR(S): Kiser, Rebecca; Makovsky, Susan; Terpening, Sara J.; Laing, Noel; Clanton, David J.

CORPORATE SOURCE: NCI-AIDS Drug Screening and Development Laboratory, SAIC-Frederick, NCI-FCRDC, Frederick, MD, 21702-1201, USA

SOURCE: Journal of Virological Methods (1996), 58(1,2), 99-109  
CODEN: JVMEDE; ISSN: 0166-0934

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A biol. contained cytoprotection assay was developed to screen inhibitors of the human immunodeficiency virus without the need for high level containment or practices. The virus used has multiple point mutations that have destroyed its ability to produce both Rev and Tat, proteins essential for virus replication in vitro. The original cell line employed (CEM-SSTART) contains a genetic construct that allows for the continuous expression of both Rev and Tat, and a subclone (1A2) was developed that provides for maximum acute cytopathic effect. The National Cancer Institute's AIDS drug screening assay was used to test known drugs with both HIVIIB virus in the T4 lymphocytic cell line CEM-SS and mutant virus in the 1A2 subclone. This cell-based assay uses the tetrazolium salt, XTT, as an indicator of cellular metabolism after the cells have been infected with virus. The results of extensive testing have shown that the assay using mutant virus is comparable to the current NCI AIDS drug screen. After 42 days in 1A2 or CEM-SS cell culture, the virus or the integrated genome did not revert to wild-type, and the virus produced in 1A2 cells was unable to replicate in PBMCs. Mutant viral stocks were devoid of wild-type virus as determined by a PCR assay that would have found 60-600 copies of mutant RNA. These materials, which are now available to the scientific community (NIH AIDS Research and Reference Reagent Program), should be useful tools to screen and test compds. for potential inhibition of HIV in labs. not equipped to maintain and use wild-type infectious virus.

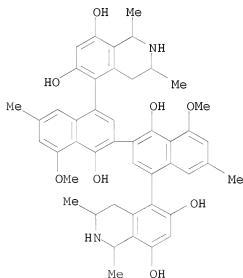
IT 137893-48-2, Michellamine B

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(assessment of cytoprotection assay for discovery and evaluation of anti-human immunodeficiency virus compds. utilizing a genetically-impaired virus)

RN 137893-48-2 CAPLUS

CN 6,8-Isoquinolinediol, 5,5'-(1,1'-dihydroxy-8,8'-dimethoxy-6,6'-dimethyl[2,2'-binaphthalene]-4,4'-diyl)bis[1,2,3,4-tetrahydro-1,3-dimethyl-, (1R,1'R,3R,3'R,5R,5'S)- (CA INDEX NAME)



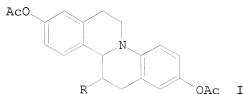
L25 ANSWER 50 OF 55 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:483015 CAPLUS

DOCUMENT NUMBER: 121:83015

ORIGINAL REFERENCE NO.: 121:14913a,14916a

TITLE: Dibenzo[a,f]quinolizines: syntheses and cytostatic activity in estrogen-sensitive tumor cells  
 AUTHOR(S): von Angerer, Silvia; Seidl, Engelbert; Mannschreck, Albrecht; von Angerer, Erwin; Wiegrebe, Wolfgang  
 CORPORATE SOURCE: Inst. Pharm., Univ. Regensburg, Regensburg, D-93040, Germany  
 SOURCE: Anti-Cancer Drug Design (1994), 9(1), 25-40  
 CODEN: ACDDEA; ISSN: 0266-9536  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



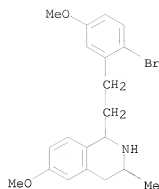
AB A number of methoxy-substituted 7,11b,12,13-tetrahydro-6H-dibenzo[a,f]quinolizines with short alkyl groups in position 6 or 12 were synthesized by the Bischler-Napieralski reaction using the appropriate starting material followed by a 2nd ring closure reaction involving a base-generated benzyne intermediate. The methoxy functions in positions 2 or 3 and 9 were cleaved with BBr<sub>3</sub> and the free hydroxy groups converted into the acetates. The enantiomers of 2 of these derivs. were separated by liquid chromatog. on triacetylcellulose. Compds. with alkyl substituents bind strongly to the estrogen receptor except those with a cis-orientation at the central ring connection. The RBA values ranged from 2.2-10.8 (17 $\beta$ -estradiol: RBA = 100). There was no major difference in binding between the (+) and (-)-enantiomers. The 3,9-diacetoxy-6-alkyl derivs. also showed binding affinity for the progesterone receptor (RBA: 1.2-3.1). The 2,9-diacetoxydibenzoquinolizines trans-I (R = Et and Pr) strongly inhibited the growth of hormone-sensitive MCF-7 breast cancer cells at concns. of 10<sup>-6</sup> M and higher but were inactive in hormone-independent MDA-MB 231 breast cancer cells. Preliminary tests with hormone-dependent MXT mouse mammary tumors as model showed that these compds. have also antineoplastic activity in vivo. Trans-I (R = Et) at a dose of 20 mg/kg body weight, administered 3 times/wk, inhibited the growth of these tumors by 78% (tamoxifen: 76% inhibition). Studies on the estrogenic and antiestrogenic properties of these agents in mice revealed that they are mixed agonists/antagonists with strong antiestrogenic activity at low doses but significant estrogenic effects at higher doses.

IT 156417-29-7P 156417-30-0P 156417-31-1P  
 156417-32-2P 156417-33-3P 156417-34-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

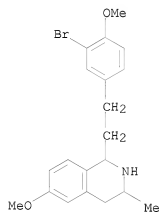
(preparation and reaction of, in preparation of diacetoxydibenzoquinolizines)

RN 156417-29-7 CAPLUS

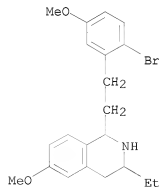
CN Isoquinoline, 1-[2-(2-bromo-5-methoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-3-methyl- (CA INDEX NAME)



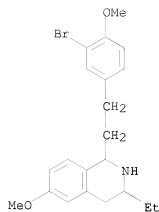
RN 156417-30-0 CAPLUS  
 CN Isoquinoline, 1-[2-(3-bromo-4-methoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-3-methyl- (CA INDEX NAME)



RN 156417-31-1 CAPLUS  
 CN Isoquinoline, 1-[2-(2-bromo-5-methoxyphenyl)ethyl]-3-ethyl-1,2,3,4-tetrahydro-6-methoxy- (CA INDEX NAME)

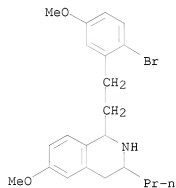


RN 156417-32-2 CAPLUS  
 CN Isoquinoline, 1-[2-(3-bromo-4-methoxyphenyl)ethyl]-3-ethyl-1,2,3,4-tetrahydro-6-methoxy- (CA INDEX NAME)



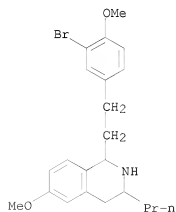
RN 156417-33-3 CAPLUS

CN Isoquinoline, 1-[2-(2-bromo-5-methoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-3-propyl- (CA INDEX NAME)



RN 156417-34-4 CAPLUS

CN Isoquinoline, 1-[2-(3-bromo-4-methoxyphenyl)ethyl]-1,2,3,4-tetrahydro-6-methoxy-3-propyl- (CA INDEX NAME)



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      7150149 USES/RL
L27      274 L22/USES
          (L22 (L) USES/RL)

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      54465 CANCERS
      384282 CANCER
          (CANCER OR CANCERS)
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      54465 "CANCERS"
      384282 "CANCER"
          ("CANCER" OR "CANCERS")
      53989 "GENUS"
      103 "GENUSES"
      18740 "GENERA"
      8 "GENERAS"
      68072 "GENUS"
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      48 "CANCER (GENUS)"
          ("CANCER"(W)"GENUS")
L28      384282 (CANCER OR "CANCER (GENUS)")

=> s L26 AND L28
L29      19 L26 AND L28

=> s L27 AND L28
L30      17 L27 AND L28

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L29 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

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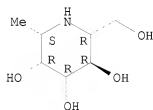
ACCESSION NUMBER: 2006:699811 CAPLUS
DOCUMENT NUMBER: 145:165623
TITLE: Manufacture of  $\beta$ -L-homofuconojirimycin with
        Penicillium
INVENTOR(S): Kita, Yuichi; Kondo, Satoru; Tomoda, Akihiro;
        Ichikawa, Masako; Takahashi, Atsushi
PATENT ASSIGNEE(S): Hokko Chemical Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
        CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	JP 2006187223	A	20060720	JP 2005-324	20050105
PRIORITY APPLN. INFO.:				JP 2005-324	20050105
AB	The $\beta$ -L-homofuconojirimycin (I), an fucosidase inhibitor and inhibitor for metastasis of tumor, is manufactured with Penicillium. Shake culture of Penicillium, and chromatog. isolation of I from culture supernatant were shown.				

IT 125711-55-9P,  $\beta$ -L-Homofuconojirimycin  
 RL: BPN (Biosynthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)  
 (manufacture of  $\beta$ -L-homofuconojirimycin with Penicillium as antitumor)  
 RN 125711-55-9 CAPLUS  
 CN 3,4,5-Piperidinetriol, 2-(hydroxymethyl)-6-methyl-, (2R,3R,4R,5R,6S)- (CA  
 INDEX NAME)

Absolute stereochemistry.



L29 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:410153 CAPLUS

DOCUMENT NUMBER: 144:450708

TITLE: Imidazolecarboxamides and related compounds as inhibitors of c-fms kinase and their preparation, pharmaceutical compositions and use for treatment of various inflammations, cancers, and cardiovascular diseases

INVENTOR(S): Illig, Carl; Ballentine, Shelley; Chen, Jinsheng; Meegalla, Sanath; Rudolph, M.; Wall, Mark; Wilson, Ken; Desjarlais, Renee; Molloy, Christopher; Manthey, Carl; Flores, Christopher

PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.

SOURCE: PCT Int. Appl., 170 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006047277	A2	20060504	WO 2005-US37868	20051020
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005299837	A1	20060504	AU 2005-299837	20051020
CA 2585053	A1	20060504	CA 2005-2585053	20051020
EP 1807077	A2	20070718	EP 2005-815361	20051020
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				

JP 2008517926	T	20080529	JP 2007-538060	20051020
MX 200704784	A	20070911	MX 2007-4784	20070420
IN 2007KN01436	A	20070720	IN 2007-KN1436	20070423
NO 2007002489	A	20070629	NO 2007-2489	20070515
KR 2007085382	A	20070827	KR 2007-711145	20070516
PRIORITY APPLN. INFO.:			US 2004-621211P	P 20041022
			WO 2005-US37868	W 20051020

OTHER SOURCE(S): CASREACT 144:450708; MARPAT 144:450708

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention is directed to compds. of formula I as well as solvates, hydrates, tautomers and pharmaceutically acceptable salts thereof, that inhibit protein tyrosine kinases, especially c-fms kinase. Methods of treating autoimmune diseases; and diseases with an inflammatory component; treating metastasis from ovarian cancer, uterine cancer, breast cancer, colon cancer, stomach cancer, hairy cell leukemia and non-small lung carcinoma; and treating pain, including skeletal pain caused by tumor metastasis or osteoarthritis, or visceral, inflammatory, and neurogenic pain; as well as osteoporosis, Paget's disease, and other diseases in which bone resorption mediates morbidity including arthritis, prosthesis failure, osteolytic sarcoma, myeloma, and tumor metastasis to bone with the compds. of formula I, are also provided. Compound of formula I wherein A is (un)substituted Ph, (un)substituted pyridyl, or 4-aminophenyl; W is (un)substituted pyrrolyl, (un)substituted imidazolyl, (un)substituted isoxazolyl, (un)substituted oxazolyl, (un)substituted 1,2,4-triazolyl, or (un)substituted furanyl; R2 is (un)substituted cycloalkyl, (un)substituted thiophenyl, (un)substituted dihydrosulfonyl, (un)substituted Ph, (un)substituted furanyl, (un)substituted tetrahydropyridyl, or (un)substituted dihydropyranlyl; X is (un)substituted heterocycles; and their solvates, hydrates, tautomers, or pharmaceutically acceptable salts are claimed in this invention. Example compound cis- and trans-II.2TFA were prepared by Boc-protection of 2,6-dimethyl-4-piperidinone; the resulting N-Boc-2,6-dimethyl-4-piperidinone underwent sulfonylation to give 2,6-dimethyl-4-trifluoromethanesulfonyloxy-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-Bu ester, which underwent Suzuki coupling with 4-aminophenylboronic acid to give 4-(4-aminophenyl)-2,6-dimethyl-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-Bu ester, which underwent hydrogenation to give 4-(4-aminophenyl)-2,6-dimethylpiperidine-1-carboxylic acid tert-Bu ester, which underwent Suzuki coupling with cyclohex-1-enylboronic acid; the resulting 4-(4-amino-3-cyclohex-1-enylphenyl)-2,6-dimethylpiperidine-1-carboxylic acid tert-Bu ester reacted with 4-cyano-1-(2-trimethylsilyl)ethoxymethyl)-1H-imidazole-2-carboxylic acid potassium salt followed by separation of isomers to give cis- and trans-4-4-[[4-cyano-1-(2-trimethylsilyl)ethoxymethyl)-1H-imidazole-2-carboxyl]amino]-3-cyclohex-1-enylphenyl)-2,6-dimethylpiperidine-1-carboxylic acid tert-Bu esters which underwent hydrolysis to give example compds. cis- and trans-II.2TFA. All the invention compds. were evaluated for their c-fms kinase inhibitory activity. From the assay, it was determined that cis-II.2TFA exhibited and IC50 value of 0.20 nM and trans-II.2TFA showed and IC50 value of 0.40 nM.

IT 885692-87-5P 885948-24-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);  
USES (Uses)

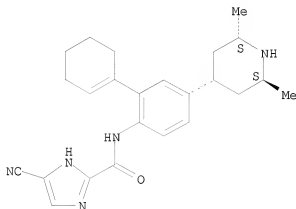
(drug candidate; preparation of imidazolecarboxamides and related compds. as inhibitors of c-fms kinase useful for treatment of inflammations, cancers, and cardiovascular diseases)

RN 885692-87-5 CAPLUS  
CN 1H-Imidazole-2-carboxamide, 5-cyano-N-[2-(1-cyclohexen-1-yl)-4-[(2R,6R)-2,6-dimethyl-4-piperidiny]phenyl]-, rel-, 2,2,2-trifluoroacetate (1:2)  
(CA INDEX NAME)

CM 1

CRN 885692-86-4  
CMF C24 H29 N5 O

Relative stereochemistry.



CM 2

CRN 76-05-1  
CMF C2 H F3 O2

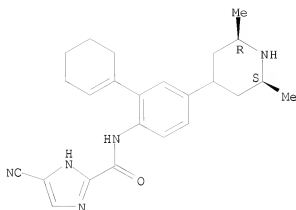


RN 885948-24-3 CAPLUS  
CN 1H-Imidazole-2-carboxamide, 5-cyano-N-[2-(1-cyclohexen-1-yl)-4-[(2R,6S)-2,6-dimethyl-4-piperidiny]phenyl]-, rel-, 2,2,2-trifluoroacetate (1:2)  
(CA INDEX NAME)

CM 1

CRN 885948-23-2  
CMF C24 H29 N5 O

Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



L29 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:367143 CAPLUS

DOCUMENT NUMBER: 144:412493

TITLE: Rhodanine derivatives as PPAR receptor modulators and their preparation, pharmaceutical compositions and use for treatment and prophylaxis of various diseases

INVENTOR(S): Sarshar, Sepehr; Marappan, Subrumanian

PATENT ASSIGNEE(S): Auspex Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006041921	A2	20060420	WO 2005-US35832	20051004
WO 2006041921	A3	20061109		
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,			

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

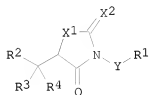
US 2004-616574P

P 20041005

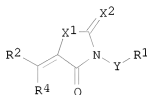
OTHER SOURCE(S):

CASREACT 144:412493; MARPAT 144:412493

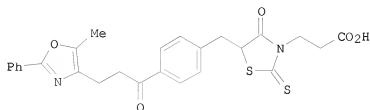
GI



I



II



III

AB Processes for the preparation of compds. of formulas I and II are described. These compds. can be used as PPAR modulators and for the treatment and/or management of cancer, inflammation, cellular differentiation and proliferation, wound healing, metabolism of lipids and carbohydrates, obesity, diabetes, and energy homeostasis. Compds. of formula I and II wherein X1 and X2 are independently O, S, or NH; Y is (un)substituted C1-10 alkyl; R1 is (un)substituted C5-11 oxocycloalkenyl, (R9CO)(R10CO)CH, or (un)substituted dioxodioxanyl; R9 and R10 are independently OH, alkoxy, aryloxy, NH2, alkylamino, arylamino, N-aryl-N-alkylamino, -NHNH2, alkylhydrazino, arylhydrazino, N-aryl-N-alkylamino, NHOH and derivs., alkyl, or aryl; R2 and R3 are independently H, halo, or alkyl; R4 is substituted aryl and heteroaryl; and their pharmaceutically acceptable salts, and prodrugs thereof are claimed. Example compound III was prepared by addition of methylolithium to 4-(diethoxymethyl)benzaldehyde to give the corresponding alc., which was oxidized to give 4-(diethoxymethyl)acetophenone, which underwent acylation with di-Et carbonate; the resulting 2-[4-(diethoxymethyl)benzoyl]acetate underwent alkylation with 4-chloromethyl-5-methyl-2-phenylloxazole followed by decarboxylation to give 4-[3-(5-methyl-2-phenyl-4-oxazolyl)propionyl]benzaldehyde, which underwent condensation with rhodanine-N-propionic acid to give 4-[3-(5-methyl-2-phenyl-4-oxazolyl)propionyl]benzylidene-3-(β-carboxyethyl)rhodanine, which underwent hydrogenation to give example compound III. The invention compds. were evaluated for their PPAR-γ modulating activity. From the assay, it was determined example compound III exhibited an EC50 0.127 μM.

IT 104343-33-1, MDL-25637

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

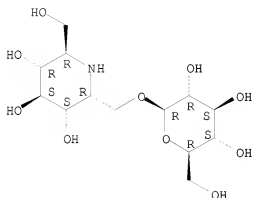
(preparation of rhodanine derivs. as PPAR receptors modulators useful in treatment and prophylaxis of diseases)

RN 104343-33-1 CAPLUS

CN β-D-Glucopyranoside, [(2R,3S,4S,5R,6R)-3,4,5-trihydroxy-6-

(hydroxymethyl)-2-piperidinyl)methyl (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L29 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:718513 CAPLUS

DOCUMENT NUMBER: 141:225770

TITLE: Preparation of of aza-sugar derivatives as anticancer agents

INVENTOR(S): Arora, Jasbir Singh; Gupta, Nidhi; Salman, Mohammad;

Gupta, Jang Bahadur; Pandit, Upendra Kumar

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

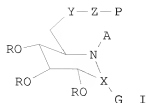
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2004074251	A1	20040902	WO 2003-IB619	20030220
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG			
AU 2003206021	A1	20040909	AU 2003-206021	20030220
EP 1597231	A1	20051123	EP 2003-702904	20030220
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
US 20060241114	A1	20061026	US 2005-546462	20050819
IN 2005DN04194	A	20071207	IN 2005-DN4194	20050916
PRIORITY APPLN. INFO.:			WO 2003-IB619	A 20030220
OTHER SOURCE(S):			CASREACT 141:225770; MARPAT 141:225770	
GI				



AB Certain derivs. of aza-sugars I, wherein A is H, alkyl, alkenyl, alkynyl; X-G is CO, CH<sub>2</sub>; R is H, alkyl, acyl, aryl, aralkyl, trimethylsilyl; Y is O, NH, heterocycle; P is alkyl, CF<sub>3</sub>, aryl, aralkyl, alkylamino, heterocycle, useful in the treatment of cancer, are presented. This invention also relates to pharmacol. compns. containing the compds. of present invention and treatment of cancer, including tumor or other neoplasm, with an aza-sugar. Thus, 2,3,4-tri-O-benzyl-6-O-(4,6-dichloro-1,3,5-triazin-1-yl)-N-propyl-D-gluc-6-lactam was prepared and tested in vitro as antitumor agent.

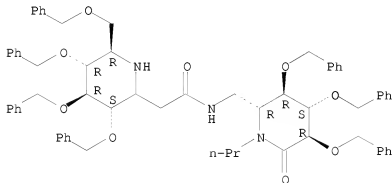
IT 748814-76-8P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of azasugar derivs. as anticancer agents)

RN 748814-76-8 CAPLUS

CN 2-Piperidineacetamide, N-[[ (2R,3R,4S,5R)-6-oxo-3,4,5-tris(phenylmethoxy)-1-propyl-2-piperidinyl)methyl]-3,4,5-tris(phenylmethoxy)-6-[(phenylmethoxy)methyl]-, (3S,4R,5R,6R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:590960 CAPLUS

DOCUMENT NUMBER: 139:149804

TITLE: Solenopsin A, B and analogs as novel angiogenesis inhibitors

INVENTOR(S): Bowen, Phillip J.; Arbiser, Jack L.; Whitmore, David; Furness, Scott M.

PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA; Emory University

SOURCE: PCT Int. Appl., 67 pp.

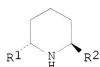
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPLICATION NO.		DATE	
WO 2003061598		A2	20030731	WO 2003-US2105		20030124	
WO 2003061598		A3	20031204				
W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 20050038071		A1	20050217	US 2004-502080		20041008	
PRIORITY APPLN. INFO.:			US 2002-351880P		P 20020125		
			WO 2003-US2105		W 20030124		
OTHER SOURCE(S):		MARPAT 139:149804					
GI							



I



II

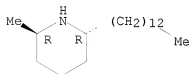
- AB The present invention relates to solenopsin A and its analogs, I [R1, R2 = linear, cyclic or branched (un)saturated (un)substituted C1-20-alkyl] and II, or a pharmaceutically acceptable salt, for use as angiogenesis inhibitors. The present compds. unexpectedly exhibit good activity as angiogenesis inhibitors, which find use as antitumor/anticancer agents as well as to treat a number of conditions or disease states in which angiogenesis is a factor. Thus, (+)-Solenopsin A hydrochloride (+)-I·HCl [R1 = Me, R2 = undecyl] was prepared from 4-chloropyridine hydrochloride via reaction with undecylmagnesium bromide in Et2O followed by addition of ClCO2Ph; transesterification with KOCMe3; lithiation with BuLi followed by methylation with MeI; hydrogenation/hydrogenolysis with H2 over Pd/C in MeOH; stereoselective reduction with NaBH3CN in CH2Cl2; and deprotection with CF3CO2H in CH2Cl2 followed by dissoln. in Et2O and treatment with HCl(g). The anticancer activity of I·HCl [R1 = Me, R2 = (CH2)10Me, CH:CHCO2Et, CH2CH2CO2Et, CH:CH(CH2)4Me, CH:CHCH2CHMe2, (CH2)3CHMe2, (CH2)2CHMe2, CH:CHCHMe2, CH:CHPr-n, CH:CHMe, (CH2)2Ph, (CH2)6Me, Bu, cyclopentyl, CH2Bu] was determined (comparative chart: % cell growth inhibition at 1, 3 and 6 µg/mL).
- IT 32778-77-1DP, Solenopsin B, analogs 63950-17-4P, (+)-Solenopsin A hydrochloride 175478-17-8P  
409060-79-3P 409060-81-7P 409060-82-8P  
409060-83-9P 409060-85-1P 409060-86-2P  
409060-87-3P 409060-88-4P 409060-89-5P  
409060-90-8P 409060-91-9P 409060-92-0P  
409061-00-3P 409061-29-6P 409061-33-2P  
409061-34-3P 571186-34-0P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of solenopsin A, B and analogs as novel angiogenesis inhibitors)

RN 32778-77-1 CAPLUS

CN Piperidine, 2-methyl-6-tridecyl-, (2R,6R)- (CA INDEX NAME)

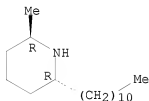
Absolute stereochemistry.



RN 63950-17-4 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, hydrochloride, (2R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

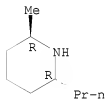


● HCl

RN 175478-17-8 CAPLUS

CN Piperidine, 2-methyl-6-propyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

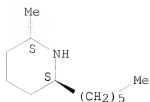


● HCl

RN 409060-79-3 CAPLUS

CN Piperidine, 2-hexyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

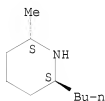


● HCl

RN 409060-81-7 CAPLUS

CN Piperidine, 2-butyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

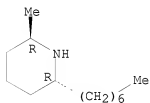


● HCl

RN 409060-82-8 CAPLUS

CN Piperidine, 2-heptyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

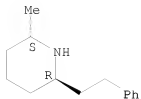


● HCl

RN 409060-83-9 CAPLUS

CN Piperidine, 2-methyl-6-(2-phenylethyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

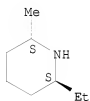


● HCl

RN 409060-85-1 CAPLUS

CN Piperidine, 2-ethyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



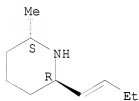
● HCl

RN 409060-86-2 CAPLUS

CN Piperidine, 2-(1-buten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.



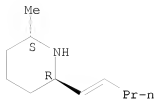
● HCl

RN 409060-87-3 CAPLUS

CN Piperidine, 2-methyl-6-(1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

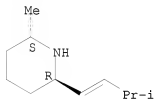
Double bond geometry unknown.



● HCl

RN 409060-88-4 CAPLUS  
 CN Piperidine, 2-methyl-6-(3-methyl-1-buten-1-yl)-, hydrochloride (1:1),  
 (2S,6R)-rel- (CA INDEX NAME)

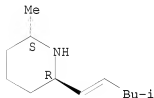
Relative stereochemistry.  
 Double bond geometry unknown.



● HCl

RN 409060-89-5 CAPLUS  
 CN Piperidine, 2-methyl-6-(4-methyl-1-penten-1-yl)-, hydrochloride (1:1),  
 (2S,6R)-rel- (CA INDEX NAME)

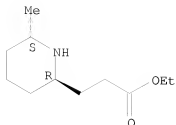
Relative stereochemistry.  
 Double bond geometry unknown.



● HCl

RN 409060-90-8 CAPLUS  
 CN 2-Piperidinepropanoic acid, 6-methyl-, ethyl ester, hydrochloride (1:1),  
 (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.

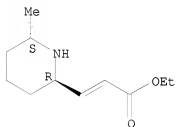


● HCl

RN 409060-91-9 CAPLUS

CN 2-Propenoic acid, 3-[(2R,6S)-6-methyl-2-piperidinyl]-, ethyl ester, hydrochloride (1:1), rel- (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry unknown.

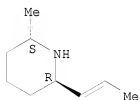


● HCl

RN 409060-92-0 CAPLUS

CN Piperidine, 2-methyl-6-(1-propen-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry unknown.

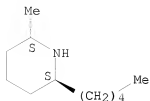


● HCl

RN 409061-00-3 CAPLUS

CN Piperidine, 2-methyl-6-pentyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

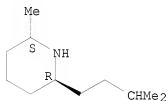


● HCl

RN 409061-29-6 CAPLUS

CN Piperidine, 2-methyl-6-(3-methylbutyl)-, hydrochloride (1:1), (2S,6R)-rel-  
(CA INDEX NAME)

Relative stereochemistry.

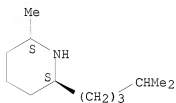


● HCl

RN 409061-33-2 CAPLUS

CN Piperidine, 2-methyl-6-(4-methylpentyl)-, hydrochloride (1:1),  
(2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



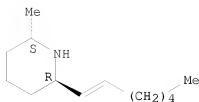
● HCl

RN 409061-34-3 CAPLUS

CN Piperidine, 2-(1-hepten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel-  
(CA INDEX NAME)

Relative stereochemistry.

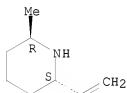
Double bond geometry unknown.



● HCl

RN 571186-34-0 CAPLUS  
CN Piperidine, 2-ethenyl-6-methyl-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

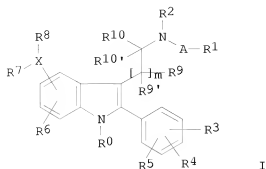


● HCl

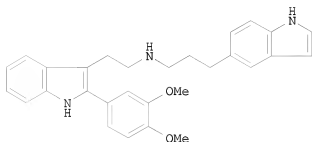
L29 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 1998:816108 CAPLUS  
DOCUMENT NUMBER: 130:66389  
TITLE: Preparation of indole derivatives as gonadotropin releasing hormone antagonists  
INVENTOR(S): Goulet, Mark; Chu, Lin; Walsh, Thomas F.; Fisher, Michael H.; Girotra, Narindar N.; Wyvratt, Matthew J.; Lin, Peter; Ashton, Wallace T.  
PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
SOURCE: U.S., 59 pp.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849764	A	19981215	US 1996-760817	19961205
PRIORITY APPLN. INFO.:			US 1996-760817	19961205
OTHER SOURCE(S):	MARPAT	130:66389		

GI



I



II

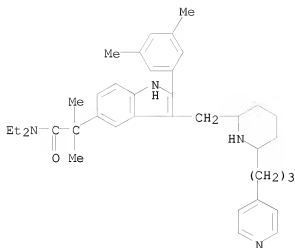
AB The title compds. I [A = (halo)alkyl, (un)substituted cycloalkyl, alkenyl, or alkynyl, alkoxy, alkylthio, alkoxyalkyl, bond, etc.; R0 = H, (un)substituted alkyl, aryl, or aralkyl; R1 = various (un)substituted heterocycles; R2 = H, (un)substituted alkyl, aralkyl, aryl, etc.; R2 and A may form 5- to 7-atom ring; R3, R4, R5 = H, (un)substituted alkyl or alkenyl, cyano, nitro, halo; R6 = H, (un)substituted alkyl, aryl, cyano, NO2, halo, etc.; R7 = H, (un)substituted alkyl, or is absent; R8 = H, CO2H or derivs., NH2 or derivs., OH or SH or derivs., etc.; or R7 and R8 form a C3-7 carbocyclic ring; R9, R9', R10, R10' = H, (un)substituted alkyl, aryl, or aralkyl; X = H, halo, N, O, S(O)0-2, CO, CH2, etc.; m = 0-3] (claimed) and similar compds. were prepared as antagonists of gonadotropin releasing hormone (no data). The compds. are thus useful for treatment of a variety of conditions including hormone-dependent cancers, benign prostatic hypertrophy, endometriosis, irritable bowel syndrome, etc. For instance, amidation of 3-(1H-indol-5-yl)propionic acid with 2-[2-(3,4-dimethoxyphenyl)-1H-indol-3-yl]ethylamine using EDC and HOBT, and reduction of the amide product to a secondary amine using LiAlH4 in THF at 77°, gave the invention compound II.

IT 192717-09-2P 192717-10-5P

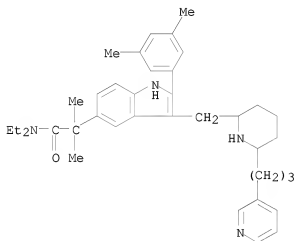
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of indole derivs. as gonadotropin releasing hormone antagonists)

RN 192717-09-2 CAPLUS

CN 1H-Indole-5-acetamide, 2-(3,5-dimethylphenyl)-N,N-diethyl- $\alpha$ , $\alpha$ -dimethyl-3-[[6-[3-(4-pyridinyl)propyl]-2-piperidinyl]methyl]- (CA INDEX NAME)



RN 192717-10-5 CAPLUS  
 CN 1H-Indole-5-acetamide, 2-(3,5-dimethylphenyl)-N,N-diethyl- $\alpha$ , $\alpha$ -dimethyl-3-[[6-[3-(3-pyridinyl)propyl]-2-piperidinyl)methyl]- (CA INDEX NAME)

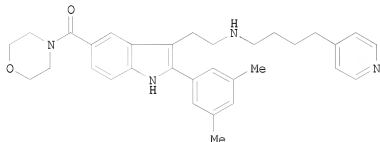
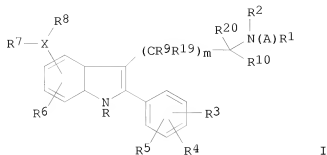


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1997:511777 CAPLUS  
 DOCUMENT NUMBER: 127:121742  
 ORIGINAL REFERENCE NO.: 127:23485a,23488a  
 TITLE: Preparation of heterocyclic compounds as antagonists of gonadotropin releasing hormone  
 INVENTOR(S): Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher, Michael H.; Girotra, Narindar N.; Lin, Peter; Wyvratt, Matthew J.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher, Michael H.; Girotra, Narindar N.; Lin, Peter; Wyvratt, Matthew J.  
 SOURCE: PCT Int. Appl., 117 pp.  
 CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721704	A1	19970619	WO 1996-US19444	19961210
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2240108	A1	19970619	CA 1996-2240108	19961210
AU 9714106	A	19970703	AU 1997-14106	19961210
AU 707641	B2	19990715		
EP 873336	A1	19981028	EP 1996-944249	19961210
EP 873336	B1	20020327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1208412	A	19990217	CN 1996-199872	19961210
JP 11506471	T	19990608	JP 1997-522124	19961210
JP 3230818	B2	20011119		
JP 2001106685	A	20010417	JP 2000-257791	19961210
HU 9903671	A2	20011028	HU 1999-3671	19961210
HU 9903671	A3	20011128		
AT 215081	T	20020415	AT 1996-944249	19961210
ES 2174129	T3	20021101	ES 1996-944249	19961210
ZA 9610536	A	19970814	ZA 1996-10536	19961213
NO 9802729	A	19980813	NO 1998-2729	19980612
PRIORITY APPLN. INFO.:			US 1995-8633P	P 19951214
			GB 1996-3242	A 19960216
			JP 1997-522124	A3 19961210
			WO 1996-US19444	W 19961210
OTHER SOURCE(S):	MARPAT	127:121742		
GI				

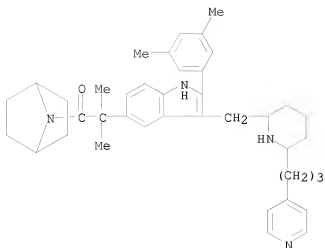


AB The title compds. I [A = alkyl, etc.; R = H, alkyl, etc.; R1 = heterocyclic ring (generic structures given); R2 = H, alkyl, etc.; or R2A = ring; R3, R4, R5 = H, (un)substituted alkyl, alkenyl, etc.; or R3R4 = ring; R6 = H, (un)substituted alkyl, etc.; R7 = H, (un)substituted alkyl, etc.; or R7R8 = heterocyclic ring; R9, R19 = H, (un)substituted alkyl; further details on R9R19 and R9A are given; R20, R10 = H, (un)substituted alkyl, etc.; further details on R20R10, and R9R20, R9R2, R20R2, R20A are given; m = 0 to 3; X = N, etc.], useful as antagonists of gonadotropin releasing hormone (no data), are prepared I may be useful for the treatment of a variety of sex-hormone related and other conditions in both men and women. The title compound II was prepared in a multistep process.

IT 192644-63-6P 192644-64-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of heterocyclic compds. as antagonists of gonadotropin releasing hormone)

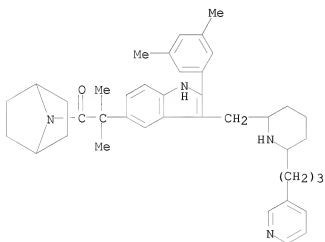
RN 192644-63-6 CAPLUS

CN 1-Propanone, 1-(7-azabicyclo[2.2.1]hept-7-yl)-2-[2-(3,5-dimethylphenyl)-3-[[6-[3-(4-pyridinyl)propyl]-2-piperidinyl]methyl]-1H-indol-5-yl]-2-methyl-  
 (CA INDEX NAME)



RN 192644-64-7 CAPLUS

CN 1-Propanone, 1-(7-azabicyclo[2.2.1]hept-7-yl)-2-[2-(3,5-dimethylphenyl)-3-[[6-[3-(3-pyridinyl)propyl]-2-piperidinyl)methyl]-1H-indol-5-yl]-2-methyl- (CA INDEX NAME)



L29 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:313379 CAPLUS

DOCUMENT NUMBER: 125:75406

ORIGINAL REFERENCE NO.: 125:14067a,14070a

TITLE: Assessment of a cytoprotection assay for the discovery and evaluation of anti-human immunodeficiency virus compounds utilizing a genetically-impaired virus

AUTHOR(S): Kiser, Rebecca; Makovsky, Susan; Terpening, Sara J.; Laing, Noel; Clanton, David J.

CORPORATE SOURCE: NCI-AIDS Drug Screening and Development Laboratory, SAIC-Frederick, NCI-FCRDC, Frederick, MD, 21702-1201, USA

SOURCE: Journal of Virological Methods (1996), 58(1,2), 99-109  
CODEN: JVMEDE; ISSN: 0166-0934

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A biol. contained cytoprotection assay was developed to screen inhibitors of the human immunodeficiency virus without the need for high level containment or practices. The virus used has multiple point mutations that have destroyed its ability to produce both Rev and Tat, proteins essential for virus replication in vitro. The original cell line employed (CEM-SSTART) contains a genetic construct that allows for the continuous expression of both Rev and Tat, and a subclone (1A2) was developed that provides for maximum acute cytopathic effect. The National Cancer Institute's AIDS drug screening assay was used to test known drugs with both HIVIIB virus in the T4 lymphocytic cell line CEM-SS and mutant virus in the 1A2 subclone. This cell-based assay uses the tetrazolium salt, XTT, as an indicator of cellular metabolism after the cells have been infected with virus. The results of extensive testing have shown that the assay using mutant virus is comparable to the current NCI AIDS drug screen. After 42 days in 1A2 or CEM-SS cell culture, the virus or the integrated genome did not revert to wild-type, and the virus produced in 1A2 cells was unable to replicate in PBMCs. Mutant viral stocks were devoid of wild-type virus as determined by a PCR assay that would have found 60-600 copies of mutant RNA. These materials, which are now available to the scientific community (NIH AIDS Research and Reference Reagent Program), should be useful tools to screen and test compds. for potential inhibition of HIV in labs. not equipped to maintain and use wild-type infectious virus.

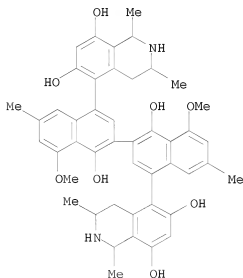
IT 137893-48-2, Michellamine B

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(assessment of cytoprotection assay for discovery and evaluation of anti-human immunodeficiency virus compds. utilizing a genetically-impaired virus)

RN 137893-48-2 CAPLUS

CN 6,8-Isoquinolinediol, 5,5'-(1,1'-dihydroxy-8,8'-dimethoxy-6,6'-dimethyl[2,2'-binaphthalene]-4,4'-diyl)bis[1,2,3,4-tetrahydro-1,3-dimethyl-, (1R,1'R,3R,3'R,5R,5'S)- (CA INDEX NAME)



L29 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:93870 CAPLUS

DOCUMENT NUMBER: 118:93870

ORIGINAL REFERENCE NO.: 118:16213a,16216a

TITLE: In vitro screening of crude extracts and pure metabolites obtained from marine invertebrates for the treatment of breast cancer

AUTHOR(S): Stingl, John; Andersen, Raymond J.; Emerman, Joanne T.

CORPORATE SOURCE: Dep. Anat., Univ. British Columbia, Vancouver, BC, V6T 1Z3, Can.

SOURCE: Cancer Chemotherapy and Pharmacology (1992), 30(5), 401-6

CODEN: CCPHDZ; ISSN: 0344-5704

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A total of 15 samples (crude exts. and pure secondary metabolites) obtained from marine invertebrates collected from the offshore waters of British Columbia, Papua New Guinea, and Sri Lanka have previously been shown to exert cytotoxic activity in the in vitro L1210 leukemic bioassay. The authors screened these metabolites for the vitro cytotoxic activity against the drug-sensitive breast-tumor cell lines MCF-7, T-47D, ZR-75-1, and MDA-MB-231; the multidrug-resistant and P-glycoprotein (Pgp)-pos. breast-tumor cell lines MCF-7 Adr and MDA-MB-231; and normal and malignant human breast epithelial cells (HBEC) in primary culture. Eight samples exhibited significant [drug concentration resulting in a 50% decrease in cell growth as compared with controls (ED50), <25 µg/mL] dose-dependent cytotoxicity against the drug-sensitive cell lines; the ED50 values were as low as 0.004 µg/mL. Five of the eight samples exhibited significant cytotoxicity against the multidrug-resistant cell lines; the ED50 values were as low as 0.0006 µg/mL. Incubation of MCF-7 Adr cells with varying concns. of compds. in the presence of Adriamycin demonstrated that none of the compds. tested interfered with Pgp function. Results obtained using HBEC in primary culture showed a wide range of chemosensitivities for a given drug against tissue taken from different patients, demonstrating the uniqueness of the response of different individuals to chemotherapy.

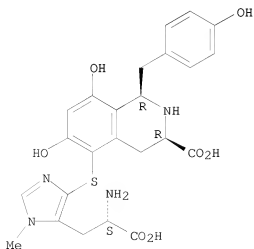
IT 105372-70-1, Imbricatine

RL: BIOL (Biological study)  
(breast cancer of humans inhibition by, multidrug resistance in relation to)

RN 105372-70-1 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 5-[[5-[(2S)-2-amino-2-carboxyethyl]-1-methyl-1H-imidazol-4-yl]thio]-1,2,3,4-tetrahydro-6,8-dihydroxy-1-[(4-hydroxyphenyl)methyl]-, (1R,3R)- (CA INDEX NAME)

Absolute stereochemistry.



L29 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1969:27530 CAPLUS

DOCUMENT NUMBER: 70:27530

ORIGINAL REFERENCE NO.: 70:5139a,5142a

TITLE: Antitumor activity of isoquinoline derivatives. III.  
Relation between toxicity and chemical constitution of  
isoquinoline derivatives

AUTHOR(S): Arai, Yoshihisa; Enomoto, Kingo

CORPORATE SOURCE: Tanabe Seiyaku Co., Ltd., Toda, Japan

SOURCE: Yakugaku Zasshi (1968), 88(9), 1197-207

CODEN: YKKZAJ; ISSN: 0031-6903

DOCUMENT TYPE: Journal

LANGUAGE: Japanese

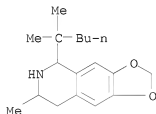
AB Isoquinoline derivs. were classified into 5 types according to the substituents at position 1, and the relations between chemical structure and toxicity were studied. The LD50 decreased with increasing hydrogenation of the isoquinoline ring. In 1-alkyl-substituted isoquinoline derivs., the LD50 increased as the degree of branching of the 1-alkyl residue increased. Pathol. changes were caused by almost all 1-alkyl-substituted compds., especially those with a tert-alkyl residue. In the case of 1-aryl- and 1-aralkyl-substituted compds., liver swelling depended on the simultaneous presence of the methylenedioxy residues at the 3',4'-positions of the terminal phenyl residue of the substituent and at the 6,7-positions of the isoquinoline ring. However, no pathol. change was observed with 1-(2-methylbutyl)-3-methyl-6,7-(methylenedioxy)isoquinoline-HCl or 1-neopentyl-3-methyl-6,7-(methylenedioxy)-isoquinoline-HCl. These compds. showed a marked inhibitory action on exptl. tumors. Compds. possessing a methylene residue between C-1 of the isoquinoline ring and a sec- or tertalkyl residue in the 1-alkyl substituent may have antitumor activity with few side effects.

IT 20233-00-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(neoplasm inhibiting activity of)

RN 20233-00-5 CAPLUS

CN 1,3-Dioxolo[4,5-g]isoquinoline, 5-(1,1-dimethylpentyl)-5,6,7,8-tetrahydro-7-methyl-, hydrochloride (8CI) (CA INDEX NAME)



● HCl

=> s skin

284922 SKIN

11197 SKINS

L31 291190 SKIN

(SKIN OR SKINS)

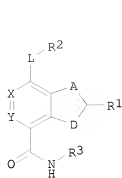
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 => d L34 1-2 ibib abs hitstr

L34 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN

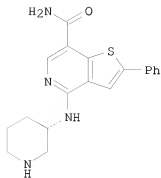
ACCESSION NUMBER: 2006:1066867 CAPLUS  
 DOCUMENT NUMBER: 145:419118  
 TITLE: Substituted thienopyridines and related compounds and their preparation, pharmaceutical compositions, and use as CHK1, PDK1 and PAK inhibitors in the treatment of cancer  
 INVENTOR(S): Daly, Kevin; Heron, Nicola; Hird, Alexander; Ioannidis, Stephanos; Janetka, James Walter; Lyne, Paul; Scott, Jamie; Toader, Dorin; Vassbinder, Melissa; Yu, Dingwei; Yu, Yan  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 164pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006106326	A1	20061012	WO 2006-GB1242	20060405
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006232620	A1	20061012	AU 2006-232620	20060405
CA 2601983	A1	20061012	CA 2006-2601983	20060405
EP 1869052	A1	20071226	EP 2006-726646	20060405
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
NO 2007004634	A	20071031	NO 2007-4634	20070912
MX 200712448	A	20071019	MX 2007-12448	20071005
IN 2007DN07845	A	20071109	IN 2007-DN7845	20071011
KR 2008009200	A	20080125	KR 2007-725794	20071106
CN 101189243	A	20080528	CN 2006-80019862	20071204
PRIORITY APPLN. INFO.:			US 2005-668779P	P 20050406
			US 2005-738866P	P 20051121
			WO 2006-GB1242	W 20060405

OTHER SOURCE(S): MARPAT 145:419118  
 GI



I



II

AB This invention relates to compds. of formula I and to their pharmaceutical compns. and to their methods of use. These compds. possess CHK1 kinase inhibitory activity, PDK1 inhibitory activity and Pak kinase inhibitory activity and are accordingly useful in the treatment and/or prophylaxis of cancer. Compds. of formula I wherein dotted lines are single and double bond; A and D are independently N, CH, S, O and NH and derivs.; L is NH, O and S; X and Y are independently N and CH; R<sub>1</sub> is CN, halo, C1-6 alkyl(oxy), NH<sub>2</sub> and derivs., C2-6 alkenyl, C2-6 alkynyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, etc.; R<sub>2</sub> is C1-3 alkyl-NH<sub>2</sub> and derivs., 4- to 7-membered heterocyclyl, CO-carbocyclyl, CO-heterocyclyl, etc.; R<sub>3</sub> is H, Bn, C1-6 alkyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, OH and derivs., CHO, etc.; and their pharmaceutically acceptable salts are claimed. Example compound II was prepared by condensation of 2-thienylacetonitrile with glyoxylic acid monohydrate; the resulting (2Z)-3-cyano-3-(2-thienyl)acrylic acid underwent chlorination to give the corresponding acid chloride, which underwent substitution with sodium azide to give the acryloyl azide derivative, which underwent cyclization to give 4-oxo-4,5-dihydrothieno[3,2-c]pyridine-7-carbonitrile, which underwent bromination to give 2-bromo-4-oxo-4,5-dihydrothieno[3,2-c]pyridine-7-carbonitrile, which underwent chlorination to give 2-bromo-4-chlorothieno[3,2-c]pyridine-7-carbonitrile, which underwent amination with tert-Bu (3S)-3-aminopiperidine-1-carboxylate to give tert-Bu (3S)-3-[(7-cyano-2-bromothieno[3,2-c]pyridin-4-yl)amino]piperidine-1-carboxylate, which underwent cross-coupling with phenylboronic acid to give (3S)-3-[(7-cyano-2-phenylthieno[3,2-c]pyridin-4-yl)amino]piperidine-1-carboxylate, which underwent hydrolysis to give compound II. All the invention compound were evaluated for their CHK1, PDK1 and PAK inhibitory activity (no data).

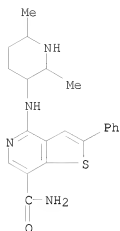
IT 912367-52-3P 912367-53-4P 912367-54-5P  
912367-55-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted thienopyridines and related compds. and their use as CHK1, PDK1 and PAK inhibitors in the treatment of cancer)

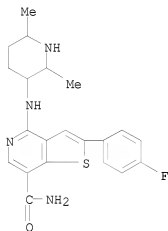
RN 912367-52-3 CAPLUS

CN Thieno[3,2-c]pyridine-7-carboxamide, 4-[(2,6-dimethyl-3-piperidinyl)amino]-2-phenyl- (CA INDEX NAME)



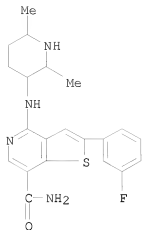
RN 912367-53-4 CAPLUS

CN Thieno[3,2-c]pyridine-7-carboxamide, 4-[(2,6-dimethyl-3-piperidinyl)amino]-2-(4-fluorophenyl)- (CA INDEX NAME)

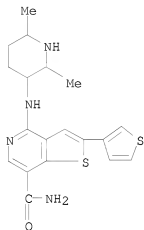


RN 912367-54-5 CAPLUS

CN Thieno[3,2-c]pyridine-7-carboxamide, 4-[(2,6-dimethyl-3-piperidinyl)amino]-2-(3-fluorophenyl)- (CA INDEX NAME)



RN 912367-55-6 CAPLUS  
 CN Thieno[3,2-c]pyridine-7-carboxamide, 4-[(2,6-dimethyl-3-piperidinyl)amino]-2-(3-thienyl)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L34 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on SIN  
 ACCESSION NUMBER: 2003:590960 CAPLUS  
 DOCUMENT NUMBER: 139:149804  
 TITLE: Solenopsin A, B and analogs as novel angiogenesis inhibitors  
 INVENTOR(S): Bowen, Phillip J.; Arbiser, Jack L.; Whitmore, David; Furness, Scott M.  
 PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA; Emory University  
 SOURCE: PCT Int. Appl., 67 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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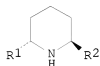
WO 2003061598	A2	20030731	WO 2003-US2105	20030124
WO 2003061598	A3	20031204		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 20050038071 A1 20050217 US 2004-502080 20041008  
 PRIORITY APPLN. INFO.: US 2002-351880P P 20020125  
 WO 2003-US2105 W 20030124

OTHER SOURCE(S): MARPAT 139:149804  
 GI



I



II

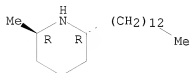
- AB The present invention relates to solenopsin A and its analogs, I [R1, R2 = linear, cyclic or branched (un)saturated (un)substituted C1-20-alkyl] and II, or a pharmaceutically acceptable salt, for use as angiogenesis inhibitors. The present compds. unexpectedly exhibit good activity as angiogenesis inhibitors, which find use as antitumor/anticancer agents as well as to treat a number of conditions or disease states in which angiogenesis is a factor. Thus, (±)-Solenopsin A hydrochloride (±)-I·HCl [R1 = Me, R2 = undecyl] was prepared from 4-chloropyridine hydrochloride via reaction with undecylmagnesium bromide in Et2O followed by addition of ClCO2Ph; transesterification with KOtBu; lithiation with BuLi followed by methylation with MeI; hydrogenation/hydrogenolysis with H2 over Pd/C in MeOH; stereoselective reduction with NaBH3CN in CH2Cl2; and deprotection with CF3CO2H in CH2Cl2 followed by dissoln. in Et2O and treatment with HCl(g). The anticancer activity of I·HCl [R1 = Me, R2 = (CH2)10Me, CH:CHCO2Et, CH2CH2CO2Et, CH:CH(CH2)4Me, CH:CHCH2CHMe2, (CH2)3CHMe2, (CH2)2CHMe2, CH:CHCMe2, CH:CHPr-n, CH:CHEt, CH:CHMe, (CH2)2Ph, (CH2)6Me, Bu, cyclopentyl, CH2Bu] was determined (comparative chart: % cell growth inhibition at 1, 3 and 6 µg/mL).
- IT 32778-77-1DP, Solenopsin B, analogs 63950-17-4P, (±)-Solenopsin A hydrochloride 175478-17-8P  
 409060-79-3P 409060-81-7P 409060-82-8P  
 409060-83-9P 409060-85-1P 409060-86-2P  
 409060-87-3P 409060-88-4P 409060-89-5P  
 409060-90-8P 409060-91-9P 409060-92-0P  
 409061-00-3P 409061-29-6P 409061-33-2P  
 409061-34-3P 571186-34-0P
- RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of solenopsin A, B and analogs as novel angiogenesis

inhibitors)

RN 32778-77-1 CAPLUS

CN Piperidine, 2-methyl-6-tridecyl-, (2R,6R)- (CA INDEX NAME)

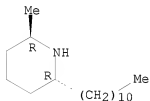
Absolute stereochemistry.



RN 63950-17-4 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, hydrochloride, (2R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

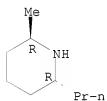


● HCl

RN 175478-17-8 CAPLUS

CN Piperidine, 2-methyl-6-propyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

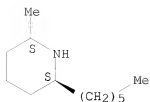


● HCl

RN 409060-79-3 CAPLUS

CN Piperidine, 2-methyl-6-hexyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

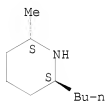


● HCl

RN 409060-81-7 CAPLUS

CN Piperidine, 2-butyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

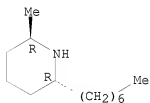


● HCl

RN 409060-82-8 CAPLUS

CN Piperidine, 2-heptyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

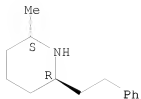


● HCl

RN 409060-83-9 CAPLUS

CN Piperidine, 2-methyl-6-(2-phenylethyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

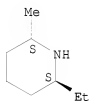


● HCl

RN 409060-85-1 CAPLUS

CN Piperidine, 2-ethyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



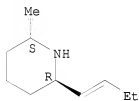
● HCl

RN 409060-86-2 CAPLUS

CN Piperidine, 2-(1-buten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.



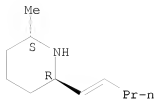
● HCl

RN 409060-87-3 CAPLUS

CN Piperidine, 2-methyl-6-(1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

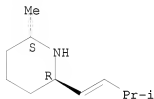
Double bond geometry unknown.



● HCl

RN 409060-88-4 CAPLUS  
 CN Piperidine, 2-methyl-6-(3-methyl-1-buten-1-yl)-, hydrochloride (1:1),  
 (2S,6R)-rel- (CA INDEX NAME)

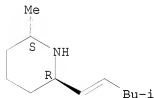
Relative stereochemistry.  
 Double bond geometry unknown.



● HCl

RN 409060-89-5 CAPLUS  
 CN Piperidine, 2-methyl-6-(4-methyl-1-penten-1-yl)-, hydrochloride (1:1),  
 (2S,6R)-rel- (CA INDEX NAME)

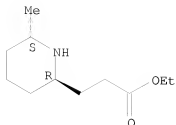
Relative stereochemistry.  
 Double bond geometry unknown.



● HCl

RN 409060-90-8 CAPLUS  
 CN 2-Piperidinepropanoic acid, 6-methyl-, ethyl ester, hydrochloride (1:1),  
 (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.

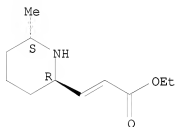


● HCl

RN 409060-91-9 CAPLUS

CN 2-Propenoic acid, 3-[(2R,6S)-6-methyl-2-piperidinyl]-, ethyl ester, hydrochloride (1:1), rel- (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry unknown.

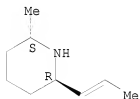


● HCl

RN 409060-92-0 CAPLUS

CN Piperidine, 2-methyl-6-(1-propen-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry unknown.

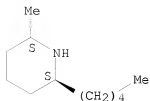


● HCl

RN 409061-00-3 CAPLUS

CN Piperidine, 2-methyl-6-pentyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

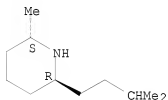


● HCl

RN 409061-29-6 CAPLUS

CN Piperidine, 2-methyl-6-(3-methylbutyl)-, hydrochloride (1:1), (2S,6R)-rel-  
(CA INDEX NAME)

Relative stereochemistry.

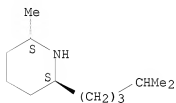


● HCl

RN 409061-33-2 CAPLUS

CN Piperidine, 2-methyl-6-(4-methylpentyl)-, hydrochloride (1:1),  
(2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



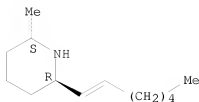
● HCl

RN 409061-34-3 CAPLUS

CN Piperidine, 2-(1-hepten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel-  
(CA INDEX NAME)

Relative stereochemistry.

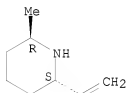
Double bond geometry unknown.



● HCl

RN 571186-34-0 CAPLUS  
 CN Piperidine, 2-ethenyl-6-methyl-, hydrochloride (1:1), (2S,6R)-rel- (CA  
 INDEX NAME)

Relative stereochemistry.



● HCl

=> file caplus  
 COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
224.54	1125.30

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-26.40	-47.20

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FILE COVERS 1907 - 18 Aug 2008 VOL 149 ISS 8  
 FILE LAST UPDATED: 17 Aug 2008 (20080817/ED)

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```
=> s piperidine
      63064 PIPERIDINE
      3706 PIPERIDINES
L35   64021 PIPERIDINE
      (PIPERIDINE OR PIPERIDINES)
```

```
=> d rsd
'RSD' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'
```

The following are valid formats:

```
ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
CLASS ----- IPC, NCL, ECLA, FTERM
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
          SCAN must be entered on the same line as the DISPLAY,
          e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, CLASS

IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels

OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels

SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
              its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
PHITSTR ----- First HIT RN, its text modification, its CA index name, and
```

its structure diagram  
 FHITSEQ ----- First HIT RN, its text modification, its CA index name, its  
 structure diagram, plus NTE and SEQ fields  
 KWIC ----- Hit term plus 20 words on either side  
 OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field  
 codes. For a list of the display field codes, enter HELP DFIELDS at  
 an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST;  
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 information will be displayed in the same order as the format  
 specification.

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 FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC  
 to view a specified Accession Number.  
 ENTER DISPLAY FORMAT (BIB):bib

L35 ANSWER 1 OF 64021 CAPLUS COPYRIGHT 2008 ACS ON STN  
 AN 2008:978596 CAPLUS  
 TI Oxidation of 2-substituted pyrrolidines and piperidines as  
 nicotine analogues  
 AU Moerhle, Hans; Berlitz, Johannes  
 CS Institut fuer Pharmazeutische und Medizinische Chemie,  
 Heinrich-Heine-Universitaet, Duesseldorf, 40225, Germany  
 SO Zeitschrift fuer Naturforschung, B: Chemical Sciences (2008), 63(8),  
 985-992  
 CODEN: ZNBSEN; ISSN: 0932-0776  
 PB Verlag der Zeitschrift fuer Naturforschung  
 DT Journal  
 LA German

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	3.81	1129.11
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-47.20

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 DICTIONARY FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2

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 conducting SmartSELECT searches.

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 predicted properties as well as tags indicating availability of

experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=> s piperidine  
L36 556824 PIPERIDINE

=> d rsd

L36 ANSWER 1 OF 556824 REGISTRY COPYRIGHT 2008 ACS on STN

#### Ring System Data

Elemental EA	Elemental ES	Size of the Rings SZ	Ring System Formula RF	Ring Identifier RID	RID Occurrence Count
C6	IC6	16	IC6	46.150.18	2
C5N	INC5	16	IC5N	46.156.1	1

=> s 46.150.18/rid  
SYSTEM LIMITS EXCEEDED - SEARCH ENDED  
The search profile you entered was too complex or gave too many answers. Simplify or subdivide the query and try again. If you have exceeded the answer limit, enter DELETE HISTORY at an arrow prompt (=) to remove all previous answers sets and begin at L1. Use the SAVE command to store any important profiles or answer sets before using DELETE HISTORY.

=> s 46.156.1/rid  
L37 1442478 46.156.1/RID

=> file caplus		
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	12.12	1141.23
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-47.20

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FILE COVERS 1907 - 18 Aug 2008 VOL 149 ISS 8

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=> s L37

TOO MANY TERMS FOR FILE CROSSOVER IN L37

There are limits on the size of an answer set being crossed over from one file to another. Enter HELP CROSSOVER at an arrow prompt (=>) for specific information.

=> s L37 AND cancer

TOO MANY TERMS FOR FILE CROSSOVER IN L37

There are limits on the size of an answer set being crossed over from one file to another. Enter HELP CROSSOVER at an arrow prompt (=>) for specific information.

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

1.92

1143.15

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-47.20

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DICTIONARY FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2

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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10502080 Broad3.str

L38 STRUCTURE UPLOADED

=> s sub=L38 SAM L37

SUBSET AND SAMPLE ARE IGNORED FOR THIS SEARCH  
L39 1442478 46.156.1/RID

=> s l38

SAMPLE SEARCH INITIATED 14:32:43 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 18600 TO ITERATE

10.8% PROCESSED 2000 ITERATIONS 7 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 363833 TO 380167  
PROJECTED ANSWERS: 818 TO 1786

L40 7 SEA SSS SAM L38

=> s l38 sss sam

SAMPLE SEARCH INITIATED 14:32:55 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 18600 TO ITERATE

10.8% PROCESSED 2000 ITERATIONS 7 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 363833 TO 380167  
PROJECTED ANSWERS: 818 TO 1786

L41 7 SEA SSS SAM L38

=> s l38 sss full

FULL SEARCH INITIATED 14:33:07 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 372862 TO ITERATE

100.0% PROCESSED 372862 ITERATIONS 1075 ANSWERS  
SEARCH TIME: 00.00.01

L42 1075 SEA SSS FUL L38

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	184.43	1327.58
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-47.20

FILE 'CAPLUS' ENTERED AT 14:33:12 ON 18 AUG 2008  
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FILE COVERS 1907 - 18 Aug 2008 VOL 149 ISS 8  
FILE LAST UPDATED: 17 Aug 2008 (20080817/ED)

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```
=> s l42
L43      1413 L42

=> s l43 and (cancer OR "Cancer (genus)")
370638  CANCER
54465   CANCERS
384282  CANCER
      (CANCER OR CANCERS)
370638  "CANCER"
54465   "CANCERS"
384282  "CANCER"
      ("CANCER" OR "CANCERS")
53989   "GENUS"
103     "GENUSES"
18740   "GENERA"
8       "GENERAS"
68072   "GENUS"
      ("GENUS" OR "GENUSES" OR "GENERA" OR "GENERAS")
48      "CANCER (GENUS)"
      ("CANCER"(W)"GENUS")
L44      22 L43 AND (CANCER OR "CANCER (GENUS)")

=> d L44 10-15 ibib abs hitstr
```

L44 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:53048 CAPLUS

DOCUMENT NUMBER: 144:128869

TITLE: Preparation of N-(2-oxoazepan-3-yl)sulfonamides as  $\gamma$ -secretase inhibitors for treating Alzheimer's disease and cancers

INVENTOR(S): Galley, Guido; Kitas, Eric, Argirios; Jakob-Roetne, Roland

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

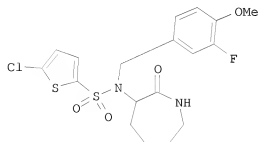
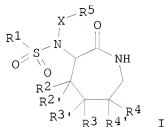
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006005486	A1	20060119	WO 2005-EP7268	20050706
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,			

LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,  
 NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,  
 SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,  
 ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 AU 2005261932 A1 20060119 AU 2005-261932 20050706  
 CA 2573372 A1 20060119 CA 2005-2573372 20050706  
 EP 1768960 A1 20070404 EP 2005-754795 20050706  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR  
 CN 101035765 A 20070912 CN 2005-80023701 20050706  
 JP 2008050948 T 20080228 JP 2007-520712 20050706  
 BR 2005013379 A 20080506 BR 2005-13379 20050706  
 US 20060014945 A1 20060119 US 2005-179703 20050712  
 US 7253158 B2 20070807  
 IN 2007CN00123 A 20070824 IN 2007-CN123 20070111  
 MX 200700468 A 20070308 MX 2007-468 20070112  
 PRIORITY APPLN. INFO.: EP 2004-103339 A 20040713  
 WO 2005-EP7268 W 20050706  
 OTHER SOURCE(S): MARPAT 144:128869  
 GI



AB Title compds. I [R1 = (un)substituted hetero/aryl; R2-R4, R2'-R4' = H, lower alkyl, Ph or lower alkyl substituted by halogen; R5 = cycloalkyl, (un)substituted hetero/aryl; X = CHR; R = H, lower alkyl; and their pharmaceutically suitable acid addition salts, optical pure enantiomers, racemates or diastereomeric] were prepared as  $\gamma$ -secretase inhibitors. Thus, reductive amination of 3-fluoro-p-anisaldehyde with 3-aminoazepan-2-one and reaction with 5-chlorothiophene-2-sulfonyl chloride gave sulfonamide II. Preferred I inhibited  $\gamma$ -secretase with IC50 < 0.3  $\mu$ M. I are useful in the treatment of Alzheimer's disease or common cancers.  
 IT 504-03-0, 2,6-Dimethylpiperidine

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of N-(2-oxazepan-3-yl)sulfonamides as  $\gamma$ -secretase  
inhibitors for treating Alzheimer's disease and cancers)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:71602 CAPLUS

DOCUMENT NUMBER: 142:316675

TITLE: Optimization of 6,7-Disubstituted-4-  
(arylamino)quinoline-3-carbonitriles as Orally Active,  
Irreversible Inhibitors of Human Epidermal Growth  
Factor Receptor-2 Kinase Activity

AUTHOR(S): Tsou, Hwei-Ru; Overbeek-Klumpers, Elsebe G.; Hallett,  
William A.; Reich, Marvin F.; Floyd, M. Brawner;  
Johnson, Bernard D.; Michalak, Ronald S.; Nilakantan,  
Ramaswamy; Discafani, Carolyn; Golas, Jonathan;  
Rabindran, Sridhar K.; Shen, Ru; Shi, Xiaoqing; Wang,  
Yu-Fen; Upeslakis, Janis; Wissner, Allan

CORPORATE SOURCE: Chemical and Screening Sciences, Chemical Development,  
and Oncology, Wyeth Research, Pearl River, NY, 10965,  
USA

SOURCE: Journal of Medicinal Chemistry (2005), 48(4),  
1107-1131

CODEN: JMCMAR; ISSN: 0022-2623

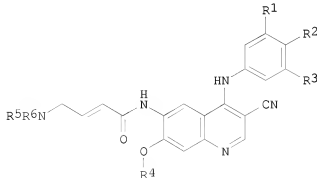
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:316675

GI



AB A series of new 6,7-disubstituted-4-(arylamino)quinoline-3-carbonitriles,  
e.g. I (R1 = H, Cl; R2 = PhCH2O, 1-imidazolyl, 2-furylmethoxy, etc.; R3 =

Cl, CN, PhCH<sub>2</sub>O; R<sub>4</sub> = Me, Et; R<sub>5</sub> = Me, R<sub>6</sub> = Me, HOCH<sub>2</sub>CH<sub>2</sub>; R<sub>5</sub>R<sub>6</sub>N = azetidiny, piperidiny, thiomorpholinyl, etc.) that function as irreversible inhibitors of human epidermal growth factor receptor-2 (HER-2) and epidermal growth factor receptor (EGFR) kinases have been prepared. These compds. demonstrated enhanced activities for inhibiting HER-2 kinase and the growth of HER-2 pos. cells compared to the EGFR kinase inhibitor I [R<sub>1</sub> = H; R<sub>2</sub> = F; R<sub>3</sub> = Cl; R<sub>4</sub> = Et; R<sub>5</sub> = R<sub>6</sub> = Me; (EKB-569)]. Three synthetic routes were used to prepare these compds. They were prepared mostly by acylation of 6-amino-4-(arylamino)quinoline-3-carbonitriles with unsatd. acid chlorides or by amination of 4-chloro-6-(crotonamido)quinoline-3-carbonitriles with monocyclic or bicyclic anilines. The third route was developed to prepare a key intermediate, 6-acetamido-4-chloroquinoline-3-carbonitrile, that involved a safer cyclization step. It was shown that attaching a large lipophilic group at the para position of the 4-(arylamino) ring results in improved potency for inhibiting HER-2 kinase. The importance of a basic dialkylamino group at the end of the Michael acceptor for activity, due to intramol. catalysis of the Michael addition has also been demonstrated. This, along with improved water solubility, resulted in compds. with enhanced biol. properties. The mol. modeling results consistent with the proposed mechanism of inhibition are presented. Binding studies of one compound, I [R<sub>1</sub> = H; R<sub>2</sub> = 2-pyridylmethoxy; R<sub>3</sub> = Cl; R<sub>4</sub> = Et; R<sub>5</sub> = R<sub>6</sub> = Me; (HKI-272)] (C-14 radiolabeled), showed that it binds irreversibly to HER-2 protein in BT474 cells. Furthermore, it demonstrated excellent oral activity, especially in HER-2 overexpressing xenografts. Compound HKI-272 was selected for further studies and is currently in phase I clin. trials for the treatment of cancer.

IT 766-17-6, cis-2,6-Dimethylpiperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-alkylation; preparation of disubstituted (arylamino)quinolinecarbonitrile  
 s as orally active, irreversible inhibitors of human epidermal growth  
 factor receptor-2 kinase activity and antitumor agents)  
 RN 766-17-6 CAPLUS  
 CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.

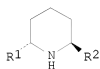


REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2003:590960 CAPLUS  
 DOCUMENT NUMBER: 139:149804  
 TITLE: Solenopsin A, B and analogs as novel angiogenesis inhibitors  
 INVENTOR(S): Bowen, Phillip J.; Arbiser, Jack L.; Whitmore, David; Furness, Scott M.  
 PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA; Emory University  
 SOURCE: PCT Int. Appl., 67 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.		KIND	DATE	APPLICATION NO.		DATE	
WO 2003061598		A2	20030731	WO 2003-US2105		20030124	
WO 2003061598		A3	20031204				
W:		AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW:		GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 20050038071		A1	20050217	US 2004-502080		20041008	
PRIORITY APPLN. INFO.:			US 2002-351880P		P 20020125		
			WO 2003-US2105		W 20030124		
OTHER SOURCE(S):		MARPAT 139:149804					
GI							



I



II

AB The present invention relates to solenopsin A and its analogs, I [R1, R2 = linear, cyclic or branched (un)saturated (un)substituted C1-20-alkyl] and II, or a pharmaceutically acceptable salt, for use as angiogenesis inhibitors. The present compds. unexpectedly exhibit good activity as angiogenesis inhibitors, which find use as antitumor/anticancer agents as well as to treat a number of conditions or disease states in which angiogenesis is a factor. Thus, (±)-Solenopsin A hydrochloride (±)-I·HCl [R1 = Me, R2 = undecyl] was prepared from 4-chloropyridine hydrochloride via reaction with undecylmagnesium bromide in Et2O followed by addition of ClCO2Ph; transesterification with KOMe3; lithiation with BuLi followed by methylation with MeI; hydrogenation/hydrogenolysis with H2 over Pd/C in MeOH; stereoselective reduction with NaBH3CN in CH2Cl2; and deprotection with CF3CO2H in CH2Cl2 followed by dissoln. in Et2O and treatment with HCl(g). The anticancer activity of I·HCl [R1 = Me, R2 = (CH2)10Me, CH:CHCO2Et, CH2CH2CO2Et, CH:CH(CH2)4Me, CH:CHCH2CHMe2, (CH2)3CHMe2, (CH2)2CHMe2, CH:CHCCHMe2, CH:CHPr-n, CH:CHEt, CH:CHMe, (CH2)2Ph, (CH2)6Me, Bu, cyclopentyl, CH2Bu] was determined (comparative chart: % cell growth inhibition at 1, 3 and 6 µg/mL).

IT 32778-77-1DP, Solenopsin B, analogs 63950-17-4P, (±)-Solenopsin A hydrochloride 175478-17-8P  
409060-79-3P 409060-81-7P 409060-82-8P  
409060-83-9P 409060-85-1P 409060-86-2P  
409060-87-3P 409060-88-4P 409060-89-5P  
409060-90-8P 409060-91-9P 409060-92-0P  
409061-00-3P 409061-29-6P 409061-33-2P  
409061-34-3P 571186-34-0P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

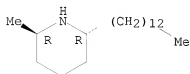
PREP (Preparation); USES (Uses)

(preparation of solenopsin A, B and analogs as novel angiogenesis inhibitors)

RN 32778-77-1 CAPLUS

CN Piperidine, 2-methyl-6-tridecyl-, (2R,6R)- (CA INDEX NAME)

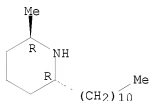
Absolute stereochemistry.



RN 63950-17-4 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, hydrochloride, (2R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

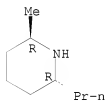


● HCl

RN 175478-17-8 CAPLUS

CN Piperidine, 2-methyl-6-propyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

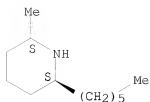


● HCl

RN 409060-79-3 CAPLUS

CN Piperidine, 2-methyl-6-hexyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

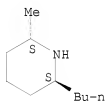


● HCl

RN 409060-81-7 CAPLUS

CN Piperidine, 2-butyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

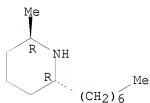


● HCl

RN 409060-82-8 CAPLUS

CN Piperidine, 2-heptyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

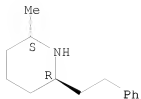


● HCl

RN 409060-83-9 CAPLUS

CN Piperidine, 2-methyl-6-(2-phenylethyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

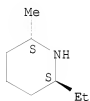


● HCl

RN 409060-85-1 CAPLUS

CN Piperidine, 2-ethyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



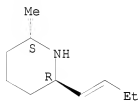
● HCl

RN 409060-86-2 CAPLUS

CN Piperidine, 2-(1-buten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.



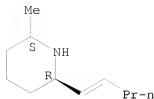
● HCl

RN 409060-87-3 CAPLUS

CN Piperidine, 2-methyl-6-(1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

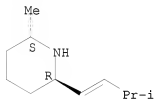
Double bond geometry unknown.



● HCl

RN 409060-88-4 CAPLUS  
 CN Piperidine, 2-methyl-6-(3-methyl-1-buten-1-yl)-, hydrochloride (1:1),  
 (2S,6R)-rel- (CA INDEX NAME)

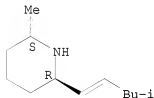
Relative stereochemistry.  
 Double bond geometry unknown.



● HCl

RN 409060-89-5 CAPLUS  
 CN Piperidine, 2-methyl-6-(4-methyl-1-penten-1-yl)-, hydrochloride (1:1),  
 (2S,6R)-rel- (CA INDEX NAME)

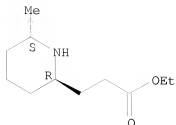
Relative stereochemistry.  
 Double bond geometry unknown.



● HCl

RN 409060-90-8 CAPLUS  
 CN 2-Piperidinepropanoic acid, 6-methyl-, ethyl ester, hydrochloride (1:1),  
 (2R,6S)-rel- (CA INDEX NAME)

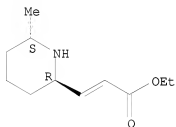
Relative stereochemistry.



● HCl

RN 409060-91-9 CAPLUS  
 CN 2-Propenoic acid, 3-[(2R,6S)-6-methyl-2-piperidinyl]-, ethyl ester, hydrochloride (1:1), rel- (CA INDEX NAME)

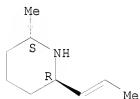
Relative stereochemistry.  
 Double bond geometry unknown.



● HCl

RN 409060-92-0 CAPLUS  
 CN Piperidine, 2-methyl-6-(1-propen-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

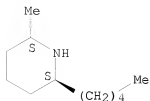
Relative stereochemistry.  
 Double bond geometry unknown.



● HCl

RN 409061-00-3 CAPLUS  
 CN Piperidine, 2-methyl-6-pentyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

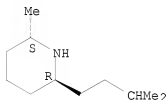


● HCl

RN 409061-29-6 CAPLUS

CN Piperidine, 2-methyl-6-(3-methylbutyl)-, hydrochloride (1:1), (2S,6R)-rel-  
(CA INDEX NAME)

Relative stereochemistry.

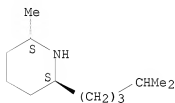


● HCl

RN 409061-33-2 CAPLUS

CN Piperidine, 2-methyl-6-(4-methylpentyl)-, hydrochloride (1:1),  
(2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



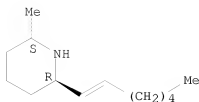
● HCl

RN 409061-34-3 CAPLUS

CN Piperidine, 2-(1-hepten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel-  
(CA INDEX NAME)

Relative stereochemistry.

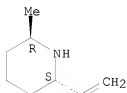
Double bond geometry unknown.



● HCl

RN 571186-34-0 CAPLUS  
CN Piperidine, 2-ethenyl-6-methyl-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



● HCl

L44 ANSWER 13 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:42245 CAPLUS

DOCUMENT NUMBER: 138:106689

TITLE: Preparation of thiazolylamino benzamide derivatives as modulators of cell proliferation and inhibitors of protein kinases

INVENTOR(S): Chu, Shao Song; Alegria, Larry Andrew; Bleckman, Ted Michael; Chong, Wesley K. M.; Duvadie, Rohit K.; Li, Lin; Reich, Siegfried H.; Romines, William H.; Wallace, Michael B.; Yang, Yi

PATENT ASSIGNEE(S): Agouron Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

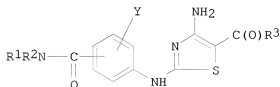
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004467	A2	20030116	WO 2002-US21280	20020705
WO 2003004467	A3	20040506		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2452609	A1	20030116	CA 2002-2452609	20020705
AU 2002354801	A1	20030121	AU 2002-354801	20020705
US 20030225147	A1	20031204	US 2002-190219	20020705
US 6720346	B2	20040413		
EP 1438046	A2	20040721	EP 2002-782499	20020705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005521631	T	20050721	JP 2003-510635	20020705
BR 2002010874	A	20061024	BR 2002-10874	20020705
MX 2004PA00069	A	20040521	MX 2004-PA69	20040107
PRIORITY APPLN. INFO.:			US 2001-303679P	P 20010706
			US 2001-305274P	P 20010713
			WO 2002-US21280	W 20020705
OTHER SOURCE(S):			MARPAT 138:106689	
GI				



AB Aminothiazole compds. with mono-/di-substituted benzamides (shown as I; variables described below; e.g. 4-[[4-amino-5-(2,6-difluorobenzoyl)thiazol-2-yl]amino]-N-(2-morpholin-4-ylethyl)benzamide), and their pharmaceutically acceptable salts, pharmaceutically acceptable prodrugs, pharmaceutically active metabolites, and pharmaceutically acceptable salts of said metabolites are described. These agents modulate and/or inhibit the cell proliferation and activity of protein kinases and are useful as pharmaceuticals for treating malignancies and other disorders. Inhibitory activities towards three cyclin complexes of protein kinases, phosphorylated FGF receptor and/or LCK tyrosine kinase and/or cytotoxicity towards the HCT-116 cancer cell line are reported for hundreds of I, many of which were prepared combinatorially. For I: R1 and R2 are each independently H, or an alkyl, alkenyl, alkynyl, heteroalkyl, alkoxy, aminoalkyl, aryl, heteroaryl, cycloalkyl, or heterocycloalkyl group unsubstituted or substituted with  $\geq 1$  substituents listed in the claims, or R1 or R2, together with the N-C(O) and two adjacent C atoms of the Ph ring of I, forms a 5- or 6-membered ring structure fused to the Ph ring of I and unsubstituted or substituted with  $\geq 1$  substituents listed in the claims, or R1 and R2, taken together with the N atom to which they are bonded, form a monocyclic or fused or nonfused polycyclic structure which may contain 1-3 addnl. heteroatoms, the structure being unsubstituted or substituted with  $\geq 1$  substituents listed in the claims. R3 is an aryl, heteroaryl, alkyl, or cycloalkyl group, unsubstituted or substituted with  $\geq 1$  substituents listed in the claims. Y is H, alkyl, heteroalkyl, haloalkyl, halocycloalkyl, haloheterocycloalkyl, cycloalkyl, heterocycloalkyl, -NO2, -NH2, -N-OH, -N-ORc, -CN, -(CH2)z-CN (z is 0-4), halogen, -OH, -O-Ra-O-, -ORb-, -CO-R, -O-CO-Rc, -CO-ORc, -O-CO-OR, -O-OR, =O, =S, -NRdRe, -CO-NRdRe, -O-CO-NRdRe, -NRc-CO-Re, -NR-CO-OR, -CO-NRc-CO-Rd, -O-SO2-Re, -O-SO-R, -O-S-Re, -S-CO-Rc, -SO-CO-ORc, -SO-CO-OR, -O-SO3, -NRc-SRd, -NRc-SO-Rd, -NRc-SO2-Rd, -CO-SRc, -CO-SO-Re, -CO-OSO2-Re, -CS-Rc, -CSO2-R, -NRc-CS-Rd, -O-CS-Re, -O-CSO-Rc, -O-SO2-Re, -OS2-NRdRe, -SO-NRdRe,

-S-NRdRe, -NRd-CSO2-Rd, -NRc-CSO-Rd, -NRc-CS-Rd, -SH, -S-Rb, and -PO2-ORc (Ra, etc. defined in claims). Although the methods of preparation are not claimed, .apprx.80 example preps. of I are included and directions are given for combinatorial preparation of 396 I.

IT 766-17-6, cis-2,6-Dimethylpiperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of thiazolylamino benzamide derivs. as modulators of cell proliferation and inhibitors of protein kinases)  
 RN 766-17-6 CAPLUS  
 CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



L44 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:965133 CAPLUS

DOCUMENT NUMBER: 138:39277

TITLE: Preparation of N-thiazolyl-N'-pyridyl ureas as antitumor agents

INVENTOR(S): Askew, Benny C.; De Morin, Frenel F.; Hague, Andrew; Laber, Ellen; Li, Aiwien; Liu, Gang; Lopez, Patricia; Nomak, Rana; Santora, Vincent; Tegley, Christopher; Yang, Kevin

PATENT ASSIGNEE(S): Amgen, Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 129 pp., Cont.-in-part of U. S. Ser. No. 930,753.

CODEN: USXXCO

DOCUMENT TYPE: Patent  
 LANGUAGE: English

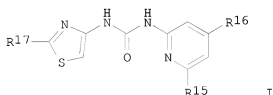
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020193405	A1	20021219	US 2002-77124	20020215
US 6645990	B2	20031111		
US 20020173507	A1	20021121	US 2001-930753	20010814
EP 1619184	A2	20060125	EP 2005-15480	20010815
EP 1619184	A3	20060201		
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AT 320426	T	20060415	AT 2001-964009	20010815
ES 2260277	T3	20061101	ES 2001-964009	20010815
CA 2476411	A1	20030828	CA 2003-2476411	20030213
WO 2003070727	A1	20030828	WO 2003-US4537	20030213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				

	FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,	
	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
AU 2003215231	A1 20030909	AU 2003-215231 20030213
EP 1483263	A1 20041208	EP 2003-711046 20030213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,		
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK		
JP 2006509715	T 20060323	JP 2003-569634 20030213
US 20040039029	A1 20040226	US 2003-631423 20030730
US 7196104	B2 20070327	
US 20040044044	A1 20040304	US 2003-632044 20030730
MX 2004PA07970	A 20041126	MX 2004-PA7970 20040816
PRIORITY APPLN. INFO.:		US 2000-225793P P 20000815
		US 2001-930753 A2 20010814
		EP 2001-964009 A3 20010815
		US 2002-77124 A 20020215
		WO 2003-US4537 W 20030213

OTHER SOURCE(S): MARPAT 138:39277  
GI



AB The title comps. [I; R15 = H, heterocyclyl, Ph, etc.; R16 = H, heterocyclylcarbonyl, alkylaminocarbonyl, etc.; R17 = halo, alkyl, cycloalkyl, etc.; provided only one of R15 and R16 = H] which are effective for prophylaxis and treatment of diseases, such as cell proliferation or apoptosis mediated diseases involving stroke, cancer and the like, were prepared Thus, heating 2-phenyl-4-thiazolylcarbonylazide with 6-(3-methylpiperidin-1-ylmethyl)pyridin-2-ylamine in PhMe afforded the urea I [R15 = 3-methylpiperidin-1-ylmethyl; R16 = H; R17 = Ph] which showed cdk2/cyclin and cdk5/p25 kinase activity with IC50 of < 0.5  $\mu$ M.

IT 504-03-0, 2,6-Dimethylpiperidine  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of N-thiazolyl-N'-pyridyl ureas as antitumor agents)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



L44 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:428894 CAPLUS

DOCUMENT NUMBER: 137:20303

TITLE: Preparation of substituted quinolines as antitumor agents

INVENTOR(S): Boyle, Francis Thomas; Gibson, Keith Hopkinson; Foote,

Kevin Michael  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCT Int. Appl., 118 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002044166	A1	20020606	WO 2001-GB4737	20011026
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG			
AU 2002010714	A	20020611	AU 2002-10714	20011026
EP 1337524	A1	20030827	EP 2001-978616	20011026
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004514718	T	20040520	JP 2002-546536	20011026
US 20040029898	A1	20040212	US 2003-415812	20030502
US 7067532	B2	20060627		
US 20070021407	A1	20070125	US 2006-374423	20060314
US 7402583	B2	20080722		
PRIORITY APPLN. INFO.:			GB 2000-26744	A 20001102
			GB 2000-26746	A 20001102
			GB 2000-26747	A 20001102
			WO 2001-GB4737	W 20011026
			US 2003-415812	A3 20030502

OTHER SOURCE(S): MARPAT 137:20303  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [n = 0 or 1; Y = NH, O, S, or alkylamine; R5 = CN, F, Cl, or Br; R6 = (un)substituted -cycloalkyl, -pyridinyl, -pyrimidinyl, -Ph, etc.; R1, R2 and R4 independently = H, OH, halo, CN, NO2, F3C, alkyl, amine, alkylamine, dialkylamine, R7X1(CH2)x- wherein x = 0-3, R7 = H, (un)substituted hydrocarbyl or heterocyclyl and X1 = O, CH2, OCO, CO, S, SO, SO2, NR8CO, NR8CO2, CONR9, CO2NR9, SO2NR10, NR11 or NR11NR11 wherein R8, R9, R10 and R11 independently = H, alkyl or alkoxyalkyl; R3 = group of formula X1R12(OH)p where p = 1-2 and R12 = alkylene, alkenylene or alkynylene chain, optionally interposed with a heteroatom or heterocyclic ring with the provision that when R12 = alkylene, R12 must be interposed with a heteroatom or heterocyclic ring and at least one (OH)p is on the alkylene chain between X1 and the interposed heteroatom or heterocyclic ring; group of formula R7(CH2)yX1(CH2)x where y = 0-5 and (CH2)y is optionally interposed by an X1 group; group of formula X1alkyl where alkyl is substituted by one or more Cl and/or CN; heterocyclic ring, etc.], or a pharmaceutically acceptable salt, pro-drug or solvate thereof are prepared and disclosed as antiproliferative agents. Thus, II was prepared in eight steps from benzylchloroformate and 2-methoxy-5-nitroaniline. I were evaluated as inhibitors of MAPK pathway and exhibited IC50 values

typically less than 0.5  $\mu\text{M}$ , e.g., II possessed an  $\text{IC}_{50} = 0.0013\mu\text{M}$ . In cell proliferation assays, I had  $\text{IC}_{50}$  results typically less than 30 $\mu\text{M}$  with II giving an  $\text{IC}_{50}$  of 1.3  $\mu\text{M}$  in HT29 human colon tumor cells. Methods for prevention of cancer comprising administering an effective amount of compound I are further claimed.

IT 504-03-0, 2,6-Dimethylpiperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation, inhibition of MAP kinase, and cellular antiproliferation activity of substituted quinolines as antitumor agents)  
 RN 504-03-0 CAPLUS  
 CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d L44 16-20 ibib abs hitstr

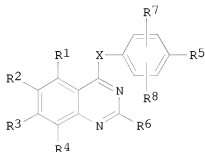
L44 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:228866 CAPLUS  
 DOCUMENT NUMBER: 134:266317  
 TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors  
 INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
 SOURCE: PCI Int. Appl., 306 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

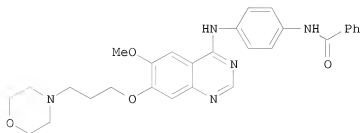
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TT, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2384291	A1	20010329	CA 2000-2384291	20000918
BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509499	T	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
HU 2003000059	A2	20030728	HU 2003-59	20000918

HU 2003000059	A3	20030828		
BG 106492	A	20030131	BG 2002-106492	20020307
IN 2002MN00293	A	20050318	IN 2002-MN293	20020308
ZA 2002002234	A	20030619	ZA 2002-2234	20020319
NO 2002001399	A	20020430	NO 2002-1399	20020320
PRIORITY APPLN. INFO.:			GB 1999-22154	A 19990921
			GB 1999-22170	A 19990921
			WO 2000-GB3580	W 20000918
			WO 2000-GB9100	A 20000918

OTHER SOURCE(S): MARPAT 134:266317  
GI



I



II

AB Title compds. (I) [wherein X = O, S, SO, SO<sub>2</sub>, NH, or NR<sub>12</sub>; R<sub>12</sub> = H or alkyl; R<sub>1</sub>-R<sub>4</sub> = independently halo, CN, NO<sub>2</sub>, alkylsulfanyl, N(OH)R<sub>13</sub>, or R<sub>15</sub>X<sub>1</sub>; R<sub>13</sub> = H or alkyl; X<sub>1</sub> = a direct bond, O, CH<sub>2</sub>, OC(O), CO, CO<sub>2</sub>, S, SO, SO<sub>2</sub>, or (un)substituted NHC(O), CONH, SO<sub>2</sub>NH, NHSO<sub>2</sub>, or NH; R<sub>15</sub> = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R<sub>5</sub> = NHC(O)R<sub>9</sub>, NHCOR<sub>9</sub>, NHSO<sub>2</sub>R<sub>9</sub>, COR<sub>9</sub>, CO<sub>2</sub>R<sub>9</sub>, SOR<sub>9</sub>, SO<sub>2</sub>OR<sub>9</sub>, CONR<sub>10</sub>R<sub>11</sub>, SONR<sub>10</sub>R<sub>11</sub>, or SO<sub>2</sub>NR<sub>10</sub>R<sub>11</sub>; R<sub>9</sub>-R<sub>11</sub> = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R<sub>10</sub> and R<sub>11</sub> together with the N to which they are attached = (un)substituted heterocyclyl; R<sub>6</sub> = H or (un)substituted hydrocarbyl or heterocyclyl; R<sub>7</sub> and R<sub>8</sub> = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF<sub>3</sub>, CN, NHY<sub>2</sub>, alkenyl, alkynyl, or (un)substituted Ph, PhCH<sub>2</sub>, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the quinazoline(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration

of

0.0193  $\mu$ M. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06  $\mu$ M and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209  $\mu$ M.

IT 504-03-0, 2,6-Dimethyl-piperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 504-03-0 CAPLUS  
 CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:811245 CAPLUS

DOCUMENT NUMBER: 132:49976

TITLE: Preparation of pyrrolo[2,3-d]pyrimidines as inhibitors of protein tyrosine kinases such as Janus Kinase 3  
 Blumenkopf, Todd Andrew; Flanagan, Mark Edward; Brown, Matthew Frank; Changelian, Paul Steven

INVENTOR(S): Pfizer Products Inc., USA

PATENT ASSIGNEE(S): PCT Int. Appl., 46 pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

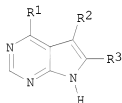
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9965909	A1	19991223	WO 1999-IB1110	19990614
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335186	A1	19991223	CA 1999-2335186	19990614
CA 2335186	C	20050329		
AU 9940545	A	20000105	AU 1999-40545	19990614
AU 758427	B2	20030320		
TR 200003720	T2	20010321	TR 2000-3720	19990614
EP 1087971	A1	20010404	EP 1999-923800	19990614
EP 1087971	B1	20040707		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9912171	A	20010410	BR 1999-12171	19990614
HU 2001003472	A2	20020228	HU 2001-3472	19990614
HU 2001003472	A3	20021228		
JP 2002518394	T	20020625	JP 2000-554734	19990614

JP 3497823	B2	20040216		
TW 542834	B	20030721	TW 1999-88109933	19990614
CN 1125070	C	20031022	CN 1999-807519	19990614
NZ 508034	A	20031128	NZ 1999-508034	19990614
AT 270673	T	20040715	AT 1999-923800	19990614
PT 1087971	T	20041029	PT 1999-923800	19990614
ES 2223172	T3	20050216	ES 1999-923800	19990614
IN 1999DE00876	A	20080725	IN 1999-DE876	19990615
EG 23758	A	20070808	EG 1999-725	19990616
ZA 9904003	A	20001218	ZA 1999-4003	19990617
AP 1157	A	20030630	AP 1999-1583	19990617
W: BW, GH, GM, KE, MW, SD, UG, ZM, ZW				
US 6635762	B1	20031021	US 1999-335030	19990617
NO 2000006454	A	20010215	NO 2000-6454	20001218
NO 318786	B1	20050509		
MX 2000PA12853	A	20010507	MX 2000-PA12853	20001219
HR 2000000886	A1	20011031	HR 2000-886	20001219
HR 2000000886	B1	20080731		
BG 105122	A	20011031	BG 2001-105122	20010108
BG 65063	B1	20070131		
HK 1036800	A1	20040227	HK 2001-107740	20011106
US 20040058922	A1	20040325	US 2003-640079	20030813
NO 2005000201	A	20010215	NO 2005-201	20050113
PRIORITY APPLN. INFO.:			US 1998-89886P	P 19980619
			WO 1999-1B1110	W 19990614
			US 1999-335030	A1 19990617

OTHER SOURCE(S): MARPAT 132:49976  
GI



I



II

AB The title compds. [I; R1 = II (wherein the dashed line represents optional double bonds; m = 0-3; n = 0-3; X, B, D = O, S(O)d (d = 0-2), NR6, CR7R8; A, E = CR7R8; R6 = H, alkyl, CF3, etc.; R7, R8 = H, 2H, alkyl, etc.); R2, R3 = H, NH2, halo, etc.] which are inhibitors of protein tyrosine kinases such as Janus Kinase 3 (no data) and as such useful as immunosuppressive agents for organ transplants, lupus, multiple sclerosis, rheumatoid arthritis, psoriasis, Type I diabetes and complications from diabetes, cancer, asthma, atopic dermatitis, autoimmune thyroid disorders, ulcerative colitis, Crohn's disease, Alzheimer's disease, leukemia and other autoimmune diseases, were prepared E.g., a 2-step synthesis of I [R1 = piperidino; R2 = Cl; R3 = H], starting with 4-chloro-7H-pyrrolo[2,3-d]pyrimidine, was given. Compds. I are effective at 0.1-1000 mg/day.

IT 504-03-0, 2,6-Dimethylpiperidine  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of pyrrolo[2,3-d]pyrimidines as inhibitors of protein tyrosine kinases such as Janus Kinase 3)

RN 504-03-0 CAPLUS

CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:640853 CAPLUS

DOCUMENT NUMBER: 131:271815

TITLE: Preparation of 2(1H)-quinolinones as serine protease inhibitors for treatment of thrombotic disorders

INVENTOR(S): Dudley, Danette Andrea; Edmunds, Jeremy John

PATENT ASSIGNEE(S): Warner-Lambert Co., USA

SOURCE: PCT Int. Appl., 136 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

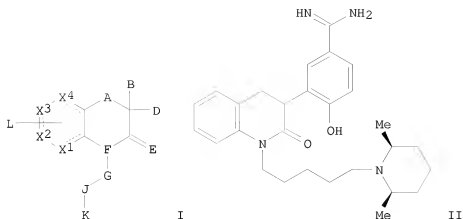
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950263	A1	19991007	WO 1998-US26709	19981215
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2312953	A1	19991007	CA 1998-2312953	19981215
AU 9919184	A	19991018	AU 1999-19184	19981215
AU 763110	B2	20030710		
BR 9815786	A	20001121	BR 1998-15786	19981215
EP 1091955	A1	20010418	EP 1998-963966	19981215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001001484	A2	20011028	HU 2001-1484	19981215
HU 2001001484	A3	20030228		
JP 2002509928	T	20020402	JP 2000-541167	19981215
NZ 505921	A	20030829	NZ 1998-505921	19981215
ZA 9902448	A	20001011	ZA 1999-2448	19990330
MX 2000PA06107	A	20010219	MX 2000-PA6107	20000619
US 6855726	B1	20050215	US 2000-601479	20000803
NO 2000004696	A	20000920	NO 2000-4696	20000920
PRIORITY APPLN. INFO.:			US 1998-80090P	P 19980331
			WO 1998-US26709	W 19981215

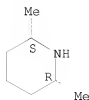
OTHER SOURCE(S): MARPAT 131:271815

GI



- AB 2(1H)-Quinolinones (I) [where A = CH<sub>2</sub>, CH, or C(alkyl); B and D = independently H, (un)substituted (cyclo)alkyl, hetero(cyclo)alkyl, aryl(alkyl), or heterocycle; E = absent or O, S, or NH; F = N, NCH<sub>2</sub>, or CH<sub>2</sub>N; G and (un)substituted K = independently absent or (cyclo)alkyl interrupted by 1 or more heteroatoms; J = absent or (un)substituted aryl or heterocycle; L = H, halogen, OH, (un)substituted alkoxy, alkyl, amino, etc.; X1-X4 = independently C or N], which display inhibitory effects on serine proteases such as factor Xa, thrombin and/or factor VIIa, were prepared. For example, 1,5-dibromopentane was added to 4-(benzyloxy)-3-(2-oxo-1,2,3,4-tetrahydro-3-quinolinyl)benzenecarbonitrile (5-step preparation given) to yield the N-substituted tetrahydroquinolinone. Cis-2,6-dimethylpiperidine was added to the 5-bromopentylquinolinone to form the piperidinylpenty derivative. This intermediate was converted to the title quinolinone II.2HCl by treatment with NH<sub>2</sub>OH.HCl followed by addition of CF<sub>3</sub>CO<sub>2</sub>H and reduction with Pd/C. Typically, the compds. of the invention showed 50% inhibition of factor Xa proteolytic activity on a synthetic substrate in concns. ranging from 50 μM to 1 nM. II demonstrated inhibitory activity in standard assays of thrombin (IC<sub>50</sub> = 1.14 μM), trypsin (IC<sub>50</sub> = 0.562 μM), and factor Xa (IC<sub>50</sub> = 0.02 μM). Compds. of the invention are claimed to be useful in the treatment or prevention of venous and arterial thrombosis, pulmonary embolism, myocardial and cerebral infarction, restenosis, cancer, angina, diabetes, heart failure, and atrial fibrillation in mammals.
- IT 766-17-6, cis-2,6-Dimethylpiperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation of 2(1H)-quinolinones as serine protease inhibitors for treatment of thrombotic disorders)
- RN 766-17-6 CAPLUS
- CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 19 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:640844 CAPLUS

DOCUMENT NUMBER: 131:271886

TITLE: Preparation of quinoxalinones as serine protease

inhibitors for treatment of thrombotic disorders

Dudley, Danette Andrea; Edmunds, Jeremy John

INVENTOR(S): Warner-Lambert Co., USA

PATENT ASSIGNEE(S): PCT Int. Appl., 104 pp.

SOURCE: CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950254	A1	19991007	WO 1998-US26704	19981215
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2319554	C	19991007	CA 1998-2319554	19981215
CA 2319554	A1	19991007		
AU 9919179	A	19991018	AU 1999-19179	19981215
BR 9815785	A	20001205	BR 1998-15785	19981215
EP 1068190	A1	20010117	EP 1998-963961	19981215
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001001470	A2	20011028	HU 2001-1470	19981215
HU 2001001470	A3	20020930		
ZA 9902447	A	20001010	ZA 1999-2447	19990330
US 6410536	B1	20020625	US 2000-601606	20000803
MX 2000PA08342	A	20010328	MX 2000-PA8342	20000825
NO 2000004697	A	20000920	NO 2000-4697	20000920
US 20020086866	A1	20020704	US 2002-38006	20020104
US 6916805	B2	20050712		
PRIORITY APPLN. INFO.:			US 1998-80042P	P 19980331
			WO 1998-US26704	W 19981215
			US 2000-601606	A3 20000803

OTHER SOURCE(S): MARPAT 131:271886

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB 2(1H)-Quinoxalinones (I) [where A = N, N(alkyl)CH<sub>2</sub>, CH<sub>2</sub>N(alkyl), NO; B and D = independently H, (un)substituted (cyclo)alkyl, hetero(cyclo)alkyl, aryl(alkyl), or heterocycle; E = absent or O, S, or NH; F = N, NCH<sub>2</sub>, or CH<sub>2</sub>N; G and (un)substituted K = independently absent or (cyclo)alkyl interrupted by 1 or more heteroatoms; J = absent or (un)substituted aryl or heterocycle; L = H, halogen, OH, (un)substituted alkoxy, alkyl, amino, etc.; X1-X4 = independently C or N], which display inhibitory effects on serine proteases such as factor Xa, thrombin, trypsin, and/or factor VIIa, were prepared. For example, 1,5-dibromopentane was added to 4-(benzyloxy)-3-(3-oxo-3,4-dihydro-2-quinoxaliny)benzenecarbonitrile (6-step preparation given) to yield the N-substituted dihydroquinoxaline.

Cis-2,6-dimethylpiperidine was added to the 5-bromopentylquinoxalinone to form the piperidinylpentyl derivative. This intermediate was debenzylated and the nitrile converted to the carboximidamide to form the title quinoxalinone (II).2HCl. Typically, the compds. of the invention showed 50% inhibition of factor Xa proteolytic activity on a synthetic substrate in concns. ranging from 50  $\mu$ M to 1 nM. II demonstrated inhibitory activity in standard assays of thrombin (IC50 = 2.96  $\mu$ M), trypsin (IC50 = 2.03  $\mu$ M), and factor Xa (IC50 = 0.065  $\mu$ M). At a concentration of 100  $\mu$ M, II inhibited the catalytic activity of human tissue factor/factor VIIa complex by 16%. In an in vitro assay, II demonstrated human prothrombinase (PTase) complex inhibition with an IC50 of 0.0015  $\mu$ M. The effects of II on thrombosis and hemostasis was studied in a rabbit veno-venous shunt model and in a dog electrolytic injury model of thrombosis. At the highest dose, II prolonged a PTT and PT by a 5- and 3.9-fold, resp., for the veno-venous shunt model and by 1.4- and 1.75-fold, resp., for the electrolytic injury model. Compds. of the invention are claimed to be useful in the treatment or prevention of venous and arterial thrombosis, pulmonary embolism, myocardial and cerebral infarction, restenosis, cancer, angina, diabetes, heart failure, and atrial fibrillation in mammals.

IT 766-17-6, cis-2,6-Dimethylpiperidine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reactant; preparation of 2(1H)-quinolinones as serine protease inhibitors  
 for treatment of thrombotic disorders)  
 RN 766-17-6 CAPLUS  
 CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L44 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:511159 CAPLUS

DOCUMENT NUMBER: 131:157709

TITLE: Preparation of bicyclic pyridine and pyrimidine derivatives as neuropeptide Y receptor antagonists  
 INVENTOR(S): Norman, Mark H.; Chen, Ning; Han, Nianhe; Liu, Longbin; Hurt, Clarence R.; Fotsch, Christopher H.; Jenkins, Tracy J.; Moreno, Ofir A.

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 469 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

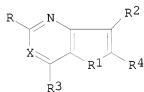
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9940091	A1	19990812	WO 1999-US2500	19990205
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,				

	KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW	
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
US 6187777	B1	20010213 US 1999-246775 19990204
CA 2319275	A1	19990812 CA 1999-2319275 19990205
CA 2319275	C	20071016
AU 9926590	A	19990823 AU 1999-26590 19990205
AU 747920	B2	20020530
EP 1054887	A1	20001129 EP 1999-906756 19990205
EP 1054887	B1	20060412
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY	
JP 2003502272	T	20030121 JP 2000-530520 19990205
AT 323088	T	20060415 AT 1999-906756 19990205
PT 1054887	T	20060630 PT 1999-906756 19990205
ES 2257851	T3	20060801 ES 1999-906756 19990205
ZA 9900967	A	19990806 ZA 1999-967 19990208
MX 2000PA07662	A	20010219 MX 2000-PA7662 20000804
US 6583154	B1	20030624 US 2000-640263 20000816
PRIORITY APPLN. INFO.:		
		US 1998-73927P P 19980206
		US 1998-73981P P 19980206
		US 1998-93482P P 19980720
		US 1998-93577P P 19980720
		US 1999-246775 A 19990204
		WO 1999-US2500 W 19990205

OTHER SOURCE(S): MARPAT 131:157709  
GI



I

AB Title compds. I; R = H, CH<sub>3</sub>, (CH<sub>3</sub>)<sub>2</sub>CH, SCH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>, NH<sub>2</sub>, CF<sub>3</sub>, NHCOC<sub>6</sub>H<sub>5</sub>, cyclopropyl, CH<sub>2</sub>OH, (CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, N(CH<sub>3</sub>)<sub>2</sub>, OCH<sub>3</sub>, NHCH<sub>3</sub>, NH(CH<sub>2</sub>)<sub>4</sub>NH<sub>2</sub>; R<sub>1</sub> = NH, S, NCH<sub>3</sub>, O; R<sub>2</sub> = H, COCH<sub>3</sub>, C<sub>6</sub>H<sub>5</sub>, CH<sub>3</sub>, CH<sub>3</sub>CH<sub>2</sub>; R<sub>3</sub> = NH<sub>2</sub>, CH<sub>3</sub>, NHC<sub>6</sub>H<sub>5</sub>, N(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, (CH<sub>3</sub>CH<sub>2</sub>)N(CH<sub>2</sub>)<sub>3</sub>CH<sub>3</sub>, (CH<sub>3</sub>)N(CH<sub>2</sub>)<sub>2</sub>NHCH<sub>3</sub>, N(CH<sub>3</sub>)CH(CH<sub>3</sub>)CH(Ph)OH, (CH<sub>3</sub>CH<sub>2</sub>)NCH<sub>2</sub>C(CH<sub>3</sub>):CH<sub>2</sub>, NHCH<sub>2</sub>CF<sub>3</sub>, NHCH<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, NH(CH<sub>2</sub>)<sub>3</sub>OCCH<sub>2</sub>CH<sub>3</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>, 4-CH<sub>3</sub>OC<sub>6</sub>H<sub>5</sub>, 2-thienyl, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, 1-piperazinyl, 3-pyridyl; R<sub>4</sub> = C<sub>6</sub>H<sub>5</sub>, 4-CH<sub>3</sub>C<sub>6</sub>H<sub>4</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>, (CH<sub>3</sub>)<sub>3</sub>C, 4-FC<sub>6</sub>H<sub>4</sub>, 3-HOC<sub>6</sub>H<sub>4</sub>, 2-pyridyl, cyclohexyl, 2-furyl, 2-FC<sub>6</sub>H<sub>4</sub> 2-thienyl, 1-adamantyl, CH<sub>3</sub>, 4-CH<sub>3</sub>OC<sub>6</sub>H<sub>4</sub>; X = N, CH; etc.], pharmaceutical acceptable salts, ester, solvate, and N-oxide are prepared and tested as neuropeptide Y receptor antagonists in the modulation of feeding behavior, obesity, diabetes, cancer, inflammatory disorders, depression, stress related disorders, Alzheimer's disease and other disease conditions. Thus, the title compound I (R = CH<sub>3</sub>; R<sub>1</sub> = NH; R<sub>2</sub> = H; R<sub>3</sub> = N(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>; R<sub>4</sub> = C<sub>6</sub>H<sub>5</sub>) was prepared

IT 766-17-6, cis-2,6-Dimethylpiperidine  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of pyrrolopyridine and pyrrolopyrimidine derivs. as neuropeptide Y receptor antagonists)

RN 766-17-6 CAPLUS

CN Piperidine, 2,6-dimethyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> s l42/uses
      1413 L42
      7150149 USES/RL
L45    123 L42/USES
      (L42 (L) USES/RL)

=> s L45 AND ((cancer OR "Cancer (genus)") or (angiogenesis OR "Angiogenesis"))
      370638 CANCER
      54465 CANCERS
      384282 CANCER
      (CANCER OR CANCERS)
      370638 "CANCER"
      54465 "CANCERS"
      384282 "CANCER"
      ("CANCER" OR "CANCERS")
      53989 "GENUS"
      103 "GENUSES"
      18740 "GENERA"
      8 "GENERAS"
      68072 "GENUS"
      ("GENUS" OR "GENUSES" OR "GENERA" OR "GENERAS")
      48 "CANCER (GENUS)"
      ("CANCER"(w)"GENUS")
      46826 ANGIOGENESIS
      46826 "ANGIOGENESIS"
L46    5 L45 AND ((CANCER OR "CANCER (GENUS)") OR (ANGIOGENESIS OR "ANGIO
      GENESIS"))
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=> d L45 1-5 ibib abs hitstr

L45 ANSWER 1 OF 123 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2008:890838 CAPLUS  
TITLE: Preparation of male contraceptive compounds  
INVENTOR(S): Amobi, Nnaemeka Ikechukwu; Smith, Christopher  
PATENT ASSIGNEE(S): King's College London, UK  
SOURCE: PCT Int. Appl., 65pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008087421	A2	20080724	WO 2008-GB163	20080117
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,				

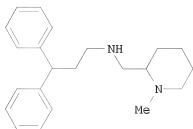
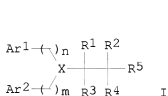
CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

GB 2007-893

A 20070117

GI



II

AB Title compds. represented by the formula I [wherein R1-R4 = independently H or alkyl; R5 = (hetero)aryl, (hetero)cycloalkyl, aryloxy, etc.; X = C or N; m = 0-2; n = 0-2; Ar1, Ar2 = independently (un)substituted (hetero)aryl; with the proviso; and pharmaceutically acceptable salts or esters thereof] were prepared as. For example, amidation of N-methylpiperidine-2-carboxylic acid•HCl with 3,3-diphenylpropylamine and followed by reduction with LiAlH4 gave II•2HCl. I were tested for contractile actions of L-type Ca2+-agonists in human vas deferens and effects of L-type Ca2+-antagonists, diphenylalkylamines and phenothiazines and functional evaluation of new compds. in human vas deferens preps. Thus, I and their pharmaceutical compns. are useful for the reduction or prevention of the emission of sperm, or for the reduction or prevention of transmission of viral agents-transmitted in seminal fluid.

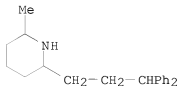
IT 1041192-96-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of male contraceptive compds.)

RN 1041192-96-4 CAPLUS

CN Piperidine, 2-(3,3-diphenylpropyl)-6-methyl- (CA INDEX NAME)



L45 ANSWER 2 OF 123 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:590535 CAPLUS

DOCUMENT NUMBER: 148:534276

TITLE: Identification of bitter ligands activating human T2R

INVENTOR(S): taste receptors using cells expressing genes for individual receptor subtypes  
 Li, Xiaodong; Xu, Hong; Li, Qing; Tang, Huixian;  
 Pronin, Alexey  
 PATENT ASSIGNEE(S): Senomyx, Inc., USA  
 SOURCE: PCT Int. Appl., 134pp., which  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 5  
 PATENT INFORMATION:

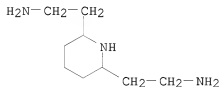
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008057470	A2	20080515	WO 2007-US23230	20071101
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20080187936	A1	20080807	US 2006-555617	20061101
US 20080038739	A1	20080214	US 2007-766974	20070622
AU 2008200999	A1	20080320	AU 2008-200999	20080303
PRIORITY APPLN. INFO.:			US 2006-555617	A 20061101
			US 2007-766974	A 20070622
			US 2001-825882	A3 20010405
			AU 2002-318229	A3 20020710
			US 2002-191058	A2 20020710
			US 2003-742209	B2 20031222
			US 2007-555617	A2 20070326
AB	Members of the human taste receptor family T2R that respond to bitter compds. are identified and methods of identifying ligands for these receptors using transgenic animal cells are described. Of the known members of the family, 23 were shown to be receptors for bitter ligands. Alleles of the gene for the T2R9 receptor that show very different responses in functional assays with for bitter ligands are identified. Activating ligands for these receptors may be used to modify flavors, either by adding them to foods or drugs, or by selectively removing them. These ligands may be used as therapeutics to treat and modulate T2R associated gastrointestinal and metabolic functions and gastrointestinal and metabolic diseases such as eating disorders, food sensing, food absorption, obesity, diabetes, Crohn's disease, and celiac disease. Receptors were screened for their responses to members of a library of compds. using animal cell hosts expressing the gene for an individual receptor. Patterns of response to library members were used to assign functions ("de-orphan") members of the receptor family.			
IT	504-03-0, 2,6-Dimethylpiperidine RL: FFD (Food or feed use); BIOL (Biological study); USES (Uses) (as ligand for bitter taste receptors; identification of bitter ligands activating human T2R taste receptors using cells expressing genes for individual receptor subtypes)			
RN	504-03-0 CAPLUS			
CN	Piperidine, 2,6-dimethyl- (CA INDEX NAME)			



L45 ANSWER 3 OF 123 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:493012 CAPLUS  
 DOCUMENT NUMBER: 148:509885  
 TITLE: Compositions and methods for treating neurological disorders or damage  
 INVENTOR(S): Diamandis, Phedias; Tyers, Mike; Dirks, Peter B.  
 PATENT ASSIGNEE(S): Can.  
 SOURCE: Can. Pat. Appl., 3pp.  
 CODEN: CPXXEB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	CA 2606658	A1	20080413	CA 2007-2606658	20071012
				US 2006-851615P	P 20061013

PRIORITY APPLN. INFO.:  
 AB The invention relates to a clonogenic neurosphere assay to carry out high throughput screens (HTS) to identify potent and/or selective modulators of proliferation, differentiation and/or renewal of neural precursor cells, neural progenitor cells and/or self-renewing and multipotent neural stem cells (NSCs). The invention also relates to compns. comprising the identified modulators and methods of using the modulators and compns., in particular to treat neurol. disorders (e.g. brain or CNS cancer) or damage.  
 IT 205446-74-8, MDL 26630 trihydrochloride  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (screening for compns. and methods for treating neurol. disorders or damage with modulators of neural stem cells)  
 RN 205446-74-8 CAPLUS  
 CN 2,6-Piperidinediethanamine, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

L45 ANSWER 4 OF 123 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:372248 CAPLUS  
 DOCUMENT NUMBER: 148:497997  
 TITLE: Improving the Hydrophobicity and Oxidation Activity of Ti-MWW by Reversible Structural Rearrangement

AUTHOR(S): Wang, Lingling; Liu, Yueming; Xie, Wei; Wu, Haihong;  
Li, Xiaohong; He, Mingyuan; Wu, Peng  
CORPORATE SOURCE: Shanghai Key Laboratory of Green Chemistry and  
Chemical Processes, Department of Chemistry, East  
China Normal University, Shanghai, 200062, Peop. Rep.  
China  
SOURCE: Journal of Physical Chemistry C (2008), 112(15),  
6132-6138  
CODEN: JPCCCK; ISSN: 1932-7447  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The postsynthesis treatment of Ti-MWW having the three-dimensional (3D)  
MWW structure with aqueous amine solns. has been carried out with the purpose  
to improve its hydrophobicity and catalytic activity in the liquid-phase  
oxidns. The treatment with piperidine (PI) or hexamethyleneimine (HMI)  
converted the 3D MWW structure into the corresponding lamellar precursor,  
which returned reversibly to the 3D MWW structure by further calcination.  
The treatments with other amines, however, caused a structural collapse or  
crystalline transfer to other phases. In the case of PI treatment, the  
structural conversion from 3D MWW to the MWW lamellar precursor occurred  
readily at 443 K at a PI/SiO<sub>2</sub> molar ratio of >0.1 within 1 day for the  
Ti-MWW samples with various Si/Ti ratios. The structural interchange did  
not alter the amount as well as the coordination states of the Ti active  
sites, but removed the internal silanols by ca. 40%, leading to a  
defectless Ti-MWW catalyst with a more rigid and hydrophobic framework.  
This kind of structural rearrangement improved the catalytic activity by  
up to 20% in the ammoxidn. of ketones and also in the epoxidn. of a wide  
range of alkenes with various mol. dimensions.  
IT 504-03-0, 2,6-Dimethylpiperidine  
RL: MOA (Modifier or additive use); USES (Uses)  
(improving the hydrophobicity and oxidation activity of Ti-MWW by  
reversible structural rearrangement in presence of)  
RN 504-03-0 CAPLUS  
CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 5 OF 123 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2008:191632 CAPLUS  
DOCUMENT NUMBER: 148:258933  
TITLE: Identification of bitter ligands activating human T2R  
taste receptors using cells expressing genes for  
individual receptor subtypes  
INVENTOR(S): Li, Xiaodong; Xu, Hong; Li, Qing; Tang, Huixian;  
Pronin, Alexey  
PATENT ASSIGNEE(S): Senomyx, Inc., USA  
SOURCE: U.S. Pat. Appl. Publ., 82pp., Cont.-in-part of U.S.  
Sr. No. 555,617.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080038739	A1	20080214	US 2007-766974	20070622
US 20020094551	A1	20020718	US 2001-825882	20010405
US 7105650	B2	20060912		
US 20030170608	A1	20030911	US 2002-191058	20020710
US 7338771	B2	20080304		
US 20040209313	A1	20041021	US 2003-724208	20031201
US 7399601	B2	20080715		
US 20040248149	A1	20041209	US 2003-724209	20031201
US 7393654	B2	20080701		
US 20050069944	A1	20050331	US 2004-986871	20041115
US 7396651	B2	20080708		
US 20070059759	A1	20070315	US 2006-599313	20061115
US 20070061902	A1	20070315	US 2006-599318	20061115
US 20070061903	A1	20070315	US 2006-599319	20061115
US 20070061904	A1	20070315	US 2006-599346	20061115
US 20070061905	A1	20070315	US 2006-599360	20061115
US 20070061906	A1	20070315	US 2006-599392	20061115
US 20070065870	A1	20070322	US 2006-599467	20061115
US 20070065871	A1	20070322	US 2006-599472	20061115
US 20070065873	A1	20070322	US 2006-599487	20061115
WO 2008057470	A2	20080515	WO 2007-US23230	20071101
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2008200999	A1	20080320	AU 2008-200999	20080303

PRIORITY APPLN. INFO.:

US 2001-825882	A3	20010405
US 2002-191058	A2	20020710
US 2003-742209	B2	20031222
US 2007-555617	A2	20070326
US 2000-195532P	P	20000407
US 2000-247014P	P	20001113
US 2001-303811P	P	20010710
US 2002-372089P	P	20020415
AU 2002-318229	A3	20020710
US 2003-724208	A3	20031201
US 2006-555617	A	20061101
US 2007-766974	A	20070622

AB Members of the human taste receptor family T2R that respond to bitter compds. are identified and methods of identifying ligands for these receptors using transgenic animal cells are described. Of the known members of the family, 23 were shown to be receptors for bitter ligands. Alleles of the gene for the T2R9 receptor that show very different responses in functional assays with for bitter ligands are identified. Activating ligands for these receptors may be used to modify flavors, either by adding them to foods or drugs, or by selectively removing them. These ligands may be used as therapeutics to treat and modulate T2R associated gastrointestinal and metabolic functions and gastrointestinal and metabolic diseases such as eating disorders, food sensing, food

absorption, obesity, diabetes, Crohn's disease, and celiac disease. Receptors were screened for their responses to members of a library of compds. using animal cell hosts expressing the gene for an individual receptor. Patterns of response to library members were used to assign functions ("de-orphan") members of the receptor family.

IT 504-03-0, 2,6-Dimethylpiperidine  
 RL: FFD (Food or feed use); BIOL (Biological study); USES (Uses)  
 (as ligand for bitter taste receptors; identification of bitter ligands  
 activating human T2R taste receptors using cells expressing genes for  
 individual receptor subtypes)  
 RN 504-03-0 CAPLUS  
 CN Piperidine, 2,6-dimethyl- (CA INDEX NAME)



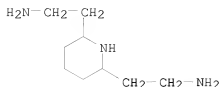
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L46 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:493012 CAPLUS  
 DOCUMENT NUMBER: 148:509885  
 TITLE: Compositions and methods for treating neurological disorders or damage  
 INVENTOR(S): Diamandis, Phedias; Tyers, Mike; Dirks, Peter B.  
 PATENT ASSIGNEE(S): Can.  
 SOURCE: Can. Pat. Appl., 3pp.  
 CODEN: CPXXEB  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2606658	A1	20080413	CA 2007-2606658	20071012
PRIORITY APPLN. INFO.:			US 2006-851615P	P 20061013

AB The invention relates to a clonogenic neurosphere assay to carry out high throughput screens (HTS) to identify potent and/or selective modulators of proliferation, differentiation and/or renewal of neural precursor cells, neural progenitor cells and/or self-renewing and multipotent neural stem cells (NSCs). The invention also relates to compns. comprising the identified modulators and methods of using the modulators and compns., in particular to treat neurol. disorders (e.g. brain or CNS cancer) or damage.

IT 205446-74-8, MDL 26630 trihydrochloride  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (screening for compns. and methods for treating neurol. disorders or damage with modulators of neural stem cells)  
 RN 205446-74-8 CAPLUS  
 CN 2,6-Piperidinediethanamine, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

L46 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:288798 CAPLUS

DOCUMENT NUMBER: 147:419553

TITLE: Solenopsin, the alkaloidal component of the fire ant (*Solenopsis invicta*), is a naturally occurring inhibitor of phosphatidylinositol-3-kinase signaling and angiogenesis

AUTHOR(S): Arbiser, Jack L.; Kau, Tweeny; Konar, Martha; Narra, Krishna; Ramchandran, Ramani; Summers, Scott A.; Vlahos, Chris J.; Ye, Keqiang; Perry, Betsy N.; Matter, William; Fischl, Anthony; Cook, James; Silver, Pamela A.; Bain, Jenny; Cohen, Philip; Whitmire, David; Furness, Scott; Govindarajan, Baskaran; Bowen, J. Phillip

CORPORATE SOURCE: Department of Dermatology, Emory University School of Medicine, Atlanta, GA, USA

SOURCE: Blood (2007), 109(2), 560-565  
CODEN: BLOOAW; ISSN: 0006-4971

PUBLISHER: American Society of Hematology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Phosphatidylinositol-3-kinase (PI3K), and its downstream effector Akt, or protein kinase B $\alpha$  (PKB $\alpha$ ), play a major regulatory role in control of apoptosis, proliferation, and angiogenesis. PI3K and Akt are amplified or overexpressed in a number of malignancies, including sarcomas, ovarian cancer, multiple myeloma, and melanoma. This pathway regulates production of the potent angiogenic factor vascular endothelial growth factor (VEGF), and protects tumor cells against both chemotherapy and reactive oxygen-induced apoptosis through phosphorylation of substrates such as apoptotic peptidase-activating factor-1 (APAF-1), forkhead proteins, and caspase 9. Given its diverse actions, compds. that suppress the PI3K/Akt pathway have potential pharmacol. utility as angiogenesis inhibitors and antineoplastic agents. Using the SVR angiogenesis assay, a screen of natural products, we isolated the alkaloid solenopsin, and found that it is a potent angiogenesis inhibitor. We also found that solenopsin inhibits the PI3K signaling pathway in cells upstream of PI3K, which may underlie its effects on angiogenesis. Consistent with inhibition of the activation of PI3K, solenopsin prevented the phosphorylation of Akt and the phosphorylation of its substrate forkhead box 01a (FOXO1a), a member of the forkhead family of transcription factors. Interestingly, solenopsin also inhibited Akt-1 activity in an ATP-competitive manner in vitro without affecting 27 of 28 other protein kinases tested.

IT 175478-17-8P 409060-79-3P 409060-81-7P  
409060-82-8P 409060-83-9P 409060-85-1P  
409060-86-2P 409060-87-3P 409060-88-4P  
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409061-33-2P 409061-34-3P 571186-34-0P

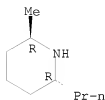
RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(solenopsin from fire ant is a naturally occurring inhibitor of PI3K signaling and angiogenesis)

RN 175478-17-8 CAPLUS

CN Piperidine, 2-methyl-6-propyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

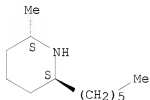


● HCl

RN 409060-79-3 CAPLUS

CN Piperidine, 2-hexyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

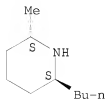


● HCl

RN 409060-81-7 CAPLUS

CN Piperidine, 2-butyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

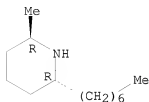
Relative stereochemistry.



● HCl

RN 409060-82-8 CAPLUS  
CN Piperidine, 2-heptyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

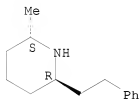
Relative stereochemistry.



● HCl

RN 409060-83-9 CAPLUS  
CN Piperidine, 2-methyl-6-(2-phenylethyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



● HCl

RN 409060-85-1 CAPLUS  
CN Piperidine, 2-ethyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

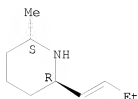


● HCl

RN 409060-86-2 CAPLUS  
CN Piperidine, 2-(1-buten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.



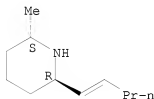
● HCl

RN 409060-87-3 CAPLUS

CN Piperidine, 2-methyl-6-(1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel-  
(CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.



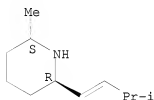
● HCl

RN 409060-88-4 CAPLUS

CN Piperidine, 2-methyl-6-(3-methyl-1-buten-1-yl)-, hydrochloride (1:1),  
(2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.



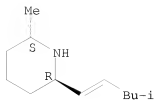
● HCl

RN 409060-89-5 CAPLUS

CN Piperidine, 2-methyl-6-(4-methyl-1-penten-1-yl)-, hydrochloride (1:1),  
(2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.



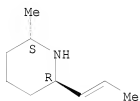
● HCl

RN 409060-92-0 CAPLUS

CN Piperidine, 2-methyl-6-(1-propen-1-yl)-, hydrochloride (1:1), (2S,6R)-rel-  
(CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.

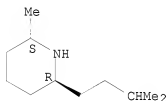


● HCl

RN 409061-29-6 CAPLUS

CN Piperidine, 2-methyl-6-(3-methylbutyl)-, hydrochloride (1:1), (2S,6R)-rel-  
(CA INDEX NAME)

Relative stereochemistry.

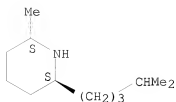


● HCl

RN 409061-33-2 CAPLUS

CN Piperidine, 2-methyl-6-(4-methylpentyl)-, hydrochloride (1:1),  
(2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

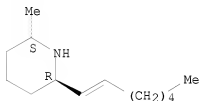


● HCl

RN 409061-34-3 CAPLUS

CN Piperidine, 2-(1-hepten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry unknown.

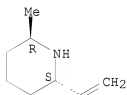


● HCl

RN 571186-34-0 CAPLUS

CN Piperidine, 2-ethenyl-6-methyl-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



● HCl

IT 28720-60-7P

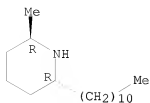
RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(solenopsin from fire ant is a naturally occurring inhibitor of PI3K signaling and angiogenesis)

RN 28720-60-7 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

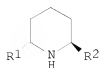
Relative stereochemistry.



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2008 ACS on SIN  
ACCESSION NUMBER: 2003:590960 CAPLUS  
DOCUMENT NUMBER: 139:149804  
TITLE: Solenopsin A, B and analogs as novel angiogenesis inhibitors  
INVENTOR(S): Bowen, Phillip J.; Arbiser, Jack L.; Whitmore, David; Furness, Scott M.  
PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA; Emory University  
SOURCE: PCT Int. Appl., 67 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003061598	A2	20030731	WO 2003-US2105	20030124
WO 2003061598	A3	20031204		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050038071	A1	20050217	US 2004-502080	20041008
PRIORITY APPLN. INFO.:			US 2002-351880P	P 20020125
			WO 2003-US2105	W 20030124
OTHER SOURCE(S):		MARPAT 139:149804		
GI				



I



II

AB The present invention relates to solenopsin A and its analogs, I [R1, R2 =

linear, cyclic or branched (un)saturated (un)substituted C1-20-alkyl] and II, or a pharmaceutically acceptable salt, for use as angiogenesis inhibitors. The present compds. unexpectedly exhibit good activity as angiogenesis inhibitors, which find use as antitumor/anticancer agents as well as to treat a number of conditions or disease states in which angiogenesis is a factor. Thus, (±)-Solenopsin A hydrochloride (±)-I·HCl [R1 = Me, R2 = undecyl] was prepared from 4-chloropyridine hydrochloride via reaction with undecylmagnesium bromide in Et2O followed by addition of ClCO2Ph; transesterification with KOCMe3; lithiation with BuLi followed by methylation with MeI; hydrogenation/hydrogenolysis with H2 over Pd/C in MeOH; stereoselective reduction with NaBH3CN in CH2Cl2; and deprotection with CF3CO2H in CH2Cl2 followed by dissoln. in Et2O and treatment with HCl(g). The anticancer activity of I·HCl [R1 = Me, R2 = (CH2)10Me, CH:CHCO2Et, CH2CH2CO2Et, CH:CH(CH2)4Me, CH:CHCH2CHMe2, (CH2)3CHMe2, (CH2)2CHMe2, CH:CHCHMe2, CH:CHPr-n, CH:CHEt, CH:CHMe, (CH2)2Ph, (CH2)6Me, Bu, cyclopentyl, CH2Bu] was determined (comparative chart: % cell growth inhibition at 1, 3 and 6 µg/mL).

IT 32778-77-1DP, Solenopsin B, analogs 63950-17-4P,

(±)-Solenopsin A hydrochloride 175478-17-8P

409060-79-3P 409060-81-7P 409060-82-8P

409060-83-9P 409060-85-1P 409060-86-2P

409060-87-3P 409060-88-4P 409060-89-5P

409060-90-8P 409060-91-9P 409060-92-0P

409061-00-3P 409061-29-6P 409061-33-2P

409061-34-3P 571186-34-0P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN

(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

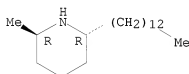
PREP (Preparation); USES (Uses)

(preparation of solenopsin A, B and analogs as novel angiogenesis inhibitors)

RN 32778-77-1 CAPLUS

CN Piperidine, 2-methyl-6-tridecyl-, (2R,6R)- (CA INDEX NAME)

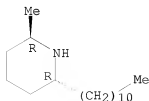
Absolute stereochemistry.



RN 63950-17-4 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, hydrochloride, (2R,6R)-rel- (9CI) (CA INDEX NAME)

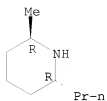
Relative stereochemistry.



● HCl

RN 175478-17-8 CAPLUS  
 CN Piperidine, 2-methyl-6-propyl-, hydrochloride (1:1), (2R,6R)-rel- (CA  
 INDEX NAME)

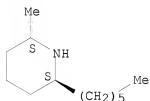
Relative stereochemistry.



● HCl

RN 409060-79-3 CAPLUS  
 CN Piperidine, 2-hexyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA  
 INDEX NAME)

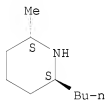
Relative stereochemistry.



● HCl

RN 409060-81-7 CAPLUS  
 CN Piperidine, 2-butyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA  
 INDEX NAME)

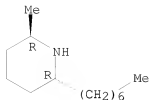
Relative stereochemistry.



● HCl

RN 409060-82-8 CAPLUS  
 CN Piperidine, 2-heptyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA  
 INDEX NAME)

Relative stereochemistry.

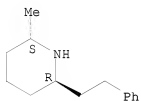


● HCl

RN 409060-83-9 CAPLUS

CN Piperidine, 2-methyl-6-(2-phenylethyl)-, hydrochloride (1:1), (2S,6R)-rel-  
(CA INDEX NAME)

Relative stereochemistry.



● HCl

RN 409060-85-1 CAPLUS

CN Piperidine, 2-ethyl-6-methyl-, hydrochloride (1:1), (2R,6R)-rel- (CA  
INDEX NAME)

Relative stereochemistry.



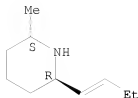
● HCl

RN 409060-86-2 CAPLUS

CN Piperidine, 2-(1-buten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel-  
(CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.

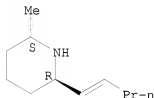


● HCl

RN 409060-87-3 CAPLUS

CN Piperidine, 2-methyl-6-(1-penten-1-yl)-, hydrochloride (1:1), (2S,6R)-rel-  
(CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry unknown.

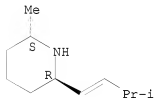


● HCl

RN 409060-88-4 CAPLUS

CN Piperidine, 2-methyl-6-(3-methyl-1-buten-1-yl)-, hydrochloride (1:1),  
(2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry unknown.

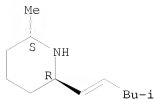


● HCl

RN 409060-89-5 CAPLUS

CN Piperidine, 2-methyl-6-(4-methyl-1-penten-1-yl)-, hydrochloride (1:1),  
(2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry unknown.

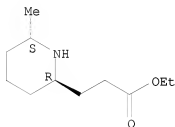


● HCl

RN 409060-90-8 CAPLUS

CN 2-Piperidinepropanoic acid, 6-methyl-, ethyl ester, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



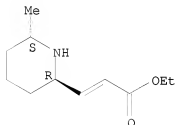
● HCl

RN 409060-91-9 CAPLUS

CN 2-Propenoic acid, 3-[(2R,6S)-6-methyl-2-piperidinyl]-, ethyl ester, hydrochloride (1:1), rel- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.



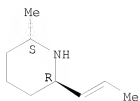
● HCl

RN 409060-92-0 CAPLUS

CN Piperidine, 2-methyl-6-(1-propen-1-yl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry unknown.

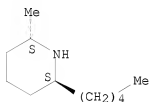


● HCl

RN 409061-00-3 CAPLUS

CN Piperidine, 2-methyl-6-pentyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

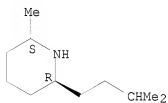


● HCl

RN 409061-29-6 CAPLUS

CN Piperidine, 2-methyl-6-(3-methylbutyl)-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

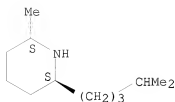


● HCl

RN 409061-33-2 CAPLUS

CN Piperidine, 2-methyl-6-(4-methylpentyl)-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

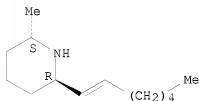


● HCl

RN 409061-34-3 CAPLUS

CN Piperidine, 2-(1-hepten-1-yl)-6-methyl-, hydrochloride (1:1), (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.  
Double bond geometry unknown.

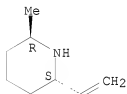


● HCl

RN 571186-34-0 CAPLUS

CN Piperidine, 2-ethenyl-6-methyl-, hydrochloride (1:1), (2S,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



● HCl

L46 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:816108 CAPLUS

DOCUMENT NUMBER: 130:66389

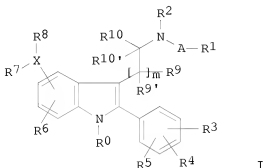
TITLE: Preparation of indole derivatives as gonadotropin releasing hormone antagonists

INVENTOR(S): Goulet, Mark; Chu, Lin; Walsh, Thomas F.; Fisher, Michael H.; Girotra, Narindar N.; Wyvratt, Matthew J.; Lin, Peter; Ashton, Wallace T.

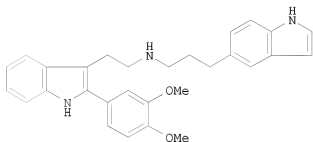
PATENT ASSIGNEE(S): Merck and Co., Inc., USA  
 SOURCE: U.S., 59 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5849764	A	19981215	US 1996-760817	19961205

PRIORITY APPLN. INFO.:  
 OTHER SOURCE(S): MARPAT 130:66389  
 GI



I



II

AB The title compds. I [A = (halo)alkyl, (un)substituted cycloalkyl, alkenyl, or alkynyl, alkoxy, alkylthio, alkoxyalkyl, bond, etc.; R0 = H, (un)substituted alkyl, aryl, or aralkyl; R1 = various (un)substituted heterocycles; R2 = H, (un)substituted alkyl, aralkyl, aryl, etc.; R2 and A may form 5- to 7-atom ring; R3, R4, R5 = H, (un)substituted alkyl or alkenyl, cyano, nitro, halo; R6 = H, (un)substituted alkyl, aryl, cyano, NO2, halo, etc.; R7 = H, (un)substituted alkyl, or is absent; R8 = H, CO2H or derivs., NH2 or derivs., OH or SH or derivs., etc.; or R7 and R8 form a C3-7 carbocyclic ring; R9, R9', R10, R10' = H, (un)substituted alkyl, aryl, or aralkyl; X = H, halo, N, O, S(O)0-2, CO, CH2, etc.; m = 0-3] (claimed) and similar compds. were prepared as antagonists of gonadotropin releasing hormone (no data). The compds. are thus useful for treatment of a variety of conditions including hormone-dependent cancers, benign prostatic hypertrophy, endometriosis, irritable bowel syndrome, etc. For instance, amidation of 3-(1H-indol-5-yl)propionic acid with 2-[2-(3,4-dimethoxyphenyl)-1H-indol-3-yl]ethylamine using EDC and HOBT, and reduction of the amide product to a secondary amine using LiAlH4 in THF at

77°, gave the invention compound II.

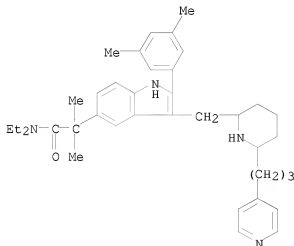
IT 192717-09-2P 192717-10-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indole derivs. as gonadotropin releasing hormone antagonists)

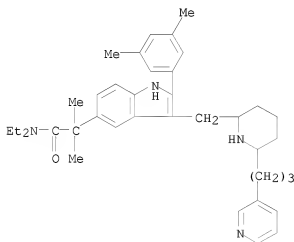
RN 192717-09-2 CAPLUS

CN 1H-Indole-5-acetamide, 2-(3,5-dimethylphenyl)-N,N-diethyl- $\alpha$ , $\alpha$ -dimethyl-3-[[6-[3-(4-pyridinyl)propyl]-2-piperidinyl]methyl]- (CA INDEX NAME)



RN 192717-10-5 CAPLUS

CN 1H-Indole-5-acetamide, 2-(3,5-dimethylphenyl)-N,N-diethyl- $\alpha$ , $\alpha$ -dimethyl-3-[[6-[3-(3-pyridinyl)propyl]-2-piperidinyl]methyl]- (CA INDEX NAME)



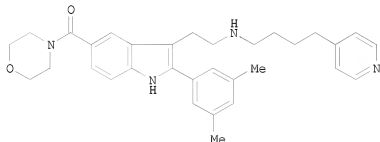
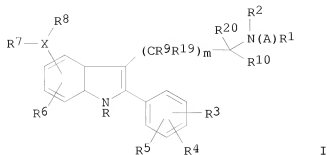
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:511777 CAPLUS

DOCUMENT NUMBER: 127:121742  
 ORIGINAL REFERENCE NO.: 127:23485a,23488a  
 TITLE: Preparation of heterocyclic compounds as antagonists of gonadotropin releasing hormone  
 INVENTOR(S): Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher, Michael H.; Girotra, Narindar N.; Lin, Peter; Wyvratt, Matthew J.  
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Goulet, Mark; Ashton, Wallace T.; Chu, Lin; Fisher, Michael H.; Girotra, Narindar N.; Lin, Peter; Wyvratt, Matthew J.  
 SOURCE: PCT Int. Appl., 117 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 4  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9721704	A1	19970619	WO 1996-US19444	19961210
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2240108	A1	19970619	CA 1996-2240108	19961210
AU 9714106	A	19970703	AU 1997-14106	19961210
AU 707641	B2	19990715		
EP 873336	A1	19981028	EP 1996-944249	19961210
EP 873336	B1	20020327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
CN 1208412	A	19990217	CN 1996-199872	19961210
JP 11506471	T	19990608	JP 1997-522124	19961210
JP 3230818	B2	20011119		
JP 2001106685	A	20010417	JP 2000-257791	19961210
HU 9903671	A2	20011028	HU 1999-3671	19961210
HU 9903671	A3	20011128		
AT 215081	T	20020415	AT 1996-944249	19961210
ES 2174129	T3	20021101	ES 1996-944249	19961210
ZA 9610536	A	19970814	ZA 1996-10536	19961213
NO 9802729	A	19980813	NO 1998-2729	19980612
PRIORITY APPLN. INFO.:			US 1995-8633P	P 19951214
			GB 1996-3242	A 19960216
			JP 1997-522124	A3 19961210
			WO 1996-US19444	W 19961210
OTHER SOURCE(S):	MARPAT	127:121742		
GI				

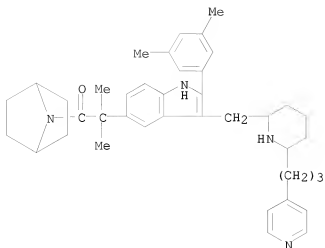


AB The title compds. I [A = alkyl, etc.; R = H, alkyl, etc.; R1 = heterocyclic ring (generic structures given); R2 = H, alkyl, etc.; or R2A = ring; R3, R4, R5 = H, (un)substituted alkyl, alkenyl, etc.; or R3R4 = ring; R6 = H, (un)substituted alkyl, etc.; R7 = H, (un)substituted alkyl, etc.; or R7R8 = heterocyclic ring; R9, R19 = H, (un)substituted alkyl; further details on R9R19 and R9A are given; R20, R10 = H, (un)substituted alkyl, etc.; further details on R20R10, and R9R20, R9R2, R20R2, R20A are given; m = 0 to 3; X = N, etc.], useful as antagonists of gonadotropin releasing hormone (no data), are prepared I may be useful for the treatment of a variety of sex-hormone related and other conditions in both men and women. The title compound II was prepared in a multistep process.

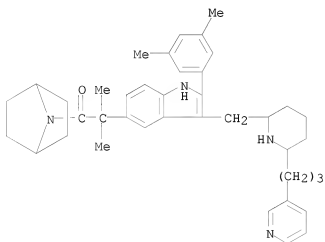
IT 192644-63-6P 192644-64-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of heterocyclic compds. as antagonists of gonadotropin releasing hormone)

RN 192644-63-6 CAPLUS

CN 1-Propanone, 1-(7-azabicyclo[2.2.1]hept-7-yl)-2-[2-(3,5-dimethylphenyl)-3-[[6-[3-(4-pyridinyl)propyl]-2-piperidinyl]methyl]-1H-indol-5-yl]-2-methyl-  
 (CA INDEX NAME)



RN 192644-64-7 CAPLUS  
 CN 1-Propanone, 1-(7-azabicyclo[2.2.1]hept-7-yl)-2-[(3,5-dimethylphenyl)-3-[[6-[3-(3-pyridinyl)propyl]-2-piperidinyl]methyl]-1H-indol-5-yl]-2-methyl-  
 (CA INDEX NAME)



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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION

FULL ESTIMATED COST

147.45	1475.03
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION

CA SUBSCRIBER PRICE

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STN INTERNATIONAL LOGOFF AT 14:50:41 ON 18 AUG 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTABMG1617

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page for STN Seminar Schedule - N. America  
NEWS 2 MAR 31 IFICDB, IFIPAT, and IFIUDB enhanced with new custom  
IPC display formats  
NEWS 3 MAR 31 CAS REGISTRY enhanced with additional experimental  
spectra  
NEWS 4 MAR 31 CA/Caplus and CASREACT patent number format for U.S.  
applications updated  
NEWS 5 MAR 31 LPCI now available as a replacement to LDPCI  
NEWS 6 MAR 31 EMBASE, EMBAL, and LEMBASE reloaded with enhancements  
NEWS 7 APR 04 STN AnaVist, Version 1, to be discontinued  
NEWS 8 APR 15 WPIDS, WPINDEX, and WPIX enhanced with new  
predefined hit display formats  
NEWS 9 APR 28 EMBASE Controlled Term thesaurus enhanced  
NEWS 10 APR 28 IMSRESEARCH reloaded with enhancements  
NEWS 11 MAY 30 INPAFAMDB now available on STN for patent family  
searching  
NEWS 12 MAY 30 DGENE, PCTGEN, and USGENE enhanced with new homology  
sequence search option  
NEWS 13 JUN 06 EPFULL enhanced with 260,000 English abstracts  
NEWS 14 JUN 06 KOREAPAT updated with 41,000 documents  
NEWS 15 JUN 13 USPATFULL and USPAT2 updated with 11-character  
patent numbers for U.S. applications  
NEWS 16 JUN 19 CAS REGISTRY includes selected substances from  
web-based collections  
NEWS 17 JUN 25 CA/Caplus and USPAT databases updated with IPC  
reclassification data  
NEWS 18 JUN 30 AEROSPACE enhanced with more than 1 million U.S.  
patent records  
NEWS 19 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional  
options to display authors and affiliated  
organizations  
NEWS 20 JUN 30 STN on the Web enhanced with new STN AnaVist  
Assistant and BLAST plug-in  
NEWS 21 JUN 30 STN AnaVist enhanced with database content from EPFULL  
NEWS 22 JUL 28 CA/Caplus patent coverage enhanced  
NEWS 23 JUL 28 EPFULL enhanced with additional legal status  
information from the epoline Register  
NEWS 24 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements  
NEWS 25 JUL 28 STN Viewer performance improved  
NEWS 26 AUG 01 INPADOCDB and INPAFAMDB coverage enhanced  
NEWS 27 AUG 13 CA/Caplus enhanced with printed Chemical Abstracts  
page images from 1967-1998  
NEWS 28 AUG 15 CAOLD to be discontinued on December 31, 2008  
NEWS 29 AUG 15 Caplus currency for Korean patents enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 14:51:31 ON 18 AUG 2008

=> file reg	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:52:14 ON 18 AUG 2008  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2  
DICTIONARY FILE UPDATES: 17 AUG 2008 HIGHEST RN 1041629-70-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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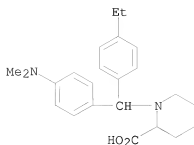
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdnoc/properties.html>

=> s piperidine  
L1 556824 PIPERIDINE

=> d l1

L1 ANSWER 1 OF 556824 REGISTRY COPYRIGHT 2008 ACS on STN  
RN 1041285-64-6 REGISTRY  
ED Entered STN: 15 Aug 2008  
CN 2-Piperidinecarboxylic acid, 1-[[4-(dimethylamino)phenyl](4-ethylphenyl)methyl]- (CA INDEX NAME)  
MF C23 H30 N2 O2  
SR Other Sources  
Database: ChemDB (University of California Irvine)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

=> d rsd

L1 ANSWER 1 OF 556824 REGISTRY COPYRIGHT 2008 ACS on STN

#### Ring System Data

Elemental Analysis	Elemental Sequence	Size of the Rings	Ring System Formula	Ring Identifier	RID Occurrence
EA	ES	SZ	RF	RID	Count
C6	C6	6	C6	46.150.18	2
C5N	NC5	6	C5N	46.156.1	1

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L2 1442478 46.156.1/RID

=> s l2

L3 1442478 46.156.1/RID

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Uploading C:\Program Files\STNEXP\Queries\10502080 Broad4.str

L4 STRUCTURE UPLOADED

=> s sub=l3 and l4

MISSING TERM BEFORE 'AND'

Search expressions cannot begin with operators.

=> s sub=l3 sam l4

SAMPLE SUBSET SEARCH INITIATED 14:53:53 FILE 'REGISTRY'

SAMPLE SUBSET SCREEN SEARCH COMPLETED - 4187 TO ITERATE

47.8% PROCESSED 2000 ITERATIONS

50 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET):

ONLINE \*\*COMPLETE\*\*

PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):

79860 TO 87620

PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):

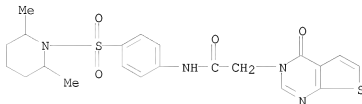
10861 TO 13841

L5

50 SEA SUB=L3 SSS SAM L4

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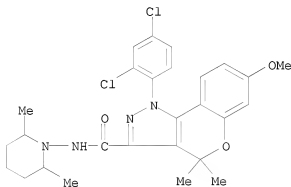
L5 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Thieno[2,3-d]pyrimidine-3(4H)-acetamide, N-[4-[(2,6-dimethyl-1-piperidinyl)sulfonyl]phenyl]-4-oxo-  
MF C21 H24 N4 O4 S2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

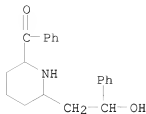
L5 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN [1]Benzopyrano[4,3-c]pyrazole-3-carboxamide, 1-(2,4-dichlorophenyl)-N-(2,6-dimethyl-1-piperidinyl)-1,4-dihydro-7-methoxy-4,4-dimethyl-  
MF C27 H30 Cl2 N4 O3  
CI COM



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L5 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN  
IN Methanone, [6-(2-hydroxy-2-phenylethyl)-2-piperidinyl]phenyl-  
MF C20 H23 N O2



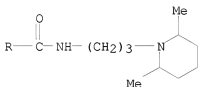
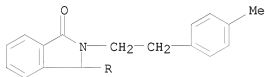
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L5 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 1H-Isoindole-1-carboxamide, N-[3-(2,6-dimethyl-1-piperidinyl)propyl]-2,3-dihydro-2-[2-(4-methylphenyl)ethyl]-3-oxo-

MF C28 H37 N3 O2



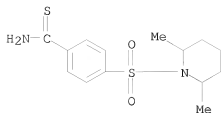
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L5 50 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Benzenecarbothioamide, 4-[(2,6-dimethyl-1-piperidinyl)sulfonyl]-

MF C14 H20 N2 O2 S2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
21.11	21.32

FULL ESTIMATED COST

Connection closed by remote host

Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID:SSPTABMG1617

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

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NEWS 2	DEC 01	ChemPort single article sales feature unavailable
NEWS 3	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 4	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 5	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 6	FEB 10	COMPENDEX reloaded and enhanced
NEWS 7	FEB 11	WTEXTILES reloaded and enhanced
NEWS 8	FEB 19	New patent-examiner citations in 300,000 CA/CAPLUS patent records provide insights into related prior art
NEWS 9	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 10	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 11	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 12	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 13	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters

NEWS 14 FEB 25 USGENE enhanced with patent family and legal status display data from INPADOCDB  
 NEWS 15 MAR 06 INPADOCDB and INPAFAMDB enhanced with new display formats  
 NEWS 16 MAR 11 EPFULL backfile enhanced with additional full-text applications and grants  
 NEWS 17 MAR 11 ESBIOBASE reloaded and enhanced  
 NEWS 18 MAR 20 CAS databases on STN enhanced with new super role for nanomaterial substances  
 NEWS 19 MAR 23 CA/CaPlus enhanced with more than 250,000 patent equivalents from China  
 NEWS 20 MAR 30 IMSPATENTS reloaded and enhanced  
 NEWS 21 APR 03 CAS coverage of exemplified prophetic substances enhanced  
 NEWS 22 APR 07 STN is raising the limits on saved answers  
 NEWS 23 APR 24 CA/CaPlus now has more comprehensive patent assignee information  
 NEWS 24 APR 26 USPATFULL and USPAT2 enhanced with patent assignment/reassignment information  
 NEWS 25 APR 28 CAS patent authority coverage expanded  
 NEWS 26 APR 28 ENCOMPLIT/ENCOMPLIT2 search fields enhanced  
 NEWS 27 APR 28 Limits doubled for structure searching in CAS REGISTRY  
 NEWS 28 MAY 08 STN Express, Version 8.4, now available  
 NEWS 29 MAY 11 STN on the Web enhanced  
 NEWS 30 MAY 11 BEILSTEIN substance information now available on STN Easy  
 NEWS 31 MAY 14 DGENE, PCTGEN and USGENE enhanced with increased limits for exact sequence match searches and introduction of free HIT display format  
 NEWS 32 MAY 15 INPADOCDB and INPAFAMDB enhanced with Chinese legal status data

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
 AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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DICTIONARY FILE UPDATES: 18 MAY 2009 HIGHEST RN 1147182-17-9

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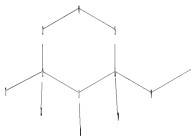
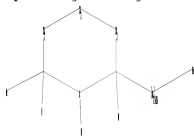
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chain bonds :  
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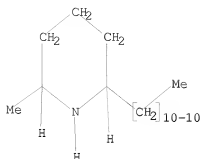
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L1 HAS NO ANSWERS

L1 STR



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FILE COVERS 1907 - 20 May 2009 VOL 150 ISS 21
FILE LAST UPDATED: 19 May 2009 (20090519/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Feb 2009
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Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate

=> s L2  
 L3 104 L2  
 => s L2/BIOL  
 104 L2  
 7806961 BIOL/RL  
 L4 28 L2/BIOL  
 (L2 (L) BIOL/RL)

=> d L4 1-28 ibib abs hitstr

L4 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2008:1430102 CAPLUS  
 DOCUMENT NUMBER: 150:10964  
 TITLE: Method for treating oleoresin induced allergic dermatitis by topical contacting with anti-inflammatory biopolymers such as albumin  
 INVENTOR(S): Yarborough, Cody L.  
 PATENT ASSIGNEE(S): Boval Company, L.P., USA  
 SOURCE: U.S. Pat. Appl. Publ., 6pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

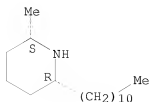
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080292682	A1	20081127	US 2007-754052	20070525
PRIORITY APPLN. INFO.:			US 2007-754052	20070525

AB A method for treating oleoresin induced allergic dermatitis by topically contacting an affected area with a therapeutically effective amount of one or more biopolymers for a sufficient amount of time to enable the one or more biopolymers to have an effect and removing the one or more biopolymers from the affected area. The oleoresin can be urushiol, isosolenopsin A, or a combination thereof. The one or more biopolymer can be albumin. The one or more biopolymers can provide a localized anti-inflammatory effect. Thus, Formulation for treating allergic dermatitis resulting from exposure to urushiol from poison ivy comprised (in wt%): water 88.6, bovine serum albumin 4.1, disodium ethylenediamine tetraacetate 0.1, Me paraben 0.2, hydroxypropylcellulose 1.0, polyethylene glycol 4.0, glycerin 2.0.

IT 35285-24-6, Isosolenopsin A  
 RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)  
 (method for treating oleoresin induced allergic dermatitis by topical contacting with anti-inflammatory biopolymers such as albumin)

RN 35285-24-6 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2009 ACS ON STN

ACCESSION NUMBER: 2008:71279 CAPLUS

DOCUMENT NUMBER: 148:152060

TITLE: Composition comprising piperidine alkaloid for treating neurological disorders and enhancing physical performance

INVENTOR(S): Dorsey, Denis; Kindy, Mark S.

PATENT ASSIGNEE(S): Synapsin Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 30pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008008720	A2	20080117	WO 2007-US73018	20070709
WO 2008008720	A3	20081113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007272619	A1	20080117	AU 2007-272619	20070709
AU 2007272619	A2	20090219		
CA 2657256	A1	20080117	CA 2007-2657256	20070709
EP 2043642	A2	20090408	EP 2007-812708	20070709
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: US 2006-806887P P 20060710  
WO 2007-US73018 W 20070709

OTHER SOURCE(S): MARPAT 148:152060

AB This invention relates to piperidine alkaloids found in fire ant venom (*Solenopsis invicta*) and uses thereof in neurol. disorders and phys. enhancement applications.

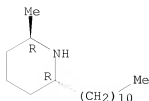
IT 28720-60-7, trans-2-Methyl-6-undecylpiperidine 35285-24-6, cis-2-Methyl-6-undecylpiperidine 83709-88-0

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (comps. and methods relating to solenopsins and their uses in treating neurol. disorders and enhancing phys. performance)

RN 28720-60-7 CAPLUS

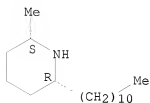
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.

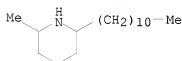


RN 35285-24-6 CAPLUS  
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 83709-88-0 CAPLUS  
CN Piperidine, 2-methyl-6-undecyl- (CA INDEX NAME)



L4 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:288798 CAPLUS

DOCUMENT NUMBER: 147:419553

TITLE: Solenopsin, the alkaloidal component of the fire ant (*Solenopsis invicta*), is a naturally occurring inhibitor of phosphatidylinositol-3-kinase signaling and angiogenesis

AUTHOR(S): Arbiser, Jack L.; Kau, Tweeny; Konar, Martha; Narra, Krishna; Ramchandran, Ramani; Summers, Scott A.; Vlahos, Chris J.; Ye, Keqiang; Perry, Betsy N.; Matter, William; Fischl, Anthony; Cook, James; Silver, Pamela A.; Bain, Jenny; Cohen, Philip; Whitmire, David; Furness, Scott; Govindarajan, Baskaran; Bowen, J. Phillip

CORPORATE SOURCE: Department of Dermatology, Emory University School of Medicine, Atlanta, GA, USA

SOURCE: Blood (2007), 109(2), 560-565  
CODEN: BLOOAW; ISSN: 0006-4971

PUBLISHER: American Society of Hematology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Phosphatidylinositol-3-kinase (PI3K), and its downstream effector Akt, or protein kinase B $\alpha$  (PKB $\alpha$ ), play a major regulatory role in control of apoptosis, proliferation, and angiogenesis. PI3K and Akt are amplified or overexpressed in a number of malignancies, including sarcomas, ovarian cancer, multiple myeloma, and melanoma. This pathway regulates production of the potent angiogenic factor vascular endothelial growth factor (VEGF), and protects tumor cells against both chemotherapy and reactive oxygen-induced apoptosis through phosphorylation of substrates such as apoptotic peptidase-activating factor-1 (APAF-1), forkhead proteins, and caspase 9. Given its diverse actions, compds. that suppress the PI3K/Akt pathway have potential pharmacol. utility as angiogenesis inhibitors and antineoplastic agents. Using the SVR angiogenesis assay, a screen of natural products, we isolated the alkaloid solenopsin, and found that it is a potent angiogenesis inhibitor. We also found that solenopsin inhibits the PI3K signaling pathway in cells upstream of PI3K, which may

underlie its effects on angiogenesis. Consistent with inhibition of the activation of PI3K, solenopsin prevented the phosphorylation of Akt and the phosphorylation of its substrate forkhead box 01a (FOX01a), a member of the forkhead family of transcription factors. Interestingly, solenopsin also inhibited Akt-1 activity in an ATP-competitive manner in vitro without affecting 27 of 28 other protein kinases tested.

IT 28720-60-7P

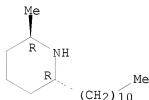
RL: PAC (Pharmacological activity); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(solenopsin from fire ant is a naturally occurring inhibitor of PI3K signaling and angiogenesis)

RN 28720-60-7 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2009 ACS ON STN

ACCESSION NUMBER: 2006:584143 CAPLUS

DOCUMENT NUMBER: 146:182892

TITLE: Alkaloids of anuran skin: antimicrobial function?

AUTHOR(S): Macfoy, Cyrus; Danosus, Douglas; Sandit, Raj; Jones, Tappey H.; Garraffo, H. Martin; Spande, Thomas F.; Daly, John W.

CORPORATE SOURCE: Biology Department, American University, Washington, DC, USA

SOURCE: Zeitschrift fuer Naturforschung, C: Journal of Biosciences (2005), 60(11/12), 932-937

CODEN: ZNCBDA; ISSN: 0939-5075

PUBLISHER: Verlag der Zeitschrift fuer Naturforschung

DOCUMENT TYPE: Journal

LANGUAGE: English

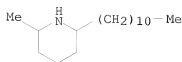
AB A variety of alkaloids, most of which occur or are structurally related to alkaloids that occur in skin glands of dendrobatid poison frogs, were assayed for antimicrobial activity against the Gram-pos. bacterium *Bacillus subtilis*, the Gram-neg. bacterium *Escherichia coli* and the fungus *Candida albicans*. Certain pyrrolidines, piperidines and decahydroquinolines, perhydro-histronicotoxin, and a synthetic pumiliotoxin were active against *B. subtilis*. Only 2-n-nonylpiperidine was active against *E. coli*. One pyrrolidine, two piperidines, two decahydroquinolines, and the synthetic pumiliotoxin were active against the fungus *C. albicans*. The results suggest that certain of the skin alkaloids of poison frogs, in addition to being noxious to predators, may also benefit the frog through protection against skin infections.

IT 83709-88-0

RL: BSU (Biological study, unclassified); BIOL (Biological study) (antimicrobial function of alkaloids of anuran skin)

RN 83709-88-0 CAPLUS

CN Piperidine, 2-methyl-6-undecyl- (CA INDEX NAME)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:321395 CAPLUS

DOCUMENT NUMBER: 142:405849

TITLE: Cardiodepressant and neurologic actions of Solenopsis invicta (imported fire ant) venom alkaloids

AUTHOR(S): Howell, George; Butler, Jordan; de Shazo, Richard D.; Farley, Jerry M.; Liu, Hui-Ling; Nanayakkara, N. P. D.; Yates, Anne; Yi, Gene B.; Rockhold, Robin W.

CORPORATE SOURCE: Department of Pharmacology and Toxicology, University of Mississippi Medical Center, Jackson, MS, USA

SOURCE: Annals of Allergy, Asthma, & Immunology (2005), 94(3), 380-386

CODEN: ALAIF6; ISSN: 1081-1206

PUBLISHER: American College of Allergy, Asthma, & Immunology

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The authors hypothesized that the alkaloid compds. that are the majority components of fire ant (*S. invicta*) venom are capable of producing cardiovascular and central nervous system toxic effects in mammals. The objective was to evaluate the toxic effects of synthetic *S. invicta* alkaloids in rodent models. Cardiovascular effects of i.v. injection of the racemic (±)-cis- and trans-isomers of 2-methyl-6-n-undecylpiperidine (isosolenopsin A and solenopsin A, resp.) were evaluated in anesthetized, gallamine-paralyzed rats who had received artificial ventilation and in isolated, perfused rat hearts.

(±)-Solenopsin A dose dependently (3-30 mg/kg [10-104 µmol/kg]) depressed cardiovascular function. Maximal percent changes following injection of 30 mg/kg were -42.96% ± 5.8% for blood pressure, -29.13% ± 3.6% for heart rate, and -43.5% ± 9.2% for left ventricular contractility (dP/dt). (±)-Isosolenopsin A (3-15 mg/kg [10-52 µmol/kg]) produced responses similar to those seen with the corresponding doses of solenopsin A. In conscious, spontaneously breathing rats, solenopsin A (30 mg/kg i.v.) caused seizures, respiratory arrest, and death. Infusion of working, isolated, perfused hearts with solenopsin A reduced contractile function (dP/dt) at 10 µM and caused cardiac arrest at 100 µM. Thus, 2 alkaloid components of imported fire ant venom possess robust cardiorespiratory depressant activity and elicit seizures in the rat. Such effects identify these alkaloids as toxic compds. in biol. systems and may explain the cardiorespiratory failure noted in some individuals who experience massive fire ant stings.

IT 28720-60-7, (±)-Solenopsin A 63950-16-3,

(±)-Isosolenopsin A

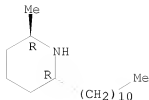
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)

(cardiodepressant and neurol. actions of *Solenopsis invicta* venom alkaloids)

RN 28720-60-7 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

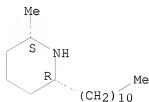
Relative stereochemistry.



RN 63950-16-3 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:590960 CAPLUS

DOCUMENT NUMBER: 139:149804

TITLE: Solenopsin A, B and analogs as novel angiogenesis inhibitors

INVENTOR(S): Bowen, Phillip J.; Arbiser, Jack L.; Whitmore, David; Furness, Scott M.

PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA; Emory University

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

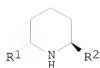
FAMILY ACC. NUM. COUNT: 1

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WO 2003061598	A3	20031204		
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US 20050038071	A1	20050217	US 2004-502080	20041008
PRIORITY APPLN. INFO.:			US 2002-351880P	P 20020125
			WO 2003-US2105	W 20030124

OTHER SOURCE(S): MARPAT 139:149804

GI



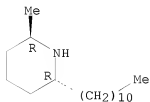
I



II

- AB The present invention relates to solenopsin A and its analogs, I [R1, R2 = linear, cyclic or branched (un)saturated (un)substituted C1-20-alkyl] and II, or a pharmaceutically acceptable salt, for use as angiogenesis inhibitors. The present compds. unexpectedly exhibit good activity as angiogenesis inhibitors, which find use as antitumor/anticancer agents as well as to treat a number of conditions or disease states in which angiogenesis is a factor. Thus, (±)-Solenopsin A hydrochloride (±)-I·HCl [R1 = Me, R2 = undecyl] was prepared from 4-chloropyridine hydrochloride via reaction with undecylmagnesium bromide in Et2O followed by addition of ClCO2Ph; transesterification with KOtBu; lithiation with BuLi followed by methylation with MeI; hydrogenation/hydrogenolysis with H2 over Pd/C in MeOH; stereoselective reduction with NaBH3CN in CH2Cl2; and deprotection with CF3CO2H in CH2Cl2 followed by dissoln. in Et2O and treatment with HCl(g). The anticancer activity of I·HCl [R1 = Me, R2 = (CH2)10Me, CH:CHCO2Et, CH2CH2CO2Et, CH:CH(CH2)4Me, CH:CHCH2CHMe2, (CH2)3CHMe2, (CH2)2CHMe2, CH:CHCCHMe2, CH:CHPr-n, CH:CHEt, CH:CHMe, (CH2)2Ph, (CH2)6Me, Bu, cyclopentyl, CH2Bu] was determined (comparative chart: % cell growth inhibition at 1, 3 and 6 µg/mL).
- IT 63950-17-4P, (±)-Solenopsin A hydrochloride  
 RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of solenopsin A, B and analogs as novel angiogenesis inhibitors)
- RN 63950-17-4 CAPLUS
- CN Piperidine, 2-methyl-6-undecyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

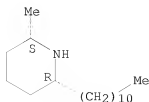
L4 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2003:281716 CAPLUS  
 DOCUMENT NUMBER: 139:241528  
 TITLE: Fire Ant Venom Alkaloid, Isosolenopsin A, a Potent and

AUTHOR(S): Selective Inhibitor of Neuronal Nitric Oxide Synthase  
Yi, G. B.; McClendon, D.; Desai, D.; Goddard, J.;  
Lister, A.; Moffitt, J.; Vander Meer, R. K.; Deshazo,  
R.; Lee, K. S.; Rockhold, R. W.  
CORPORATE SOURCE: University of Mississippi Medical Center, Jackson, MS,  
39216-4505, USA  
SOURCE: International Journal of Toxicology (2003), 22(2),  
81-86  
CODEN: IJTOFN; ISSN: 1091-5818  
PUBLISHER: Taylor & Francis Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Massive, multiple fire ant, *Solenopsis invicta*, stings are often treated aggressively, particularly in the elderly, despite limited evidence of systemic toxicity due to the venom. Over 95% of the *S. invicta* venom is composed of piperidine alkaloid components, whose toxicity, if any, is unknown. To assess a possible pharmacol. basis for systemic toxicity, an alkaloid-rich, protein-free methanol extract of the venom from whole ants was assayed for inhibitory activity on the following nitric oxide synthase (NOS) isoforms, rat cerebellar neuronal (nNOS), bovine recombinant endothelial (eNOS), and murine recombinant immunol. (iNOS). Cytosolic NOS activity was determined by measuring the conversion of [3H]arginine to [3H]citrulline in vitro. Rat nNOS activity was inhibited significantly and in a concentration-dependent manner by the alkaloid-rich venom extract. For nNOS, enzyme activity was inhibited by approx. 50% with 0.33 µg of this venom extract, and over 95% inhibition of the three isoforms, nNOS, eNOS, and iNOS, was found with doses of 60 µg in 60-µl reaction mixture. These results indicate that the alkaloid components of *S. invicta* venom can produce potent inhibition of all three major NOS isoforms. Isosolenopsin A (cis-2-methyl-6-undecylpiperidine), a naturally occurring fire ant piperidine alkaloid, was synthesized and tested for inhibitory activity against the three NOS isoforms. Enzyme activities for nNOS and eNOS were over 95% inhibited with 1000 µM of isosolenopsin A, whereas the activity of iNOS was inhibited by only about 20% at the same concentration. The IC50 for each of three NOS isoforms was approx. 18 µM for nNOS, 156 µM for eNOS, and >1000 µM for iNOS, resp. Kinetic studies showed isosolenopsin A inhibition to be noncompetitive with L-arginine (Ki = 19 µM). The potency of isosolenopsin A as an inhibitor of nNOS compares favorably with the inhibitory potency of widely used nNOS inhibitors. Inhibition of NOS isoforms by isosolenopsin A and structurally similar compds. may have toxicol. significance with respect to adverse reactions to fire ant stings.

IT 35285-24-6P, Isosolenopsin A  
RL: ADV (Adverse effect, including toxicity); SPN (Synthetic preparation);  
BIOL (Biological study); PREP (Preparation)  
(Isosolenopsin A is a potent and selective inhibitor of neuronal nitric  
oxide synthase)  
RN 35285-24-6 CAPLUS  
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

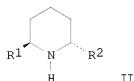
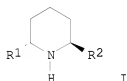


REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 2002:271982 CAPLUS  
 DOCUMENT NUMBER: 136:294967  
 TITLE: Preparation of solenopsin derivatives and analogues as fire ant suppressants  
 INVENTOR(S): Bowen, J. Phillip; Furness, M. Scott; Whitmire, David  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S., 24 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6369078	B1	20020409	US 2000-650257	20000829
PRIORITY APPLN. INFO.: OTHER SOURCE(S): GI	MARPAT 136:294967		US 1999-151724P	P 19990831

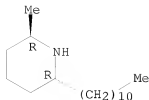


AB Solenopsin alkaloid derivs., such as I or II [R1 = C1 to C20 (un)saturated, linear, cyclic or branch-chained (un)substituted alkyl; (un)substituted aromatic, ester], and salts thereof, were prepared for their use as inhibitors of the biosynthesis of the venom of fire ants and/or insecticides. Thus, solenopsin hydrochloride II [R1 = Me, R2 = (CH2)10Me].HCl was prepared via a multistep synthetic sequence starting from 1-bromoundecane, 4-chloropyridine hydrochloride and iodomethane.

IT 63950-17-4P, (±)-Solenopsin A hydrochloride  
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of solenopsin derivs. and analogs as fire ant suppressants)

RN 63950-17-4 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, hydrochloride (1:1), (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



● HC1

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:32567 CAPLUS

DOCUMENT NUMBER: 136:229621

TITLE: Behavioral and chemical analysis of venom gland secretion of queens of the ant *Solenopsis geminata*

AUTHOR(S): Cruz-Lopez, Leopoldo; Rojas, Julio C.; De La Cruz-Cordero, Ricardo; Morgan, E. David

CORPORATE SOURCE: El Colegio de la Frontera Sur, Tapachula, Mex.

SOURCE: Journal of Chemical Ecology (2001), 27(12), 2437-2445

CODEN: JCECD8; ISSN: 0098-0331

PUBLISHER: Kluwer Academic/Plenum Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Bioassays in a Y-tube olfactometer showed that workers of *Solenopsis geminata* (Hymenoptera: Formicidae) were attracted to venom gland exts. of queens. Gas chromatog. coupled mass spectrometry anal. of individual glands of queens of *S. geminata* showed that the secretion is composed mainly of a large amount of 2-alkyl-6-methylpiperidine alkaloids and a tiny amount of a  $\delta$ -lactone and a  $\alpha$ -pyrone, which have been earlier identified as components of the queen attractant pheromone of *Solenopsis invicta* Buren. However, addnl. small amts. of a mixture of sesquiterpenes and pentadecene were found. The possible function of the sesquiterpenoid compds. is discussed.

IT 35285-24-6, cis-2-Methyl-6-undecylpiperidine

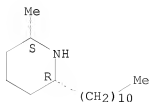
RL: BSU (Biological study, unclassified); BIOL (Biological study)

(behavioral and chemical anal. of venom gland secretion of queens of ant)

RN 35285-24-6 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:723698 CAPLUS

DOCUMENT NUMBER: 126:57550  
ORIGINAL REFERENCE NO.: 126:11267a  
TITLE: Defensive alkaloids from ants  
AUTHOR(S): Braekman, J. C.; Daloze, D.  
CORPORATE SOURCE: Lab. Bio-Organic Chem., Univ. Brussels, Brussels, B1050, Belg.  
SOURCE: Journal of the Brazilian Chemical Society (1996), 7(4), 251-256  
CODEN: JOCSET; ISSN: 0103-5053  
PUBLISHER: Sociedade Brasileira de Quimica  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: English

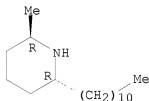
AB A review with 25 refs. The constituents of the poison gland in ants have been the subject of many investigations, and it has been demonstrated that they are usually proteinaceous. However, in some group of ants, these venom proteins have been superceded by cyclic alkaloids acting as defensive substances. Two groups of ant alkaloids, namely the solenopsins and the tetraoponerines, are presented. The solenopsins are a group of 2,6-dialkylpiperidines produced by "fire ants" (Solenopsis spp), which are significant pests in many parts of the southern United States. The tetraoponerines are diaminated tricyclic alkaloids isolated from the venom of the new Guinean ant, Tetraoponera sp. The elucidation of the biosynthetic pathways of both groups of alkaloids is discussed.

IT 137038-57-4, trans-Solenopsin A 137038-58-5, cis-Solenopsin A  
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)  
(defensive alkaloids from ants)

RN 137038-57-4 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)- (CA INDEX NAME)

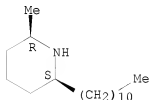
Absolute stereochemistry. Rotation (-).



RN 137038-58-5 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

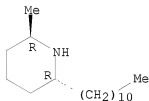
L4 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:337437 CAPLUS

DOCUMENT NUMBER: 125:82295

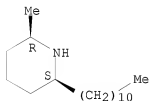
ORIGINAL REFERENCE NO.: 125:15475a,15478a  
 TITLE: Biosynthesis of the solenopsins, venom alkaloids of the fire ants  
 AUTHOR(S): Leclercq, S.; Braekman, J. C.; Daloze, D.; Pasteels, J. M.; Van der Meer, R. K.  
 CORPORATE SOURCE: Lab. - Bio Organic Chem., Fac. Sci., Univ. Brussels, Brussels, B-1050, Belg.  
 SOURCE: Naturwissenschaften (1996), 83(5), 222-225  
 CODEN: NATWAY; ISSN: 0028-1042  
 PUBLISHER: Springer  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Feeding expts. and anal. of solenopsin A degradation products were used to confirm the polyacetate origin of cis- and trans-solenopsin A in fire ants.  
 IT 137038-57-4, trans-Solenopsin A 137038-58-5, cis-Solenopsin A  
 RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative) (biosynthesis of the solenopsins, venom alkaloids of the fire ants)  
 RN 137038-57-4 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 137038-58-5 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, (2R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



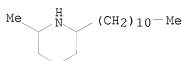
L4 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1993:207238 CAPLUS  
 DOCUMENT NUMBER: 118:207238  
 ORIGINAL REFERENCE NO.: 118:35525a,35528a  
 TITLE: A new dialkylpiperidine in the venom of the fire ant *Solenopsis invicta*  
 AUTHOR(S): Blum, Murray S.; Fales, Henry M.; Leadbetter, Graham; Leonhardt, Barbara A.; Duffield, Richard M.  
 CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Atlanta, GA, 30602, USA  
 SOURCE: Journal of Natural Toxins (1992), 1(2), 57-63  
 CODEN: JNTOER; ISSN: 1058-8108  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Addnl. alkaloids have been identified in the venom of workers of the fire ant *S. invicta*. trans-2-Methyl-6-((Z)-8-heptadecenyl)piperidine is the third unsatd. analog detected as a poison gland product. trans-2-Methyl-6-heptadecylpiperidine accompanies the unsatd. nitrogen heterocycle. The structures of both compds. were established by unambiguous synthesis. These alkaloids are present in the venoms of all *Solenopsis* populations analyzed.

IT 83709-88-0  
RL: BIOL (Biological study)  
(in venom, of ant)

RN 83709-88-0 CAPLUS

CN Piperidine, 2-methyl-6-undecyl- (CA INDEX NAME)



L4 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1991:136057 CAPLUS

DOCUMENT NUMBER: 114:136057

ORIGINAL REFERENCE NO.: 114:22917a,22920a

TITLE: Method and composition using solenopsine A or solenopsines A and B or *Solenopsis invicta* whole body extract for treating parasitic infestation in animals

INVENTOR(S): Rehmert, Chalmer V., Jr.

PATENT ASSIGNEE(S): USA

SOURCE: PCT Int. Appl., 10 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9007274	A1	19900712	WO 1990-US78	19900103
W: AT, AU, BB, BG, BR, CH, DE, DK, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
US 4910209	A	19900320	US 1989-293365	19890104
US 5075320	A	19911224	US 1989-429977	19891101
AU 9049519	A	19900801	AU 1990-49519	19900103
US 5098914	A	19920324	US 1991-656188	19910307
PRIORITY APPLN. INFO.:			US 1989-293365	A2 19890104
			US 1989-429977	A2 19891101
			WO 1990-US78	A 19900103

AB A method and composition for treatment of parasitic infestation in animals, including humans, comprises oral administration over several days of solenopsine A or solenopsine A and B, or a whole body extract of the imported red fire ant, *S. invicta*. Administration of solenopsine A in an oral dosage form or the whole body extract over 1-11 days with regular booster dosages disseminates the composition through the blood and tissue fluids of the treated animals, resulting in the elimination of blood- and tissue fluid-feeding parasites. Thus, treatment of infested animals with solenopsine A in an oral dosage form resulted in nearly 100% elimination of blood- and tissue fluid-feeding parasites. More units of solenopsine A were required for effective treatment than when *S. invicta* whole body extract

was used.

IT 35285-25-7 35285-25-7D, derivs. 132903-10-7

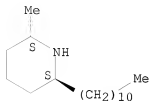
RL: BIOL (Biological study)

(parasiticide)

RN 35285-25-7 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2S,6S)- (CA INDEX NAME)

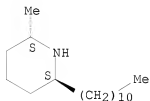
Absolute stereochemistry. Rotation (+).



RN 35285-25-7 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 132903-10-7 CAPLUS

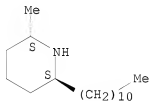
CN Piperidine, 2-methyl-6-tridecyl-, (2R-trans)-, mixt. with  
(2S-trans)-2-methyl-6-undecylpiperidine (9CI) (CA INDEX NAME)

CM 1

CRN 35285-25-7

CMF C17 H35 N

Absolute stereochemistry. Rotation (+).

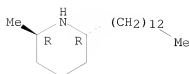


CM 2

CRN 32778-77-1

CMF C19 H39 N

Absolute stereochemistry.



L4 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1990:465222 CAPLUS

DOCUMENT NUMBER: 113:65222

ORIGINAL REFERENCE NO.: 113:10907a,10910a

TITLE: Solenopsis invicta venom piperidine alkaloids for

treating parasitic infestation of animals

INVENTOR(S): Rehmert, Chalmer V., Jr.

PATENT ASSIGNEE(S): USA

SOURCE: U.S., 3 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4910209	A	19900320	US 1989-293365	19890104
US 5075320	A	19911224	US 1989-429977	19891101
CA 2006952	A1	19900704	CA 1989-2006952	19891229
WO 9007274	A1	19900712	WO 1990-US78	19900103
W: AT, AU, BB, BG, BR, CH, DE, DK, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9049519	A	19900801	AU 1990-49519	19900103
PRIORITY APPLN. INFO.: US 1989-293365 A2 19890104				
US 1989-429977 A 19891101				
WO 1990-US78 A 19900103				

AB Parasitic infestation of animals is treated by oral administration of a piperidine alkaloid composition containing solenopsins A and B. The alkaloids

are obtained from the venom of Solenopsis invicta but whole body exts. can be used in capsules.

IT 35285-25-7, Solenopsin A

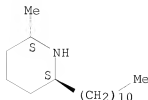
RL: BIOL (Biological study)

(of Solenopsis invicta venom, as parasiticide for animals)

RN 35285-25-7 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1988:129740 CAPLUS

DOCUMENT NUMBER: 108:129740

ORIGINAL REFERENCE NO.: 108:21260h,21261a

TITLE: Crossed immunoelectrophoretic studies of whole body extracts and venom from the imported fire ant *Solenopsis invicta*

AUTHOR(S): Butcher, Brian T.; Reed, Margaret A.

CORPORATE SOURCE: Med. Cent., Tulane Univ., New Orleans, LA, 70112, USA

SOURCE: Journal of Allergy and Clinical Immunology (1988), 81(1), 33-40

CODEN: JACIBY; ISSN: 0091-6749

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Although allergic reactions occur after imported fire ant (IFA) sting, currently, only IFA whole body extract (IFAWBE) is available for diagnosis and immunotherapy of IFA-sensitive individuals. Here are reported crossed immunoelectrophoretic studies comparing antigenicity and allergenicity of *S. invicta* IFAWBE and IFA venom (IFAV). Rabbits were hyperimmunized with IFAWBE prepared from *S. invicta* or with IFAV obtained from *S. invicta* by an elec. shock method. Crossed immunoelectrophoresis with anti-IFAWBE detected at least 29 precipitin lines in IFAWBE and 3 lines in IFAV, but none in a synthetic venom, transpiperidine. Anti-IFAV detected 6 precipitin lines in IFAV and 5 lines in IFAWBE, but no lines were detected with transpiperidine. Cross-line immunoelectrophoresis confirmed the IFAV origin of at least 3 of the peaks in IFAWBE. Crossed radioimmunoelectrophoresis with 11 IFAWBE RAST-pos. sera elicited radiostaining with 5 antigens in IFAWBE that were probably IFAV associated. One of these allergens was recognized by all sera; the other allergens were recognized by 8, 7, 5, and 4, resp., of the 11 sera. Four of the antigens present in IFAV preps. had allergenicity. These findings indicate that IFA allergens probably originate in IFAV, but that transpiperidine, a major constituent of IFAV, does not appear to be immunogenic. IFAV may be a more appropriate reagent than IFAWBE for laboratory testing and for clin. diagnosis and immunotherapy of IFA-sensitive individuals.

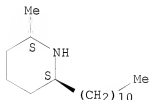
IT 35285-25-7

RL: BIOL (Biological study)  
(allergens of fire ant comparison with)

RN 35285-25-7 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1985:591173 CAPLUS

DOCUMENT NUMBER: 103:191173

ORIGINAL REFERENCE NO.: 103:30669a,30672a

TITLE: Poison gland products of *Solenopsis* and *Monomorium* species

AUTHOR(S): Blum, M. S.; Jones, T. H.; Lloyd, H. A.; Fales, H. M.;

CORPORATE SOURCE: Snelling, R. R.; Lubin, Y.; Torres, J.  
SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, 30602, USA  
JOURNAL OF ENTOMOLOGICAL SCIENCE (1985), 20(2), 254-7  
CODEN: JESCEP; ISSN: 0749-8004

DOCUMENT TYPE: Journal  
LANGUAGE: English

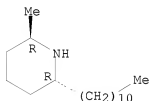
AB 2-Alkyl-6-methylpiperidines have been identified in the venoms of workers of 5 species of ants in the genus *Solenopsis*. *S. globularia pacifica* produces cis- [52084-39-6] and trans-2-nonyl-6-methylpiperidine [52084-40-9], whereas *S. steinheili* and *Solenopsis* (*Diploporotrum*) species PR synthesize N-methyl-2-nonyl-6-methylpiperidine [33444-22-3] as well. Workers of *S. geminata rufa* produce cis- [92619-72-2] and trans-2-undecyl-6-methylpiperidine [76094-26-3] as do workers of *S. maniosa*. Phenol [108-95-2] and salicylaldehyde [90-02-8] were identified in exts. of workers of *Monomorium destructor* in contrast to 2,5-dialkylpyrrolidines that are considered characteristic natural products of this genus.

IT 28720-60-7 63950-16-3  
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); BIOL (Biological study); OCCU (Occurrence)  
(of ant venom)

RN 28720-60-7 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

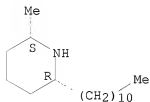
Relative stereochemistry.



RN 63950-16-3 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1985:3421 CAPLUS

DOCUMENT NUMBER: 102:3421

ORIGINAL REFERENCE NO.: 102:643a,646a

TITLE: (5Z,9Z)-3-Alkyl-5-methylindolizidines from *Solenopsis* (*Diploporotrum*) species

AUTHOR(S): Jones, Tappey H.; Highet, Robert J.; Blum, Murray S.; Fales, Henry M.

CORPORATE SOURCE: Dep. Chem., United States Nav. Acad., Annapolis, MD, 21402, USA

SOURCE: Journal of Chemical Ecology (1984), 10(8), 1233-49  
CODEN: JCECD8; ISSN: 0098-0331

DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The alkaloidal venom components of 2 species of thief ants, *Solenopsis* species AA and *S. conjurata* contained (5Z,9Z)-3-hexyl-5-methylindolizidine and a mixture of (5Z,9Z)-3-ethyl-5-methylindolizidine and cis-2-methyl-6-nonylpiperidine, trans-2-methyl-6-nonylpiperidine, cis-2-methyl-6-undecylpiperidine, and hexadecanoic acid. Monomorium pharaonis was similarly investigated and found to contain the indolizidine and pyrrolidines previously described. Both indolizidines were synthesized along with their stereoisomers and separated by preparative gas chromatog. Spectral studies revealed the stereochem. to be 5Z,9Z in both cases. The stereochem. of 2-butyl-5-pentylpyrrolidine in *M. pharaonis* was established. Biosynthetic relations are discussed.

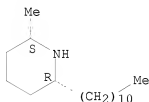
IT 63950-16-3

RL: BIOL (Biological study)  
(of venom, of thief ant)

RN 63950-16-3 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2R,6S)-rel- (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1984:169498 CAPLUS

DOCUMENT NUMBER: 100:169498

ORIGINAL REFERENCE NO.: 100:25696h,25697a

TITLE: Actions of synthetic piperidine derivatives on an insect acetylcholine receptor/ion channel complex  
David, J. A.; Crowley, P. J.; Hall, S. G.; Battersby, M.; Sattelle, D. B.

CORPORATE SOURCE: Dep. Zool., Univ. Cambridge, Cambridge, CB2 3EJ, UK

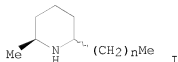
SOURCE: Journal of Insect Physiology (1984), 30(3), 191-6

CODEN: JIPHAF; ISSN: 0022-1910

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB The actions of synthetic piperidines (I, n = 10 or 12) on the response to ionophoretically-applied acetylcholine (ACh) [51-84-3] were tested on the cell body membrane of the fast coxal depressor motoneuron of the cockroach *Periplaneta americana*. The cis form and the cis (80%):trans (20%) mixture of 2-methyl-6-undecyl piperidine were the most effective (the half-maximal

blocking action of the mixed isomers was estimated to be  $6.3 \times 10^{-5}M$ . Less potent was the cis (50%):trans (50%) mixture of 2-methyl-6-tridecylpiperidine. However, pure cis-2-methyl-6-tridecylpiperidine [35285-26-8] was even less effective than the mixed isomers, indicating that, in the case of the tridecyl derivative, the trans form was largely responsible for the block of the ACh response. cis-2-Methyl-6-undecylpiperidine [35285-24-6] failed to inhibit the binding of N-(propionyl-3H) propionylated  $\alpha$ -bungarotoxin to metathoracic ganglion homogenates at  $1.0 \times 10^{-4}M$ . Also, block of ACh-induced current by 2-methyl-6-undecylpiperidine (cis 80%:trans 20%) was largely independent of membrane potential in the range -120 to -60 mV, indicating an interaction with the closed ACh receptor/ion channel complex at a site which, in the case of the cis isomer, is sep. from the binding site for  $\alpha$ -bungarotoxin.

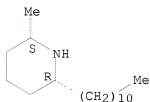
IT 35285-24-6 83709-88-0

RL: BIOL (Biological study)  
(acetylcholine receptor-ion channel complex of cockroach central nervous system response to)

RN 35285-24-6 CAPLUS

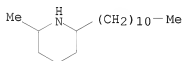
CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 83709-88-0 CAPLUS

CN Piperidine, 2-methyl-6-undecyl- (CA INDEX NAME)



L4 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1983:48322 CAPLUS

DOCUMENT NUMBER: 98:48322

ORIGINAL REFERENCE NO.: 98:7379a,7382a

TITLE: Mechanism of action of fire ant (Solenopsis) venoms.

I. Lytic release of histamine from mast cells

Lind, Nancy K.

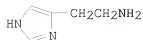
CORPORATE SOURCE: John A. Burns Sch. Med., Univ. Hawaii, Honolulu, HI, 96822, USA

SOURCE: Toxicol (1982), 20(5), 831-40  
CODEN: TOXIA6; ISSN: 0041-0101

DOCUMENT TYPE: Journal

LANGUAGE: English

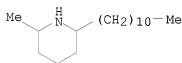
GI



I

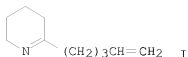
AB The mechanism of histamine (I) [51-45-6] release from rat mast cells by whole venom from *S. geminata* and *S. invicta* or by 2-methyl-6-undecylpiperidine-HCl (C11) [84293-44-7] was investigated. I release was stimulated by  $\geq 1$  of these agents (1) occurred in normal and metabolically inactivated cells, (2) had a biphasic time course in normal and inactivated cells, (3) was temperature-dependent and did not occur at 0°, (4) was accompanied by concomitant cytoplasmic enzyme release, (5) was accomplished by substantial cell swelling, and (6) was correlated with a loss of cell refractility in phase-contrast microscopy. Thus, C11 causes initial permeability changes in the plasma membrane followed by lytic release of I and other cell components. The nonspecific nature of this action of the dialkylpiperidine component of the venoms provides the fire ants with a defense of general applicability.

IT 84293-44-7  
 RL: BIOL (Biological study)  
 (histamine release from mast cells by, mechanism of, fire ant venom in relation to)  
 RN 84293-44-7 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

L4 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1982:613027 CAPLUS  
 DOCUMENT NUMBER: 97:213027  
 ORIGINAL REFERENCE NO.: 97:35717a,35720a  
 TITLE: Ant venom alkaloids from *Solenopsis* and *Monomorium* species. Recent developments  
 AUTHOR(S): Jones, Tappey H.; Blum, Murray S.; Fales, Henry M.  
 CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, 30602, USA  
 SOURCE: Tetrahedron (1982), 38(13), 1949-58  
 CODEN: TETRAB; ISSN: 0040-4020  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

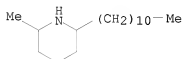


AB 2-(4-Penten-1-yl)-1-piperidine (I), and a number of known 2,6-dialkylpiperidines were isolated from venoms of *Solenopsis* species and their structures determined by independent preparation of I and by gas chromatog.-mass spectroscopy. Five known 2,5-dialkylpyrrolidines were also isolated from the venom of *M. latinode*. The chemical and biol. of the venom alkaloids from *Solenopsis* and *Monomorium* were briefly reviewed.

IT 83709-88-0  
RL: BIOL (Biological study)  
(from *Solenopsis pergandei* venom)

RN 83709-88-0 CAPLUS

CN Piperidine, 2-methyl-6-undecyl- (CA INDEX NAME)



L4 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:524253 CAPLUS

DOCUMENT NUMBER: 89:124253

ORIGINAL REFERENCE NO.: 89:19167a,19170a

TITLE: Histamine release by fire ant (*Solenopsis*) venom

AUTHOR(S): Read, George W.; Lind, Nancy K.; Oda, Charlotte S.

CORPORATE SOURCE: Pharmacol. Dep., Univ. Hawaii Sch. Med., Honolulu, HI, USA

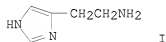
SOURCE: Toxicol (1978), 16(4), 361-7

CODEN: TOXIA6; ISSN: 0041-0101

DOCUMENT TYPE: Journal

LANGUAGE: English

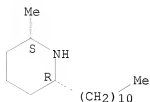
GI



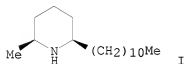
AB Venoms from the fire ants *S. invicta* and *S. geminata* were free of detectable histamine but caused histamine (I) [51-45-6] release from rat peritoneal mast cells in vitro. On a per ant basis, venom from *S. invicta* (ED<sub>50</sub> = 0.12 venom reservoirs/mL) was 4 times as potent as venom from *S. geminata* (ED<sub>50</sub> = 0.54 venom reservoirs/mL). Hexane exts. of venom and a synthetic piperidine were as effective as the venom itself in producing I release, indicating that the piperidines in the venom are responsible for most of the activity. Intradermal injection of venom from *S. geminata* into human subjects produced dose-dependent wheals and subjective responses (itch and/or pain). Ten nanograms of I produced effects approx. equivalent to the venom of a single ant and the antihistamine diphenhydramine significantly reduced the wheal and subjective responses to the venom. Apparently, I release plays a major role in the action of fire ant venoms.

IT 35285-24-6  
 RL: BIOL (Biological study)  
 (histamine release by, fire ant venom in relation to)  
 RN 35285-24-6 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



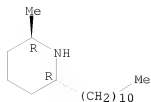
L4 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1976:176921 CAPLUS  
 DOCUMENT NUMBER: 84:176921  
 ORIGINAL REFERENCE NO.: 84:28695a,28698a  
 TITLE: Fire ant venoms: chemotaxonomic correlations with alkaloidal compositions  
 AUTHOR(S): MacConnell, J. G.; Blum, M. S.; Buren, W. F.; Williams, R. N.; Fales, H. M.  
 CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, USA  
 SOURCE: Toxicon (1976), 14(1), 69-78  
 CODEN: TOXIA6; ISSN: 0041-0101  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



AB The alkaloidal composition of venom of workers from 29 populations of .apprx.13 New World fire ant (Solenopsis) species was determined by gas-liquid chromatog. and was found to be quite uniform for species from widely separated areas. However, in some cases closely related species cannot be reliably distinguished by venom composition. At least some species possessing an anomalous morphol. also produce venoms of anomalous composition. All venoms are dominated by 2,6-dialkylpiperidines, e.g. cis-2-methyl-6-(n-undecyl)piperidine(I), although long-chain alkanes were detected in the venom of species of Solenopsis. Some characteristics and biosynthetic implications are discussed.

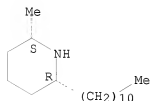
IT 28720-60-7 35285-24-6  
 RL: BIOL (Biological study)  
 (of Solenopsis venom, chemotaxonomy in relation to)  
 RN 28720-60-7 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 35285-24-6 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:489732 CAPLUS

DOCUMENT NUMBER: 79:89732

ORIGINAL REFERENCE NO.: 79:14559a,14562a

TITLE: Fire ant venoms. Intraspecific and interspecific

variation among castes and individuals

AUTHOR(S): Brand, J. M.; Blum, M. S.; Barlin, M. R.

CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, USA

SOURCE: Toxicon (1973), 11(4), 325-31

CODEN: TOXIA6; ISSN: 0041-0101

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The ratio of cis- to trans-2-methyl-6-n-undecylpiperidine in the venom of workers and soldiers of *Solenopsis geminata* and in alate queens of *S. geminata*, *S. xyloni*, *S. invicta*, and *S. richteri* showed considerable variation between individuals of a particular caste within a species.

IT 28720-60-7 35285-24-6

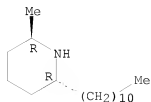
RL: BIOL (Biological study)

(of fire ant venom, caste in relation to)

RN 28720-60-7 CAPLUS

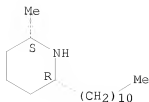
CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



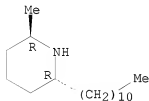
RN 35285-24-6 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



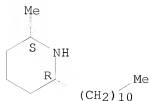
L4 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1973:402936 CAPLUS  
 DOCUMENT NUMBER: 79:2936  
 ORIGINAL REFERENCE NO.: 79:534h,535a  
 TITLE: Chemistry of the venom of *Solenopsis aurea*  
 AUTHOR(S): Blum, M. S.; Brand, J. M.; Duffield, R. M.; Snelling, R. R.  
 CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, USA  
 SOURCE: Annals of the Entomological Society of America (1973), 66(3), 702  
 CODEN: AESAAI; ISSN: 0013-8746  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB Venom of *S. aurea* consisted almost exclusively of cis-2-methyl-6-n-undecylpiperidine (I) and trans-2-methyl-6-n-undecylpiperidine (II), along with a trace of cis-2-methyl-6-n-tridecylpiperidine. Although the venoms of *S. aurea* and *S. geminata* were both dominated by I and II, in the former the average I:II ratio was 4:1, whereas in the latter it was .apprx.1.5:1.  
 IT 28720-60-7 35285-24-6  
 RL: BIOL (Biological study)  
 (of venoms, of fire ant)  
 RN 28720-60-7 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 35285-24-6 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:145442 CAPLUS  
 DOCUMENT NUMBER: 78:145442  
 ORIGINAL REFERENCE NO.: 78:23371a,23374a  
 TITLE: Biochemical evolution in fire ant venoms  
 AUTHOR(S): Brand, J. M.; Blum, M. S.; Ross, H. H.  
 CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, USA  
 SOURCE: Insect Biochemistry (1973), 3(9), 45-51  
 CODEN: ISBCAN; ISSN: 0020-1790  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB The distribution of 2,6-dialkyl (and alkenyl)-piperidine alkaloids in the venom of fire ant workers of *Solenopsis xyloni*, *S. geminata*, *S. richteri*, and *S. invicta* was compared with that in the venom of alata queens of the same species. Whereas the venoms of workers of *S. invicta* and *S. richteri* contain piperidines with C13 or C15 side chains, the queens of these species produce venoms in which these compds. are essentially lacking. A comparison of the ratio of cis-2-methyl-6-n-undecylpiperidine to trans-2-methyl-6-n-undecylpiperidine in all of these venoms, together with qual. differences of other alkaloidal components, particularly in workers of *S. richteri* and *S. invicta*, suggested that the venoms of *S. xyloni* and *S. geminata* are similar to the ancestral type, whereas those of *S. richteri* and *S. invicta* are more highly evolved.

IT 28720-60-7 35285-24-6

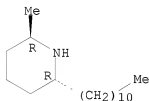
RL: BIOL (Biological study)

(of venoms of ants, caste and evolution in relation to)

RN 28720-60-7 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

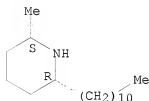
Relative stereochemistry.



RN 35285-24-6 CAPLUS

CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1973:92973 CAPLUS

DOCUMENT NUMBER: 78:92973

ORIGINAL REFERENCE NO.: 78:14851a,14854a

TITLE: Antibacterial activity of venom alkaloids from the imported fire ant, *Solenopsis invicta*

AUTHOR(S): Jouvenaz, D. P.; Blum, M. S.; MacConnell, J. G.

CORPORATE SOURCE: Insects Affecting Man Animals Res. Lab., Agric. Res.

SOURCE: Serv., Gainesville, FL, USA  
Antimicrobial Agents and Chemotherapy (1972), 2(4),  
291-3  
CODEN: AMACQ; ISSN: 0066-4804

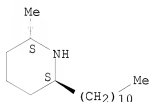
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The fire ant venom alkaloids trans-2-methyl-6-undecylpiperidine (I) [35285-25-7], trans-2-methyl-6-tridecylpiperidine [32778-77-1], and trans-2-methyl-6-pentadecylpiperidine [32778-79-3] were more inhibitory toward Gram-pos. bacteria than toward Gram-neg. bacteria. A 4th alkaloid, trans-2-methyl-6-(cis-6-pentadecenyl)piperidine [32778-78-2], available only in minute quantities, was ineffective against all organisms.

IT 35285-25-7  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(bactericidal activity of, from fire ant venom)

RN 35285-25-7 CAPLUS  
CN Piperidine, 2-methyl-6-undecyl-, (2S,6S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L4 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1972:444074 CAPLUS  
DOCUMENT NUMBER: 77:44074  
ORIGINAL REFERENCE NO.: 77:7287a,7290a  
TITLE: Fire ant venoms. Comparative analyses of alkaloidal components  
Brand, J. M.; Blum, M. S.; Fales, H. M.; MacConnell, J. G.

CORPORATE SOURCE: Dep. Entomol., Univ. Georgia, Athens, GA, USA  
SOURCE: Toxicol (1972), 10(3), 259-71  
CODEN: TOXIA6; ISSN: 0041-0101  
Journal

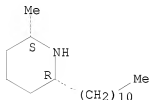
DOCUMENT TYPE: English  
LANGUAGE: English

AB The venom alkaloids of *Solenopsis geminata*, *S. xyloni*, and the red and black forms of *S. saevissima* were studied. The venoms contain various 2,6-disubstituted piperidines. Gas chromatog. and mass spectra were used to identify: cis-2-methyl-6-undecylpiperidine (I) [35285-24-6], trans-2-methyl-6-undecylpiperidine (II) [35285-25-7], cis-2-methyl-6-tridecylpiperidine (III) [35285-26-8], trans-2-methyl-6-tridecylpiperidine (IV) [32778-77-1], cis-2-methyl-6-pentadecylpiperidine (V) [35285-28-0], trans-2-methyl-6-pentadecylpiperidine (VI) [32778-79-3], cis-2-methyl-6-(cis-4-tridecen-1-yl)piperidine (VII) [35285-30-4], trans-2-methyl-6-(cis-4-tridecen-1-yl)piperidine (VIII) [32778-76-0], cis-2-methyl-6-(cis-6-pentadecen-1-yl)piperidine (IX) [35285-32-6], and trans-2-methyl-6-(cis-6-pentadecen-1-yl)piperidine (X) [32778-78-2]. The venom of the red *S. saevissima* contained all 10 compds. plus another that was not identified, with the trans forms predominating and the cis forms present as traces. X was present in the largest amount, with lower but about equal amts. of IV and VIII. The venom of the black form of *S. saevissima* had mainly I, II, III, IV, VII, and VIII; only traces of IX and

X were found, and the amount of VIII was much greater than the amount of IV. The black form is obviously not just a color variation. Venoms of *S. xyloni* and *S. geminata* contain I, II, III, and VII, and I and II are the major components. III and VII are present only in traces, and none of the C15 side-chain compds. were found. The venom of *S. xyloni* contained a compound not found in the other venoms; degradation and mass spectra showed it to be 2-methyl-6-undecyl-Δ1,2-piperidine [35285-61-1]. It may be a precursor or intermediate in the metabolism of the other components. The possible correlation of venom alkaloid composition with the environmental success of the imported *S. saevissima* species was discussed. Although the lack of protein was thought to make these stinging ants unique, recent studies showed a small amount of polypeptide material in the venom of the red form of *S. saevissima*.

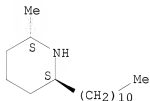
IT 35285-24-6 35285-25-7  
 RL: BOC (Biological occurrence); BSU (Biological study, unclassified);  
 BIOL (Biological study); OCCU (Occurrence)  
 (of fire ant venoms)  
 RN 35285-24-6 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, (2S,6R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 35285-25-7 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, (2S,6S)- (CA INDEX NAME)

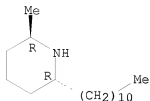
Absolute stereochemistry. Rotation (+).



L4 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2009 ACS on STN  
 ACCESSION NUMBER: 1970:442643 CAPLUS  
 DOCUMENT NUMBER: 73:42643  
 ORIGINAL REFERENCE NO.: 73:7033a,7036a  
 TITLE: Alkaloid from fire ant venom: identification and synthesis  
 AUTHOR(S): MacConnell, John G.; Blum, Murray S.; Fales, Henry M.  
 CORPORATE SOURCE: Dep. of Entomol., Univ. of Georgia, Athens, GA, USA  
 SOURCE: Science (Washington, DC, United States) (1970), 168(3933), 840-1  
 CODEN: SCIEAS; ISSN: 0036-8075  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB An alkaloid, trans-2-methyl-6-n-undecylpiperidine (solenopsin A), was isolated from the venom of the fire ant *Solenopsis saevissima*. The structure was confirmed by an unambiguous synthesis.

IT 28720-60-7  
 RL: BIOL (Biological study)  
 (from venoms of ants)  
 RN 28720-60-7 CAPLUS  
 CN Piperidine, 2-methyl-6-undecyl-, (2R,6R)-rel- (CA INDEX NAME)

Relative stereochemistry.



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